

=> file registry

FILE 'REGISTRY' ENTERED AT 14:29:17 ON 24 AUG 2005
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STRUCTURE FILE UPDATES: 23 AUG 2005 HIGHEST RN 861509-89-9
DICTIONARY FILE UPDATES: 23 AUG 2005 HIGHEST RN 861509-89-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> file caplus

FILE 'CAPLUS' ENTERED AT 14:29:21 ON 24 AUG 2005
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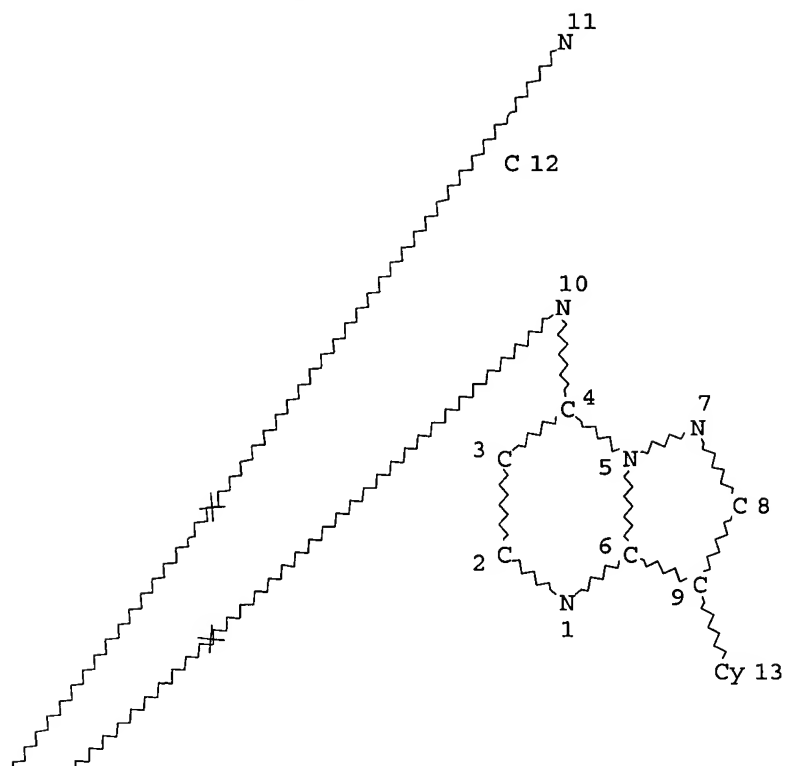
FILE COVERS 1907 - 24 Aug 2005 VOL 143 ISS 9
FILE LAST UPDATED: 23 Aug 2005 (20050823/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

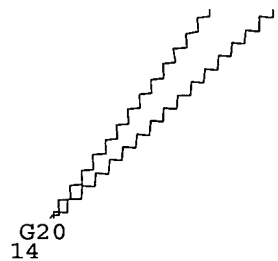
This file contains CAS Registry Numbers for easy and accurate

substance identification.

=> d stat que L11
L1 STR



Page 1-A



Page 2-A

REP G20=(1-6) 12-11 12-10

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NSPEC	IS	R	AT	3
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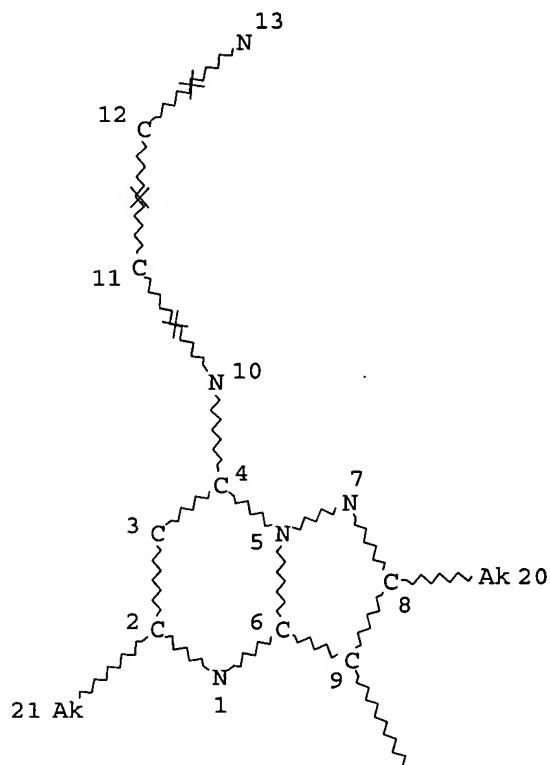
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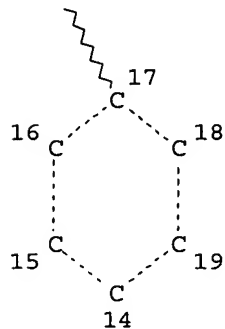
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 NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L6 435 SEA FILE=REGISTRY SSS FUL L1
 L8 STR



Page 1-A



Page 2-A

NODE ATTRIBUTES:

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NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

L10 212 SEA FILE=REGISTRY SUB=L6 SSS FUL L8
L11 5 SEA FILE=CAPLUS ABB=ON PLU=ON L10

=> d ibib abs hitstr L11 1-5

L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:612294 CAPLUS

DOCUMENT NUMBER: 143:133390

TITLE: Preparation of pyrazolopyrimidines as CRF receptor antagonists

INVENTOR(S): Luo, Zhiyong; Slee, Deborah; Tellew, John Edward; Williams, John; Zhang, Xiahou

PATENT ASSIGNEE(S): SB Pharmco Puerto Rico Inc., USA; Neurocrine Biosciences Inc.

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063756	A1	20050714	WO 2004-IB4293	20041220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-532044P

P 20031222

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, NH₂, (un)substituted alkyl, etc.; R2 = NR₅R₆ or OR₇; R3 = H, alkyl or absent if double bond is present; Y = CO, =(CR₄)-; R4 = H, thioalkyl, (un)substituted alkyl, etc.; Ar = (un)substituted Ph or pyridyl; Het = (un)substituted heteroaryl; R5 = H, (un)substituted alkyl, heterocycle, etc.; R6 = (un)substituted alkyl, heterocyclealkyl, aryl, etc.; R7 = (un)substituted alkyl, arylalkyl, heteroarylalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as CRF receptor antagonists. Thus, e.g., II was prepared by cyclization of III (preparation given) with Et acetoacetate followed by chlorination and subsequent substitution with isopropylamine. The CRF receptor binding activity of I was evaluated using radioligand binding assay (no data). I as CRF receptor antagonists should prove useful in the treatment of stroke, depression and anxiety. Pharmaceutical compns. comprising I are disclosed.

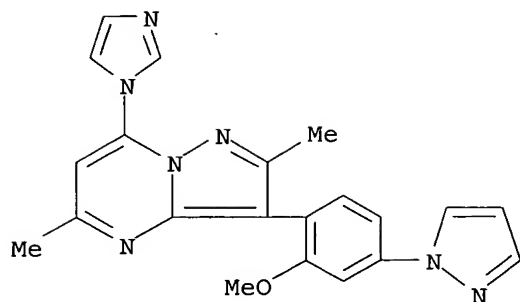
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858523-02-1P 858523-04-3P 858523-09-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as CRF receptor antagonists)

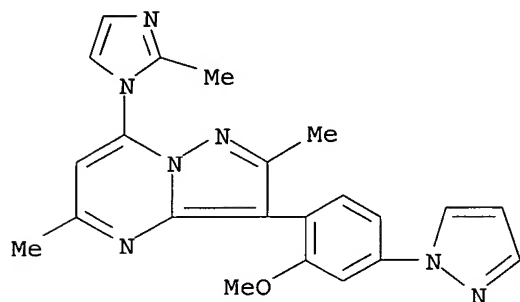
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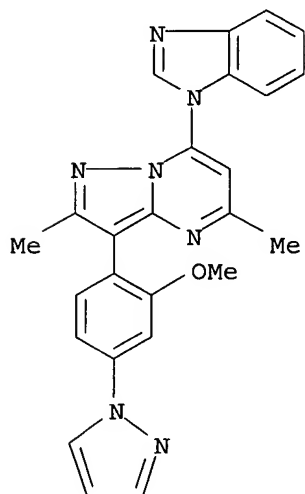
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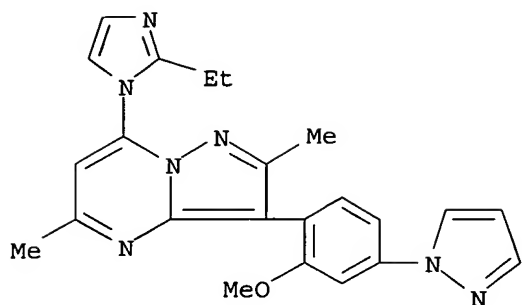
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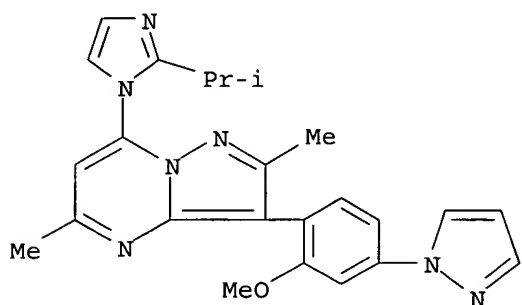
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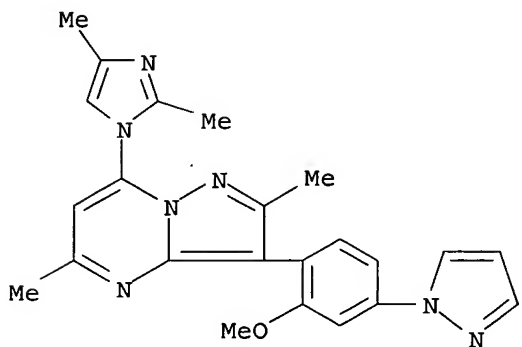
RN 858521-09-2 CAPLUS

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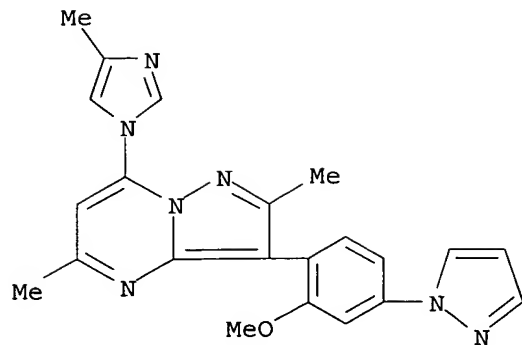
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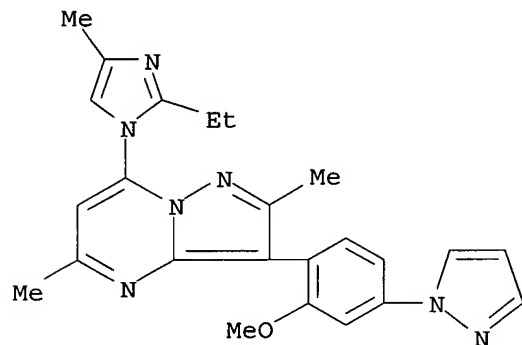
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CN Pyrazolo[1,5-a]pyrimidine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-7-(4-methyl-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



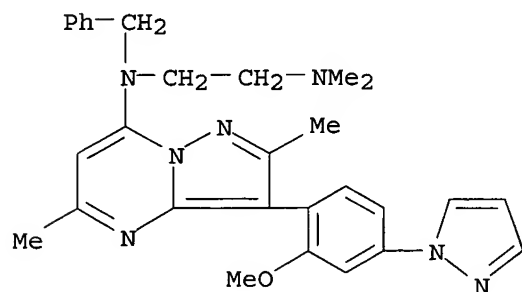
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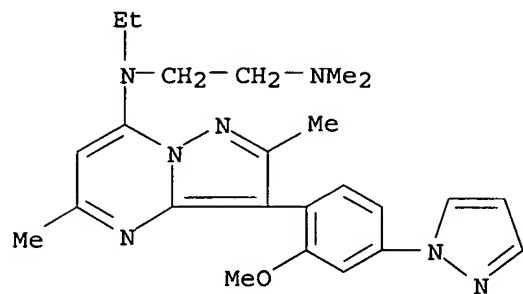
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CN INDEX NAME NOT YET ASSIGNED

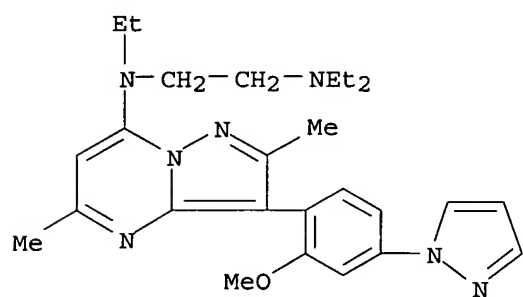


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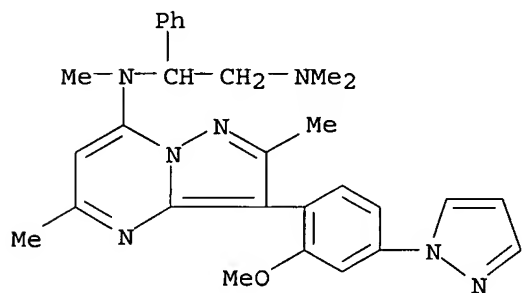
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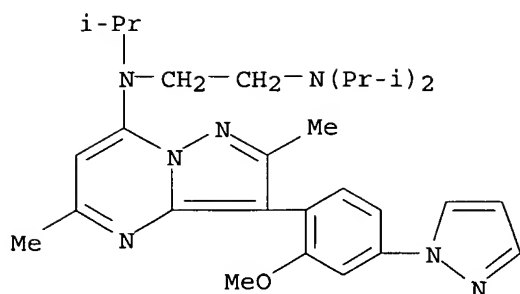
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CN INDEX NAME NOT YET ASSIGNED

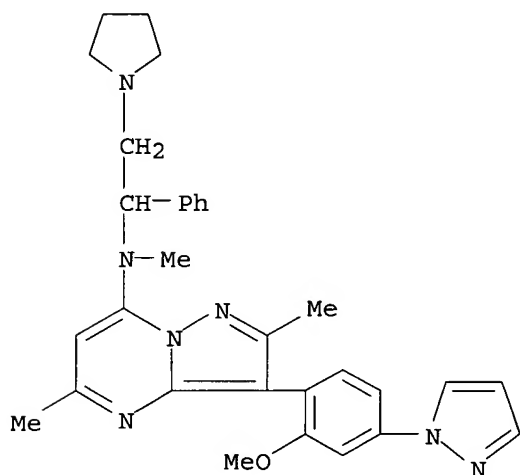


RN 858521-41-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



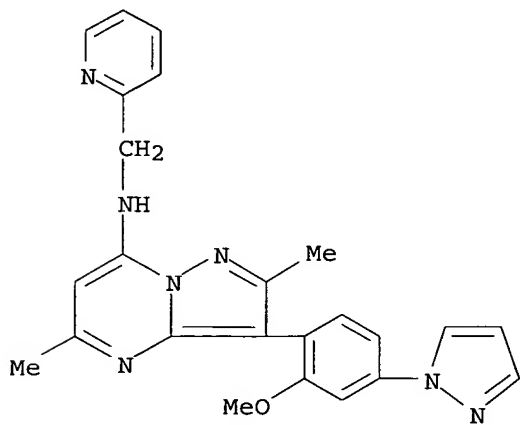
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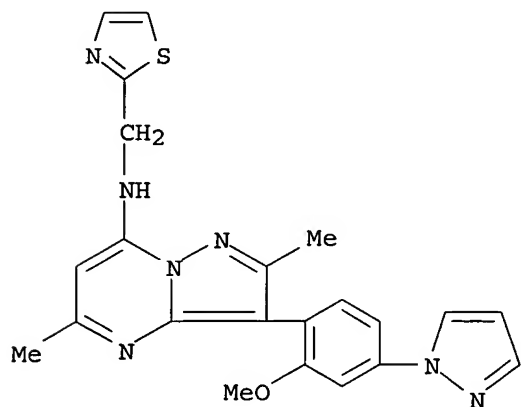


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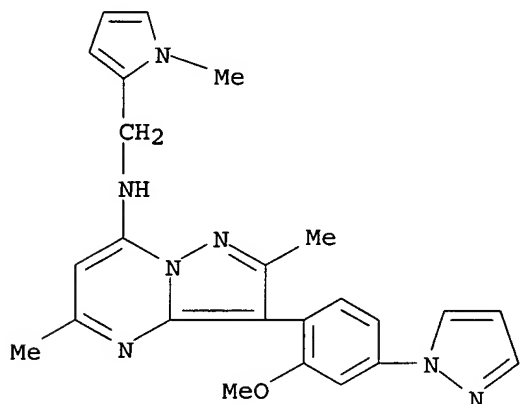
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RN 858521-89-8 CAPLUS

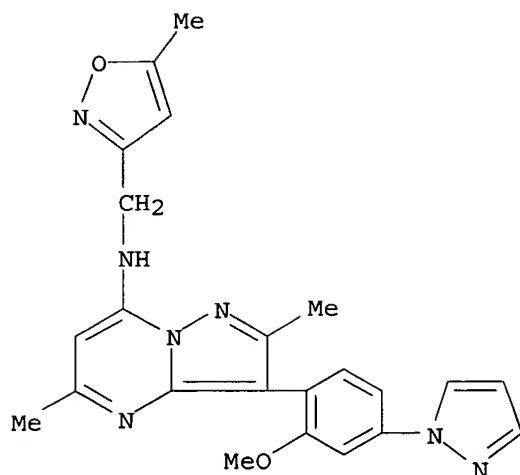
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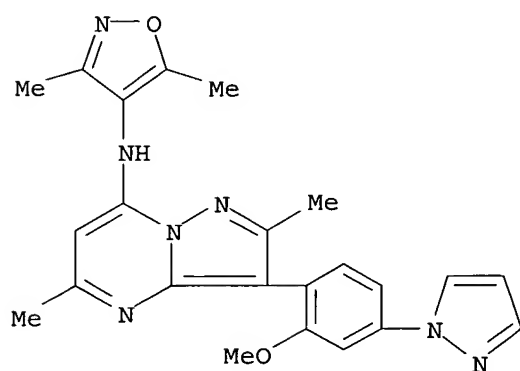
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RN 858521-93-4 CAPLUS

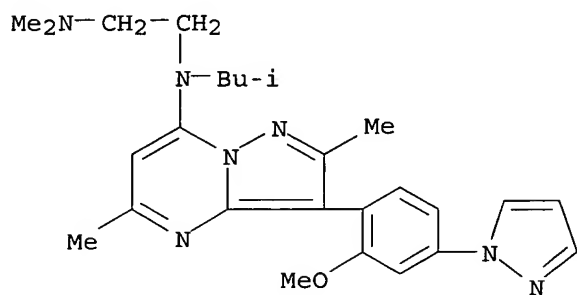
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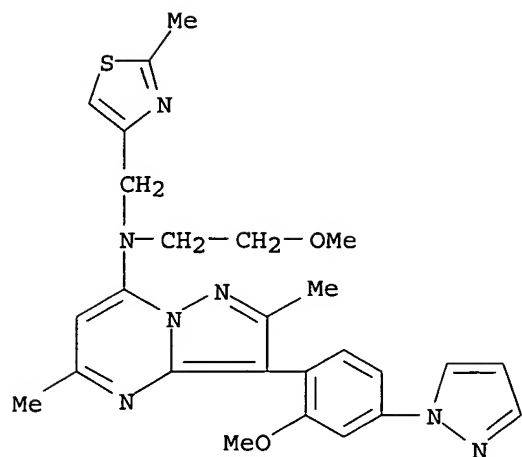
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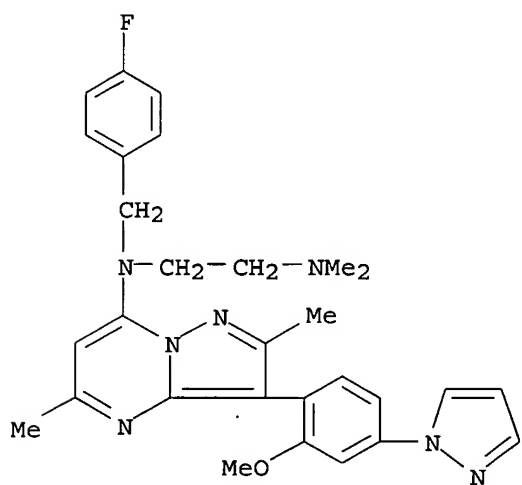
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CN INDEX NAME NOT YET ASSIGNED



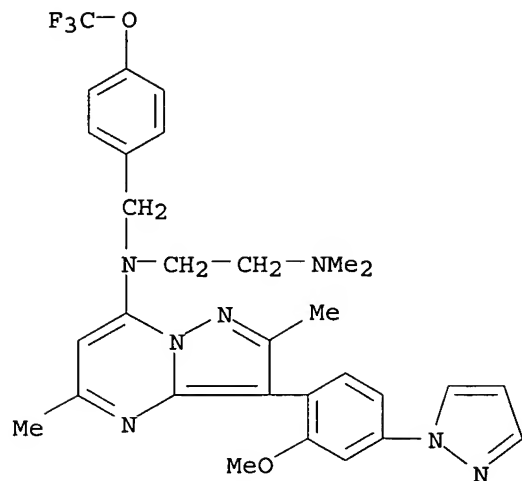
RN 858522-47-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(2-methoxyethyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[(2-methyl-4-thiazolyl)methyl]- (9CI)
(CA INDEX NAME)



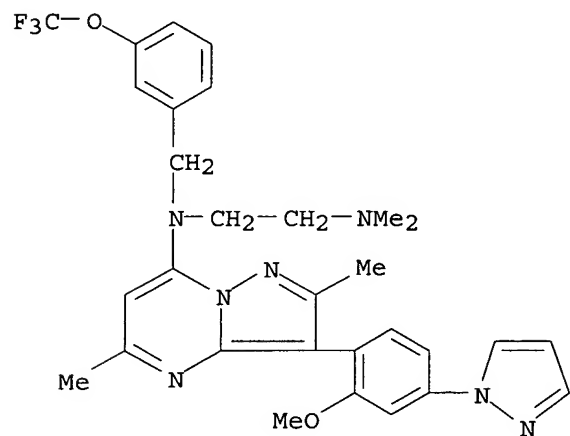
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CN INDEX NAME NOT YET ASSIGNED



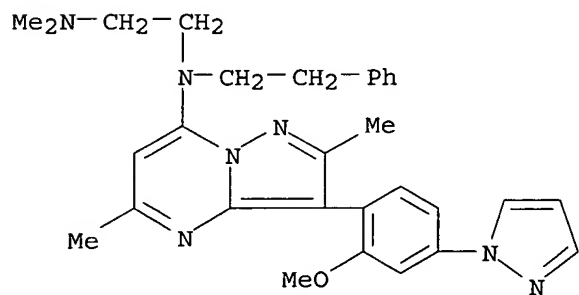
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CN INDEX NAME NOT YET ASSIGNED

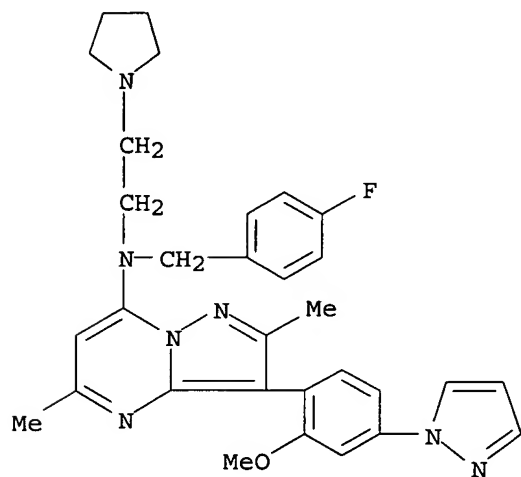


RN 858522-59-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



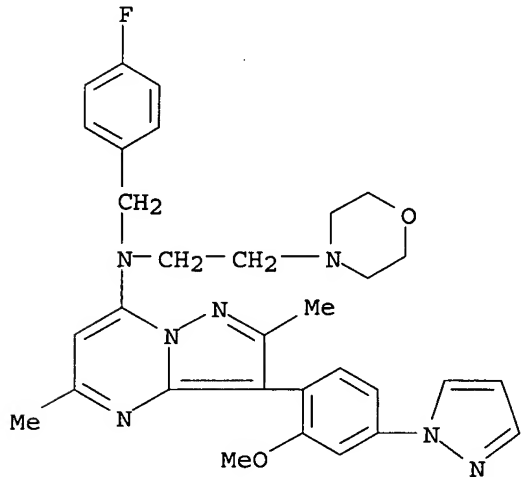
RN 858522-65-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(4-fluorophenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI)
(CA INDEX NAME)



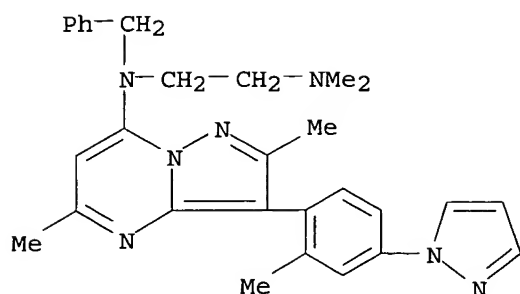
RN 858522-67-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(4-fluorophenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[2-(4-morpholinyl)ethyl]- (9CI)
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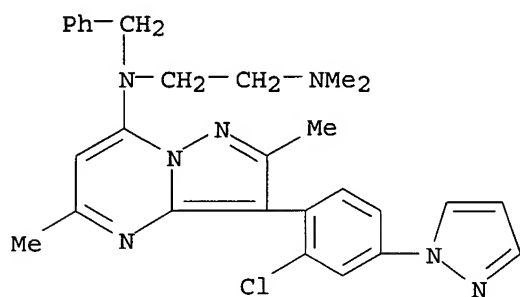


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CN INDEX NAME NOT YET ASSIGNED

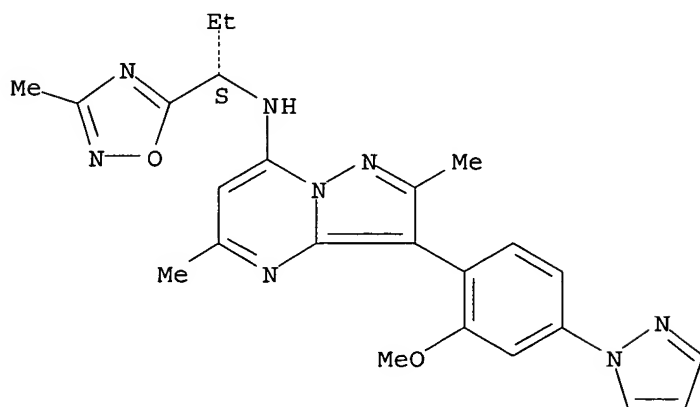


RN 858523-04-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 858523-09-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:216604 CAPLUS
DOCUMENT NUMBER: 142:291339
TITLE: Compositions and methods using small mol. Trp-p8

modulators for the treatment of diseases associated with Trp-p8 expression

INVENTOR(S): Natarajan, Sateesh K.; Moreno, Ofir; Graddis, Thomas J.; Duncan, David; Laus, Reiner; Chen, Feng

PATENT ASSIGNEE(S): Dendreon Corporation, USA

SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020897	A2	20050310	WO 2004-US26931	20040820
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2005054651	A1	20050310	US 2004-923413	20040820
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PRIORITY APPLN. INFO.:	US 2003-497384P	P	20030822
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OTHER SOURCE(S): MARPAT 142:291339

AB Provided are small-mol. Trp-p8 modulators, including Trp-p8 agonists and Trp-p8 antagonists, and compns. comprising small-mol. Trp-p8 agonists as well as methods for identifying and characterizing small-mol. Trp-p8 modulators and methods for decreasing viability and/or inhibiting growth of Trp-p8 expressing cells, methods for activating Trp-p8-mediated cation influx, methods for stimulating apoptosis and/or necrosis, and related methods for the treatment of diseases, including cancers such as lung, breast, colon, and/or prostate cancers as well as other diseases, such as benign prostatic hyperplasia, that are associated with Trp-p8 expression. Preparation of selected p-menthane derivs. is described.

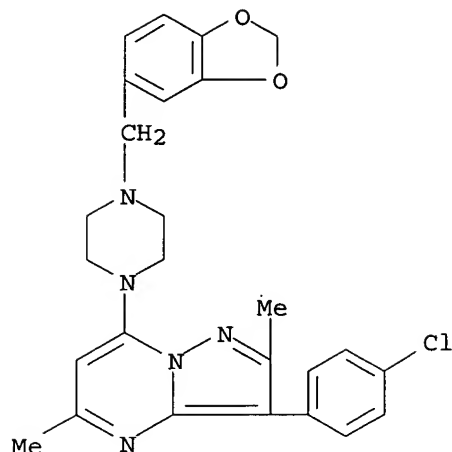
IT 847566-98-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(small mol. Trp-p8 modulators for treatment of diseases associated with Trp-p8 expression)

RN 847566-98-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 7-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-3-(4-chlorophenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

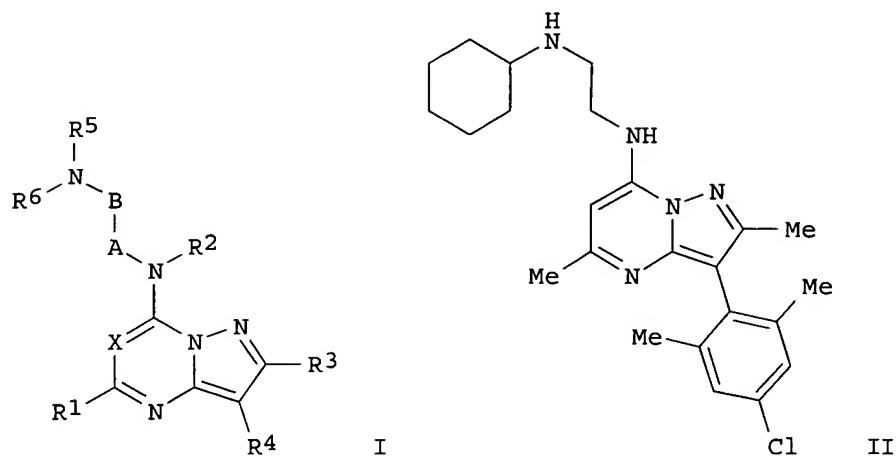


L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2001:247337 CAPLUS
 DOCUMENT NUMBER: 134:280853
 TITLE: Preparation of amino substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as NPY receptor antagonists
 INVENTOR(S): Darrow, James W.; De Lombaert, Stephane; Blum, Charles; Tran, Jennifer; Giangliordano, Mark; Griffith, David Andrew; Carpino, Philip Albert
 PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer Inc.
 SOURCE: PCT Int. Appl., 102 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023388	A2	20010405	WO 2000-US26885	20000929
WO 2001023388	A3	20011018		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2379633	AA	20010405	CA 2000-2379633	20000929
EP 1218381	A2	20020703	EP 2000-967132	20000929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6476038	B1	20021105	US 2000-676972	20000929
JP 2003510326	T2	20030318	JP 2001-526540	20000929
BG 106507	A	20021229	BG 2002-106507	20020311
NO 2002001357	A	20020523	NO 2002-1357	20020319
ZA 2002002517	A	20030328	ZA 2002-2517	20020328
PRIORITY APPLN. INFO.:			US 1999-156868P	P 19990930

OTHER SOURCE(S) :
GI

MARPAT 134:280853



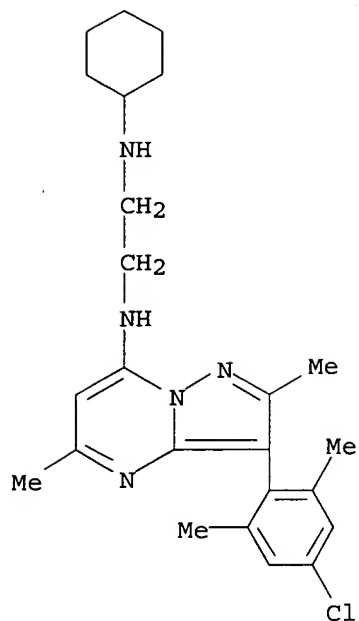
AB The title compds. [I; N, CR14; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; A = (un)substituted (CH₂)_m (wherein m = 1-3); B = (un)substituted (CH₂)_n (n = 0-3); R3 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl; R5, R6 = H, alkyl, cycloalkyl, etc.] that are selective modulators of NPY₁ receptors, and are useful in the treatment of a number of CNS disorders, metabolic disorders, and peripheral disorders, particularly eating disorders and hypertension, were prepared E.g., a multi-step synthesis of the pyrazolo[1,5-a]pyrimidine II was described. The NPY₁ receptor binding affinity for the compds. I, expressed as a K_i, ranges from 0.1 nM to 10 μM. The compds. I are also useful as probes for the localization of NPY₁ receptors and as stds. in assays for NPY₁ receptor binding. Compds. I were also tested for CRF₁ receptor binding affinity.

IT 332225-19-1P 332225-26-0P 332225-31-7P
332225-45-3P 332225-51-1P 332225-68-0P
332225-74-8P 332225-80-6P 332225-86-2P
332225-92-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as NPY receptor antagonists)

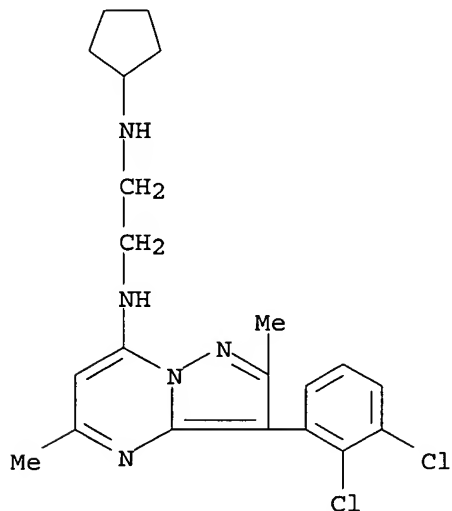
RN 332225-19-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-cyclohexyl- (9CI) (CA INDEX NAME)



RN 332225-26-0 CAPLUS

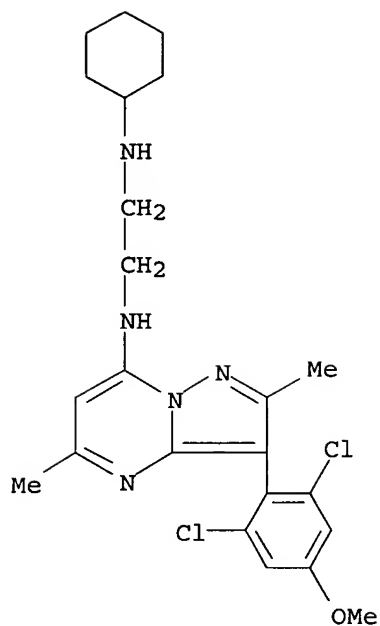
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,3-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

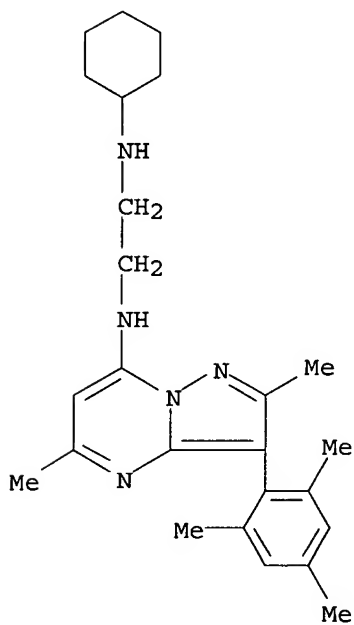
RN 332225-31-7 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



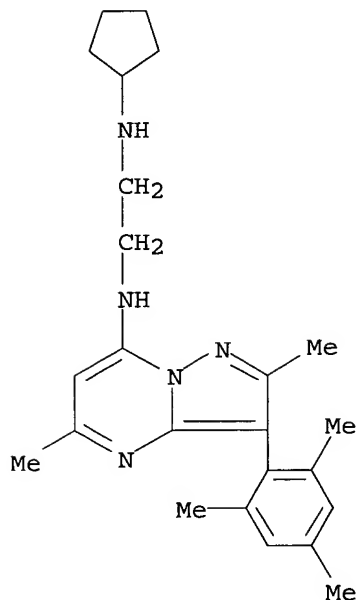
RN 332225-45-3 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



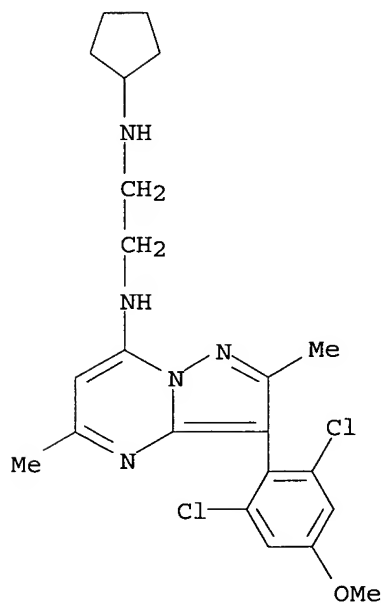
RN 332225-51-1 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



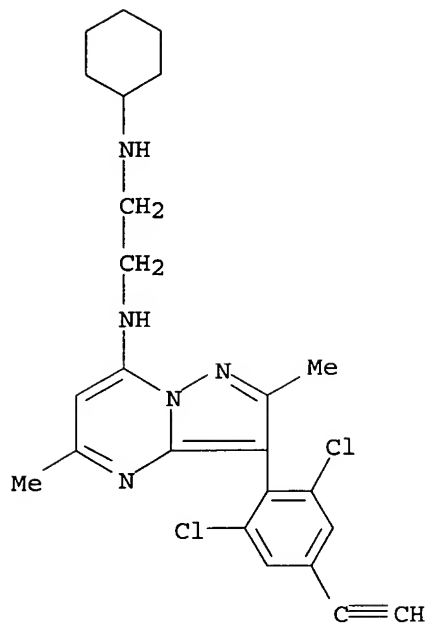
RN 332225-68-0 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



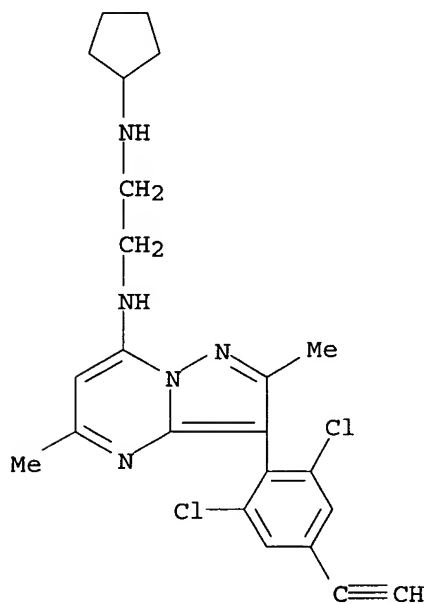
RN 332225-74-8 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 332225-80-6 CAPLUS

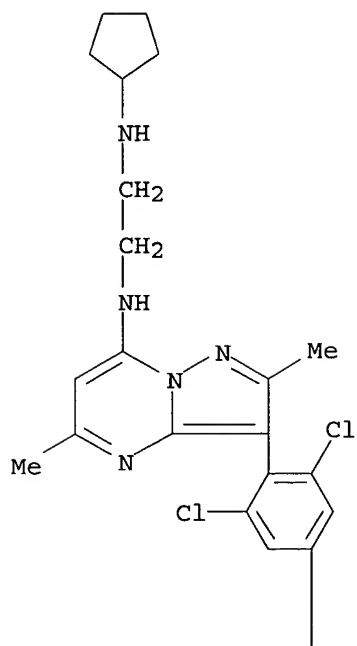
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



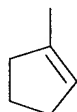
RN 332225-86-2 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-[2,6-dichloro-4-(1-cyclopenten-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

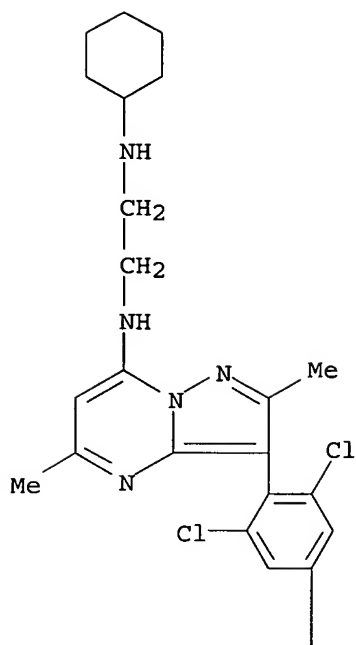


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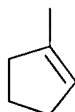


RN 332225-92-0 CAPLUS
 CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-[2,6-dichloro-4-(1-cyclopenten-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

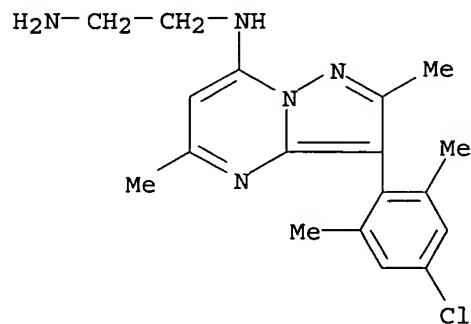
PAGE 1-A



PAGE 2-A

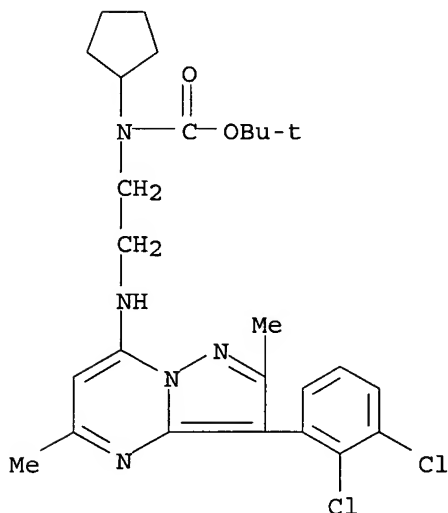


IT 332179-38-1P 332227-43-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of amino substituted pyrazolo[1,5-a]-1,5-pyrimidines and
 pyrazolo[1,5-a]-1,3,5-triazines as NPY receptor antagonists)
 RN 332179-38-1 CAPLUS
 CN 1,2-Ethanediamine; N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-
 dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 332227-43-7 CAPLUS

CN Carbamic acid, cyclopentyl[2-[[3-(2,3-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:247336 CAPLUS

DOCUMENT NUMBER: 134:280866

TITLE: Preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors

INVENTOR(S): Darrow, James W.; De Lombaert, Stephane; Blum, Charles; Tran, Jennifer; Giangiardano, Mark; Griffith, David Andrew; Carpino, Philip Albert

PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer Inc.; De Lombaert, Stephane

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

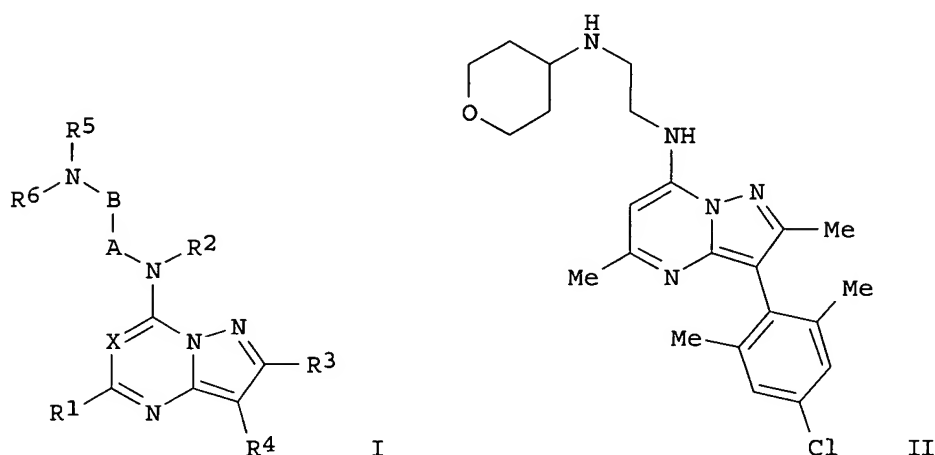
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023387	A2	20010405	WO 2000-US26887	20000929
WO 2001023387	A3	20020124		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2379585	AA	20010405	CA 2000-2379585	20000929
US 6372743	B1	20020416	US 2000-676970	20000929
EP 1218379	A2	20020703	EP 2000-967134	20000929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003510325	T2	20030318	JP 2001-526539	20000929
US 2003069246	A1	20030410	US 2002-83245	20020225
BG 106506	A	20021229	BG 2002-106506	20020311
NO 2002001356	A	20020523	NO 2002-1356	20020319
ZA 2002002519	A	20031128	ZA 2002-2519	20020328
PRIORITY APPLN. INFO.:			US 1999-156869P	P 19990930
			US 2000-676970	A1 20000929
			WO 2000-US26887	W 20000929
OTHER SOURCE(S):	MARPAT 134:280866			
GI				



AB The title compds. [I; X = N, CR14; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl which optionally forms (un)substituted aminocarbocycle or aminoheterocycle with A and B, etc.; R2 and R6 with 2 N atoms to which they are bound, form (un)substituted aminoheterocycle; R2 and A form (un)substituted aminocarbocycle, aminoheterocycle; A, B = (un)substituted alkyl; A and B form (un)substituted carbocycle; B and R6 form (un)substituted aminocarbocycle; R3 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl; R5 = (un)substituted (cycloalkyl)alkyl, alkenyl, alkynyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; R14 = H, alkyl, etc.] which are selective modulators of NPY1 receptors, and are useful in the treatment of a number of CNS disorders, metabolic disorders, and peripheral disorders, particularly eating disorders and hypertension, were prepared. E.g., a multi-step synthesis of the pyrazolo[1,5-a]pyrimidine II, was described. The NPY1 binding affinity for the compds. I, expressed as a K_i value, ranges from 0.1 nM to 10 μ M. Compds. I are also useful as probes for the localization of NPY1 receptors and as stds. in assays for NPY1 receptor binding. Methods of using the compds. I in receptor localization studies are given.

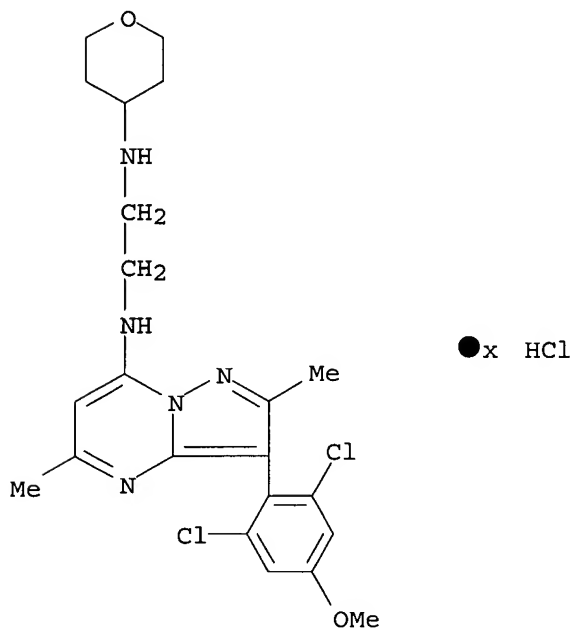
IT 332178-43-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors)

RN 332178-43-5 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



IT 332178-32-2P 332178-33-3P 332178-34-4P
 332178-35-5P 332178-37-7P 332178-38-8P
 332178-39-9P 332178-40-2P 332178-41-3P
 332178-42-4P 332178-44-6P 332178-45-7P
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 332178-49-1P 332178-50-4P 332178-51-5P
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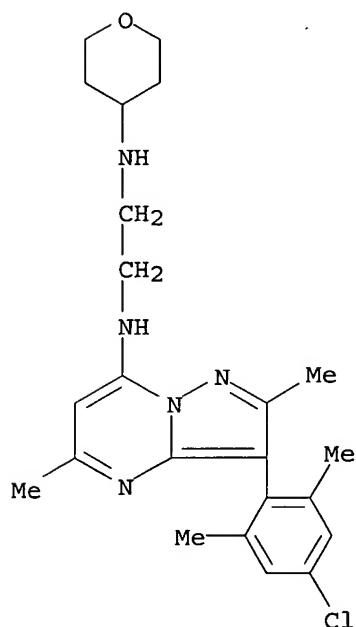
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 332179-30-3P 332179-31-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors)

RN 332178-32-2 CAPLUS

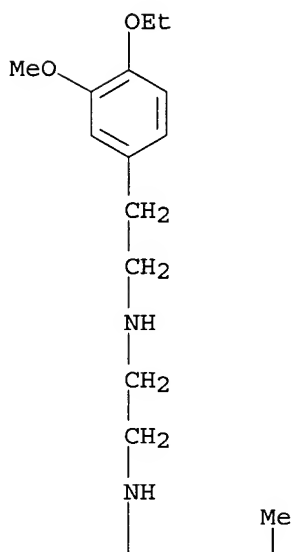
CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)



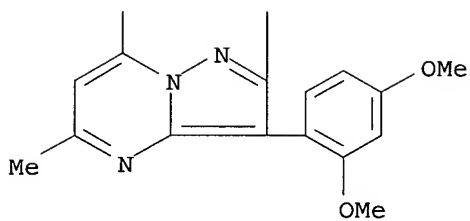
RN 332178-33-3 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dimethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-ethoxy-3-methoxyphenyl)ethyl]-(9CI) (CA INDEX NAME)

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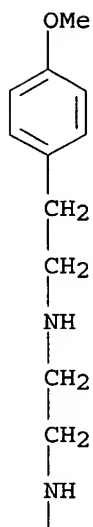


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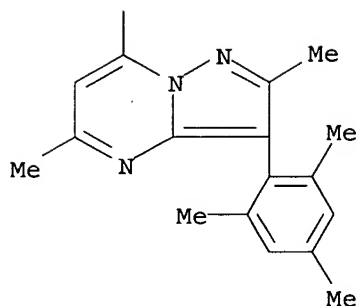


RN 332178-34-4 CAPLUS
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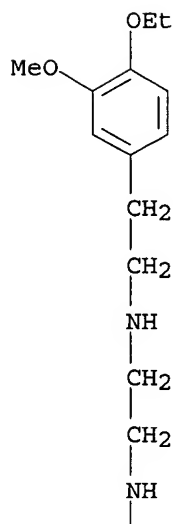


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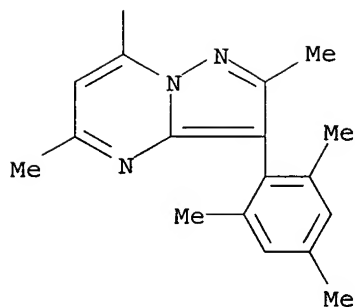


RN 332178-35-5 CAPLUS
 CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

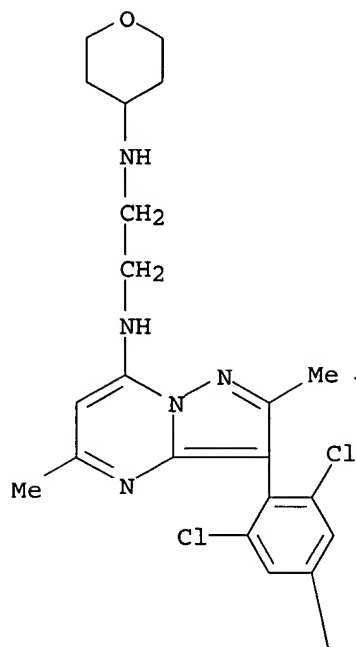


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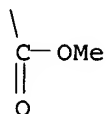


RN 332178-37-7 CAPLUS
 CN Benzoic acid, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, methyl ester (9CI)
 (CA INDEX NAME)

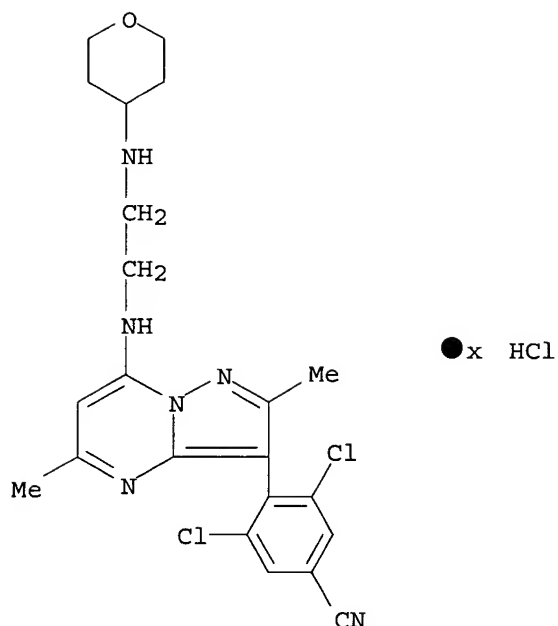
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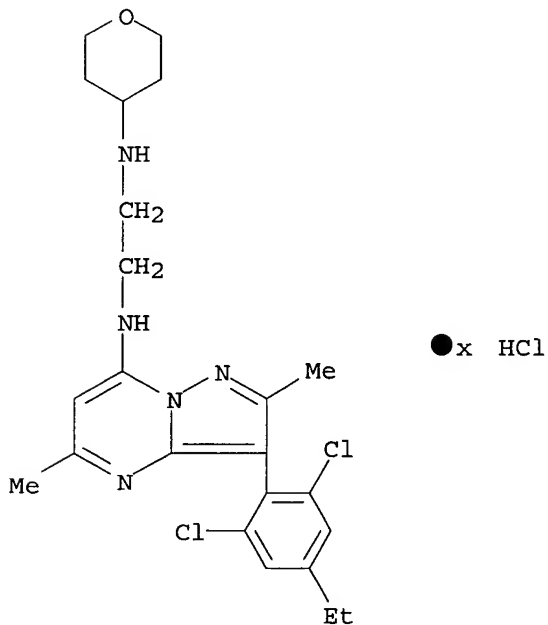
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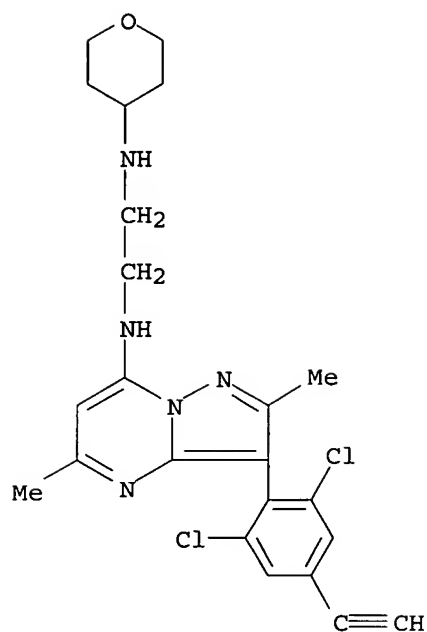
RN 332178-38-8 CAPLUS
 CN Benzonitrile, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, hydrochloride (9CI)
 (CA INDEX NAME)



RN 332178-39-9 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



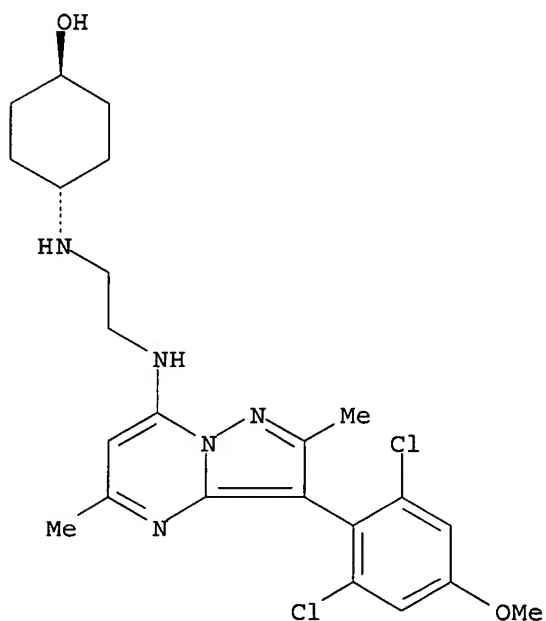
RN 332178-40-2 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



RN 332178-41-3 CAPLUS

CN Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]-, trans- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

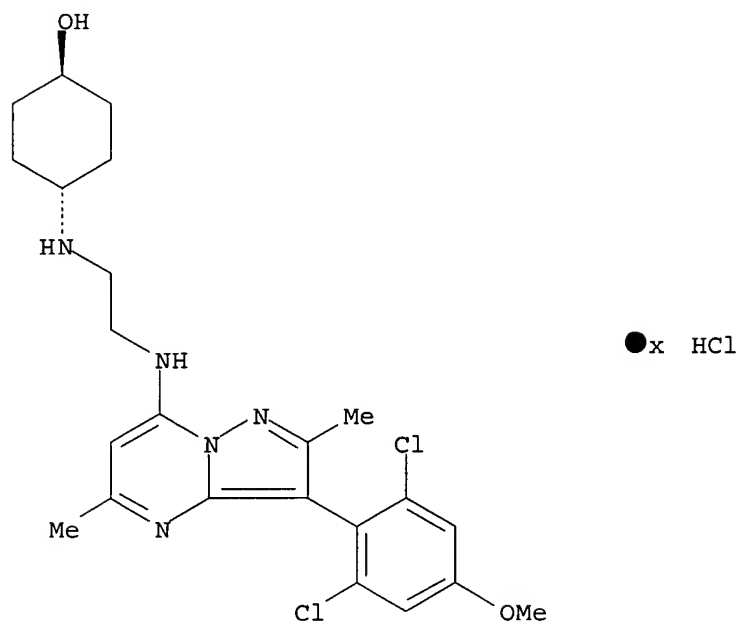


RN 332178-42-4 CAPLUS

CN Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]-, hydrochloride,

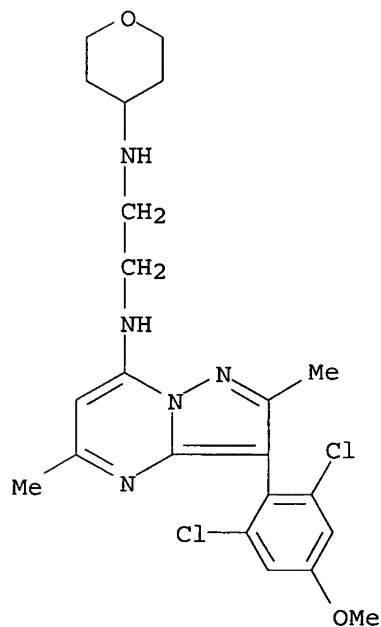
trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



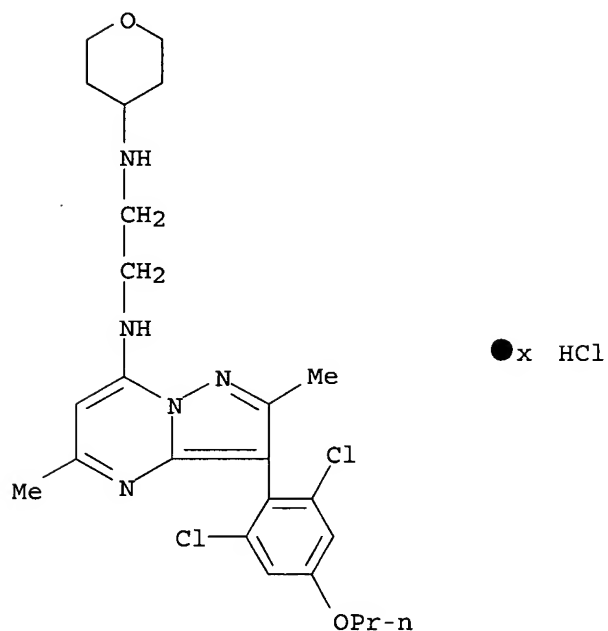
RN 332178-44-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



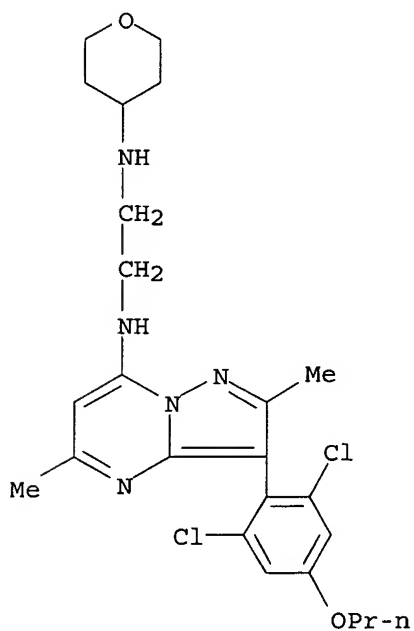
RN 332178-45-7 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-propoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



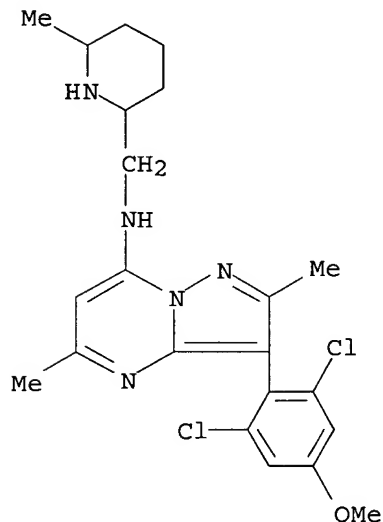
RN 332178-46-8 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-propoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-, (9CI) (CA INDEX NAME)



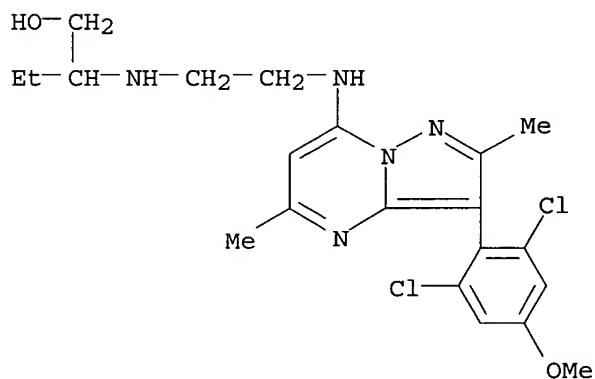
RN 332178-47-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-N-[(6-methyl-2-piperidiny)methyl]- (9CI) (CA INDEX NAME)



RN 332178-48-0 CAPLUS

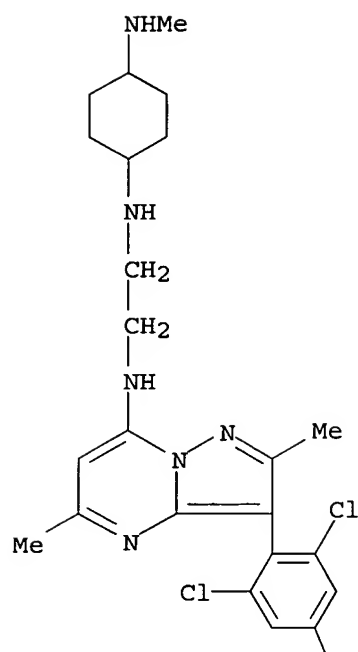
CN 1-Butanol, 2-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)



RN 332178-49-1 CAPLUS

CN 1,4-Cyclohexanediamine, N-[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-N'-methyl- (9CI) (CA INDEX NAME)

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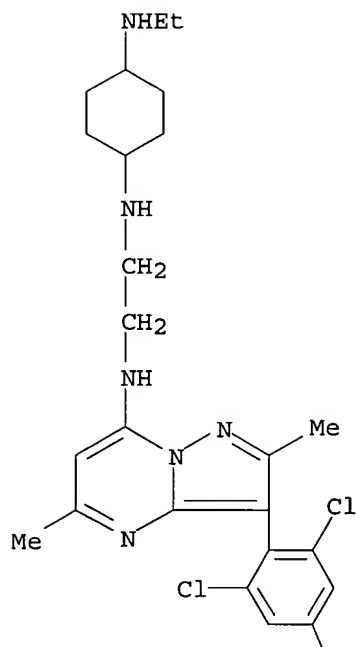


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RN 332178-50-4 CAPLUS
 CN 1,4-Cyclohexanediamine, N-[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-N'-ethyl- (9CI) (CA INDEX NAME)

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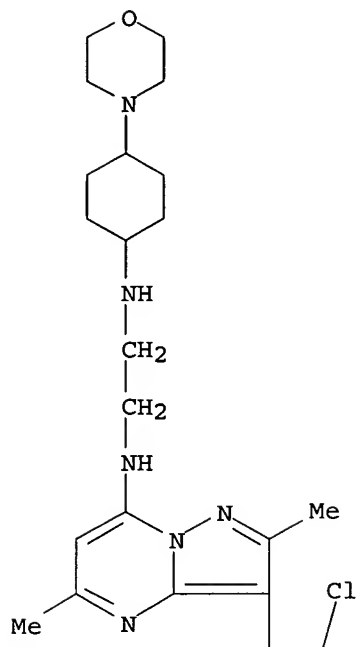


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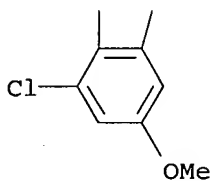


RN 332178-51-5 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[4-(4-morpholinyl)cyclohexyl]- (9CI) (CA INDEX NAME)

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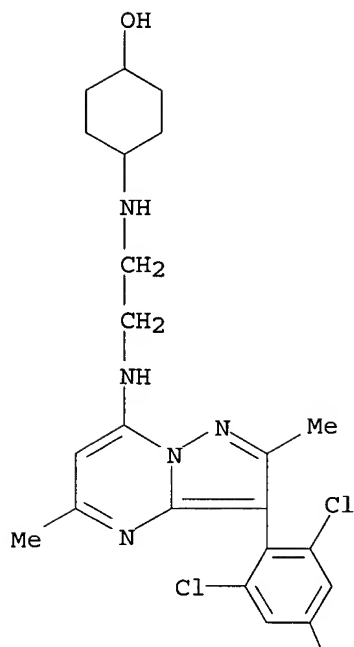


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RN 332178-52-6 CAPLUS
 CN Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

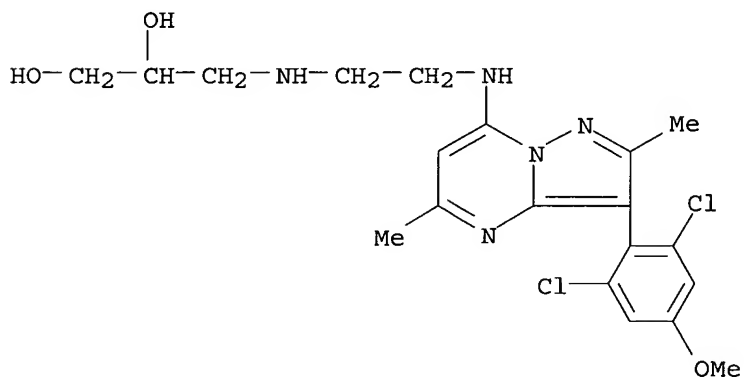
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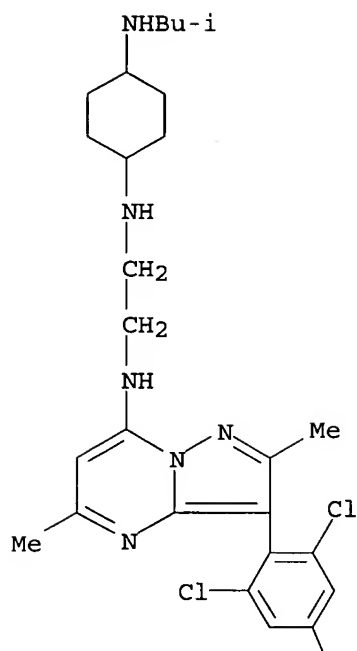
RN 332178-53-7 CAPLUS
 CN 1,2-Propanediol, 3-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)



RN 332178-54-8 CAPLUS
 CN 1,4-Cyclohexanediamine, N-[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-N'-(2-methylpropyl)-

(9CI) (CA INDEX NAME)

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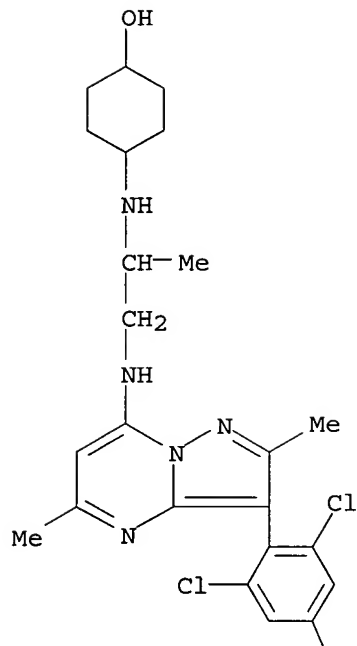


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RN 332178-55-9 CAPLUS
 CN Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-methylethyl]amino] - (9CI)
 (CA INDEX NAME)

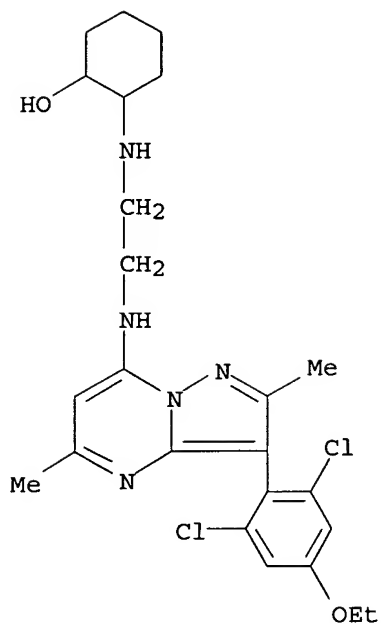
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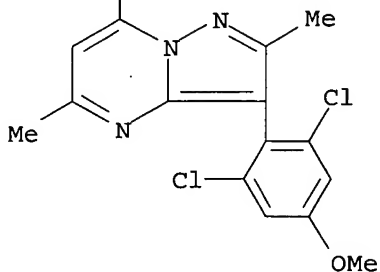


RN 332178-56-0 CAPLUS
 CN Cyclohexanol, 2-[[2-[[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)



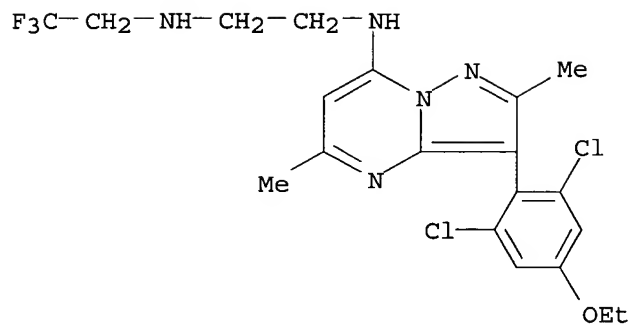
RN 332178-57-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(4,4,4-trifluorobutyl)- (9CI)
(CA INDEX NAME)

F₃C-(CH₂)₃-NH-CH₂-CH₂-NH

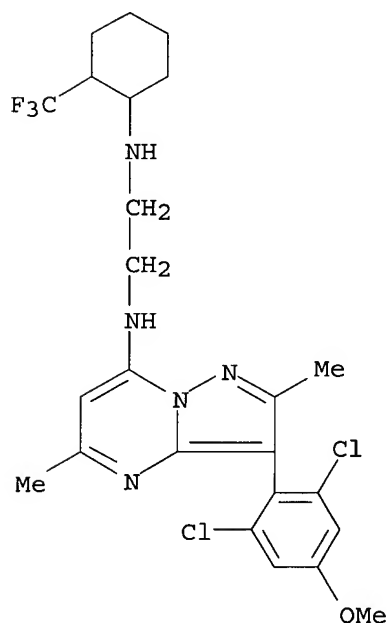
RN 332178-59-3 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2,2-trifluoroethyl)- (9CI)
(CA INDEX NAME)



RN 332178-60-6 CAPLUS

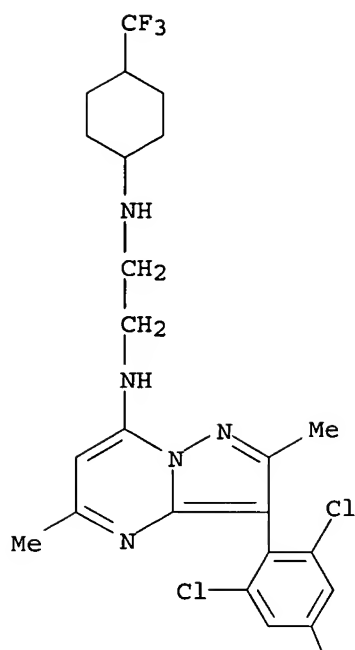
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2-(trifluoromethyl)cyclohexyl)-(9CI) (CA INDEX NAME)



RN 332178-61-7 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(4-(trifluoromethyl)cyclohexyl)-(9CI) (CA INDEX NAME)

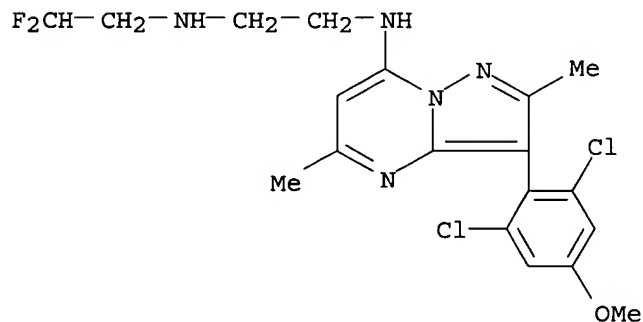
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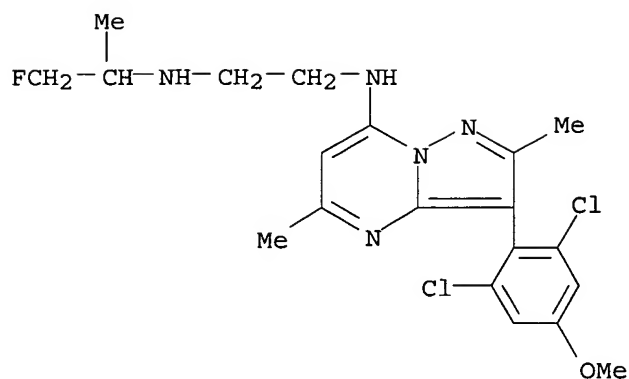
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RN 332178-62-8 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2-difluoroethyl)- (9CI) (CA INDEX NAME)

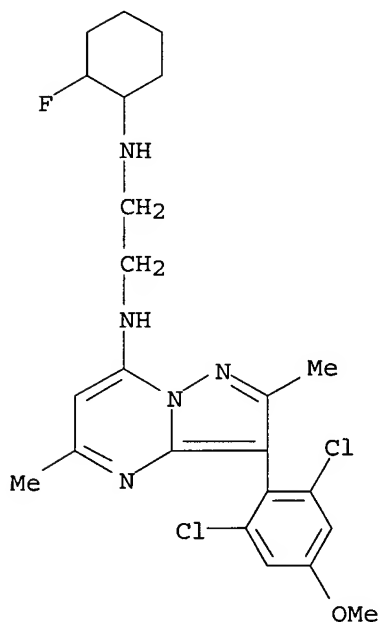


RN 332178-63-9 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2-fluoro-1-methylethyl)- (9CI) (CA INDEX NAME)



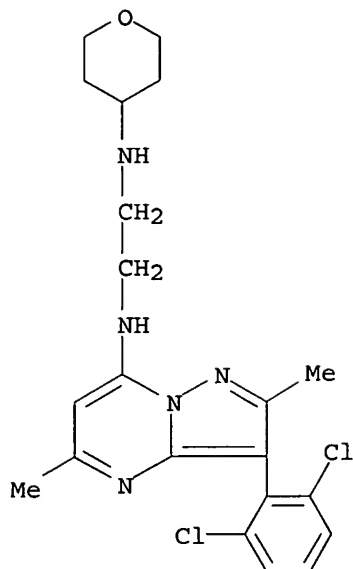
RN 332178-64-0 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2-fluorocyclohexyl) - (9CI) (CA INDEX NAME)



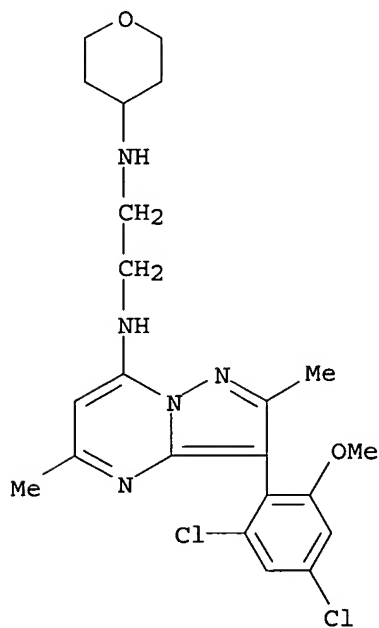
RN 332178-65-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl) - (9CI) (CA INDEX NAME)



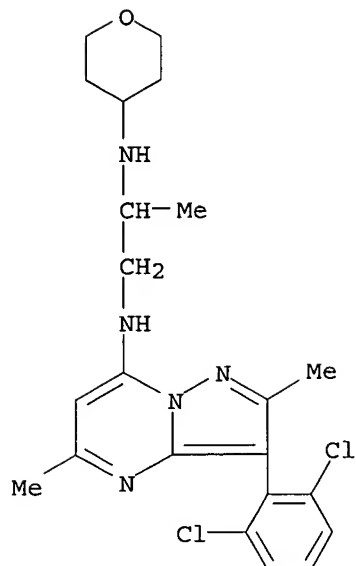
RN 332178-66-2 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dichloro-6-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

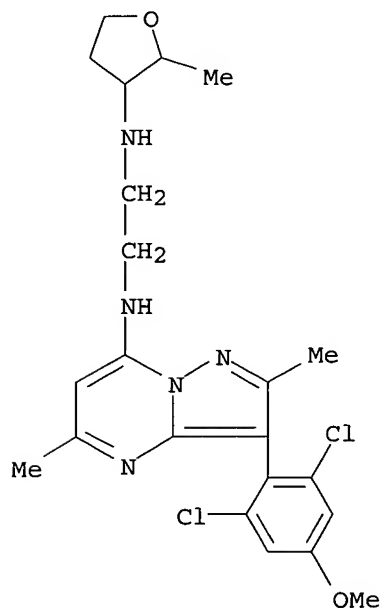


RN 332178-67-3 CAPLUS

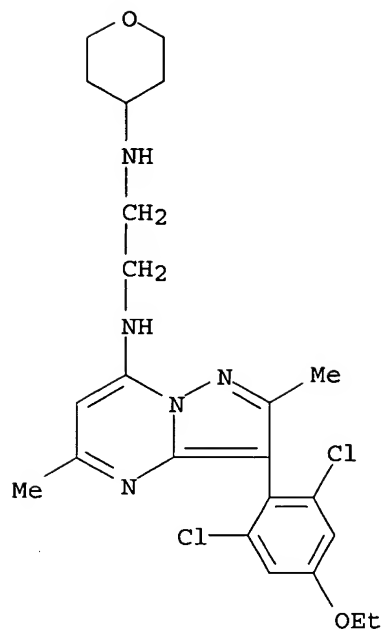
CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)



RN 332178-68-4 CAPLUS
 CN 1,2-Ethanediimine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2-methyl-3-furanyl)-(9CI) (CA INDEX NAME)

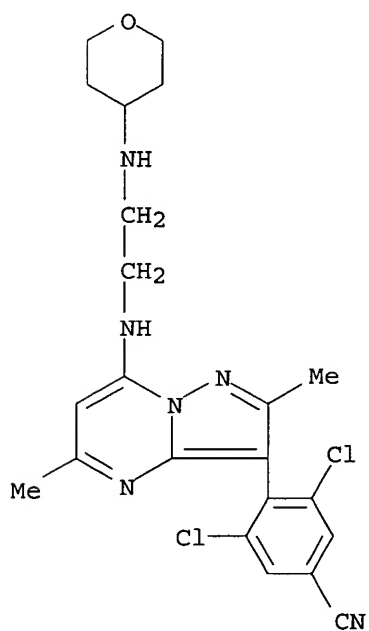


RN 332178-69-5 CAPLUS
 CN 1,2-Ethanediimine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)



RN 332178-70-8 CAPLUS

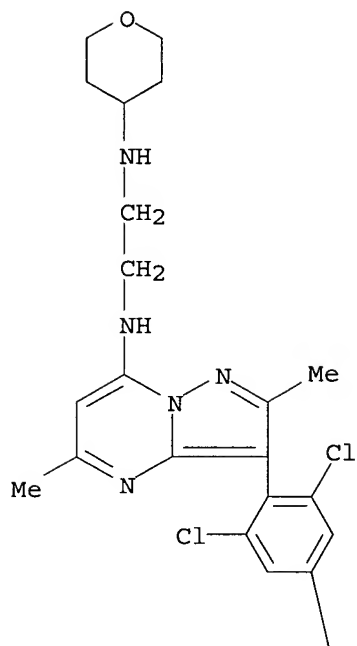
CN Benzonitrile, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



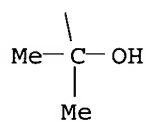
RN 332178-71-9 CAPLUS

CN Benzenemethanol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-α,α-dimethyl- (9CI) (CA INDEX NAME)

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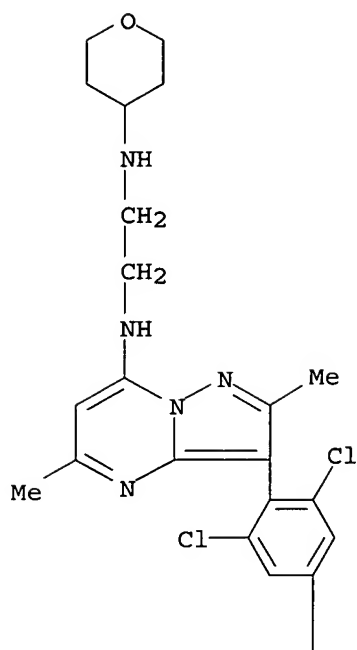


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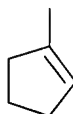


RN 332178-72-0 CAPLUS
 CN 1,2-Ethanediamine, N-[3-[2,6-dichloro-4-(1-cyclopenten-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

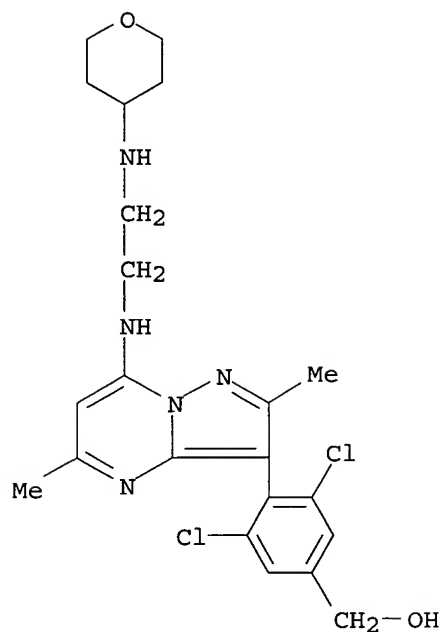
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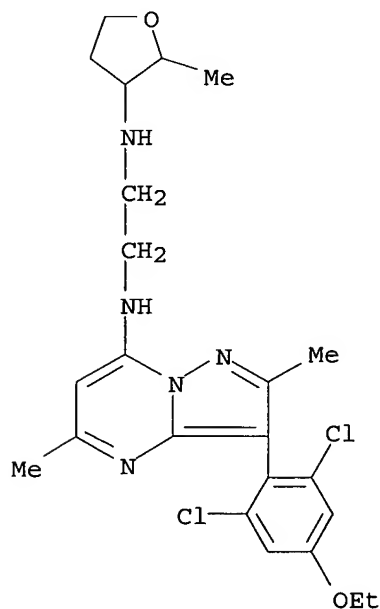


RN 332178-74-2 CAPLUS
 CN Benzenemethanol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX, NAME)



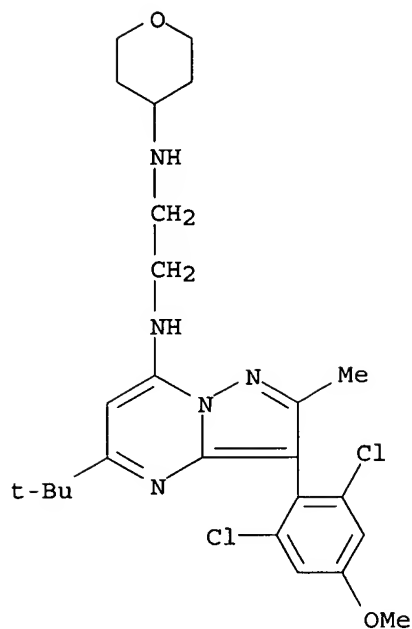
RN 332178-76-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2-methyl-3-furanyl)-(9CI) (CA INDEX NAME)



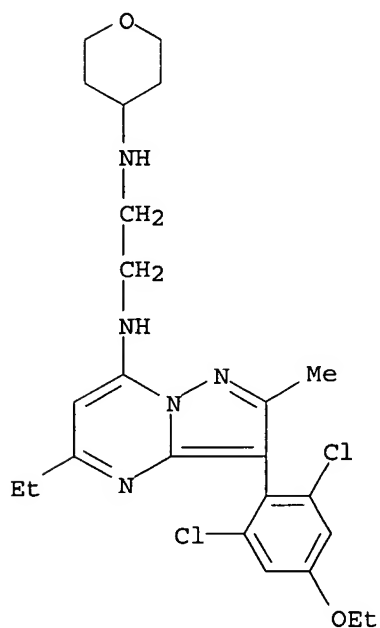
RN 332178-77-5 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-5-(1,1-dimethylethyl)-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)



RN 332178-78-6 CAPLUS

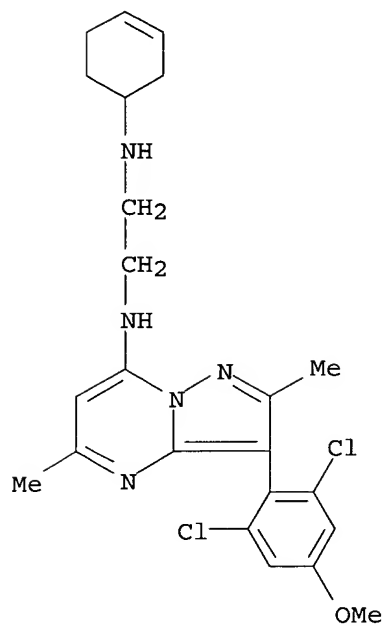
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl) - (9CI)
(CA INDEX NAME)



RN 332178-79-7 CAPLUS

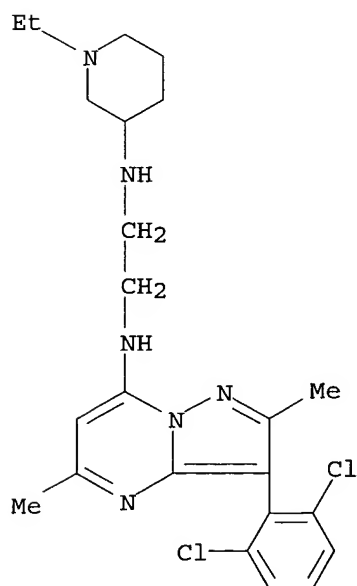
CN 1,2-Ethanediamine, N-3-cyclohexen-1-yl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl] - (9CI) (CA

INDEX NAME)



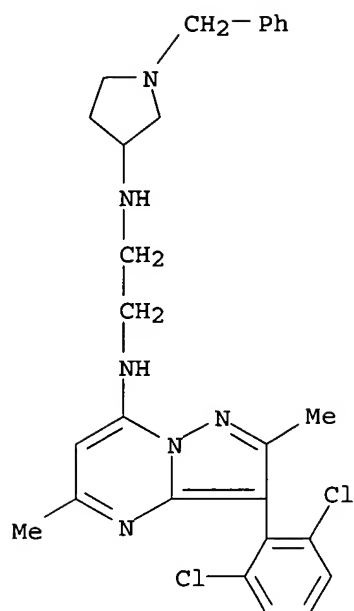
RN 332178-82-2 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-3-piperidiny)- (9CI) (CA INDEX NAME)



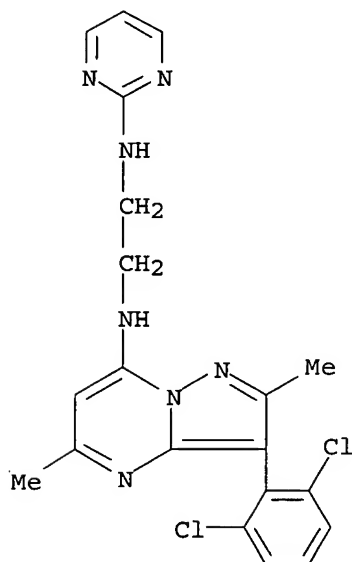
RN 332178-83-3 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-(phenylmethyl)-3-pyrrolidiny)- (9CI) (CA INDEX NAME)



RN 332178-84-4 CAPLUS

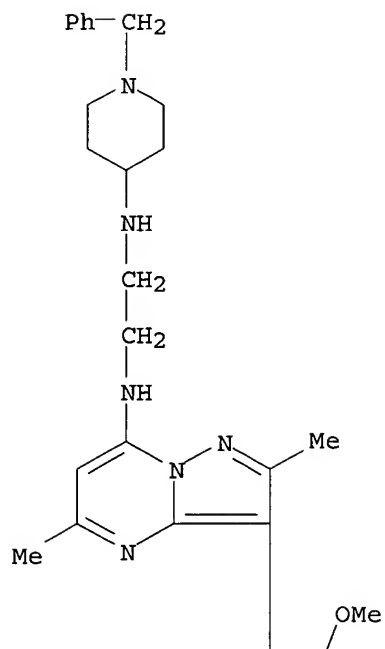
CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-2-pyrimidinyl- (9CI) (CA INDEX NAME)



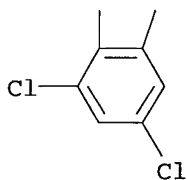
RN 332178-85-5 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dichloro-6-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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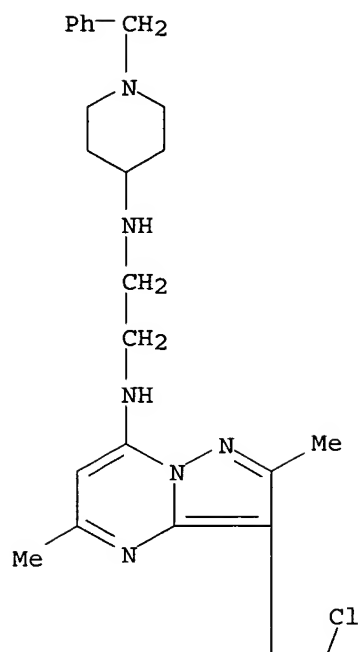


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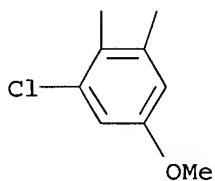


RN 332178-86-6 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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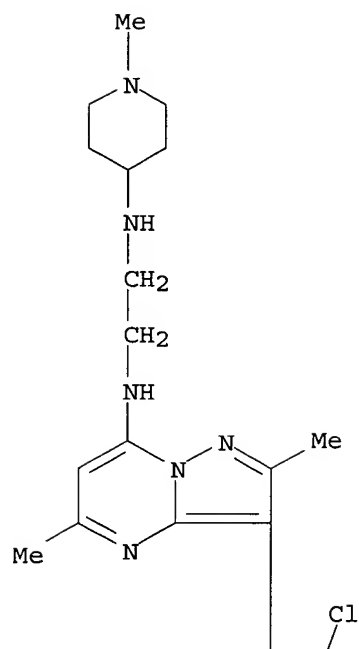


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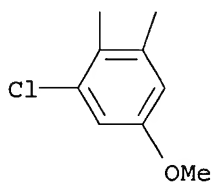


RN 332178-87-7 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-methyl-4-piperidiny)- (9CI)
 (CA INDEX NAME)

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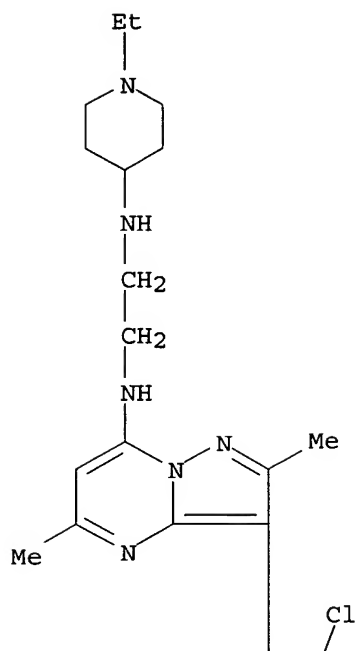


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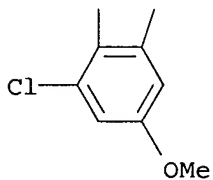


RN 332178-88-8 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-4-piperidiny)- (9CI)
 (CA INDEX NAME)

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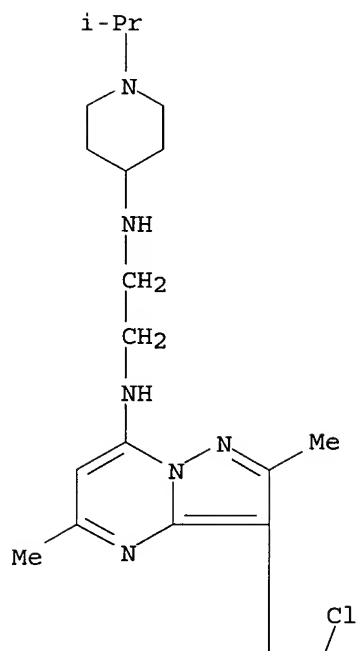


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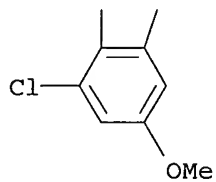


RN 332178-89-9 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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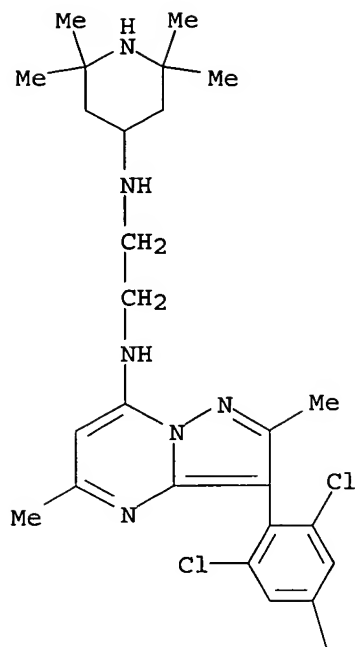


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RN 332178-90-2 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2,6,6-tetramethyl-4-piperidiny)- (9CI) (CA INDEX NAME)

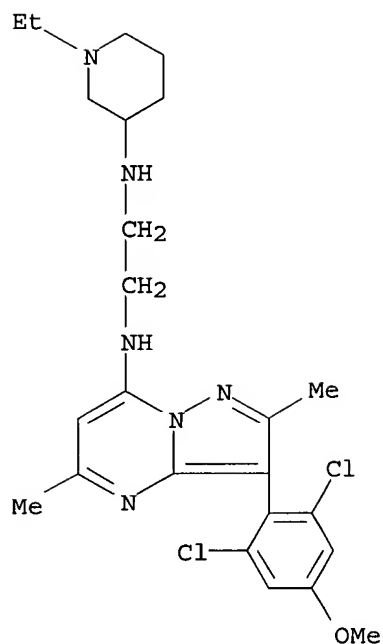
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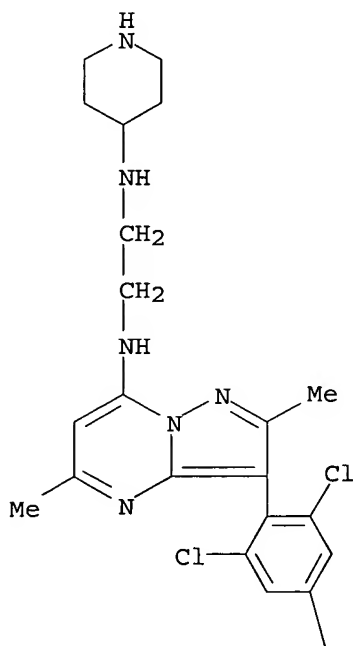


RN 332178-91-3 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-3-piperidiny)- (9CI)
 (CA INDEX NAME)



RN 332178-92-4 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-4-piperidinyl- (9CI) (CA INDEX NAME)

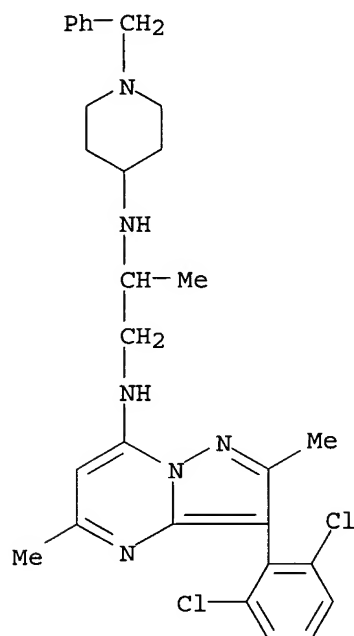
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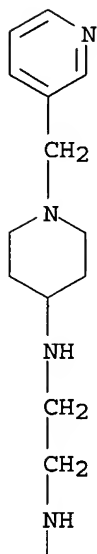


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CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

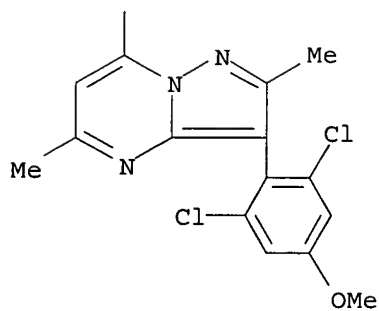


RN 332178-94-6 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(3-pyridinylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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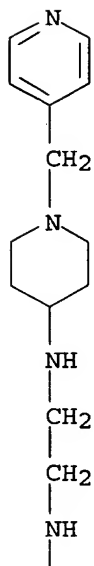


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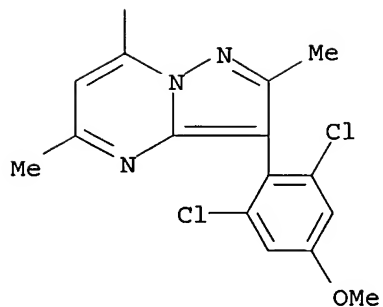


RN 332178-95-7 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(4-pyridinylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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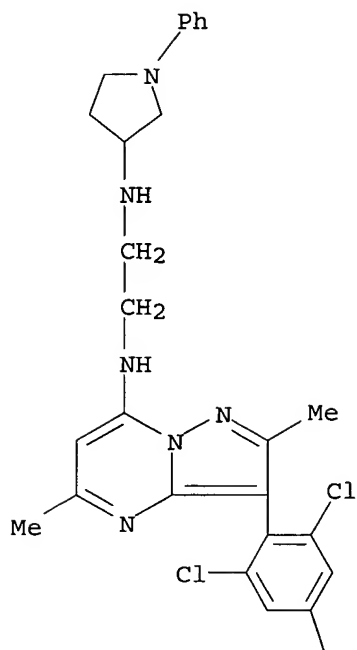


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RN 332178-96-8 CAPLUS
 CN Phenol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(1-phenyl-3-pyrrolidinyl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]]- (9CI) (CA INDEX NAME)

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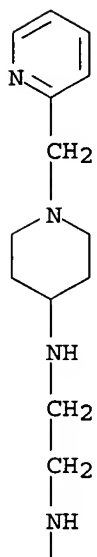


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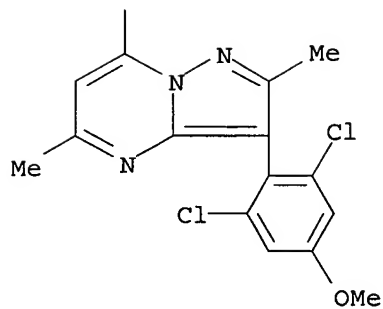


RN 332178-97-9 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyridinylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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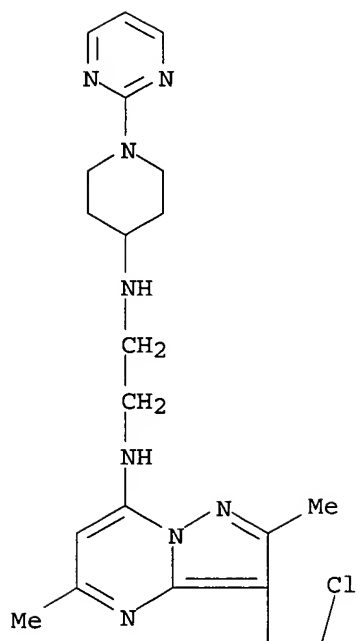


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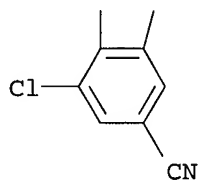


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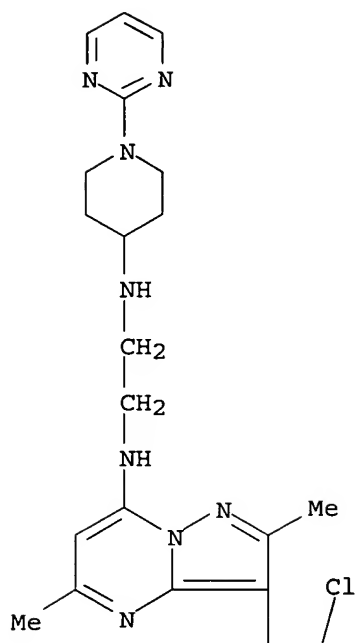


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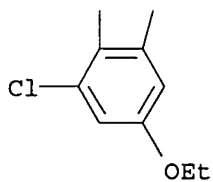


RN 332178-99-1 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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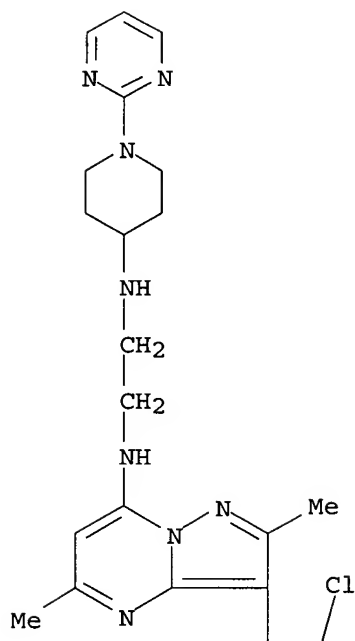


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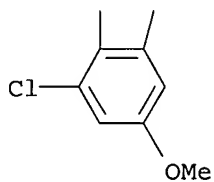


RN 332179-00-7 CAPLUS
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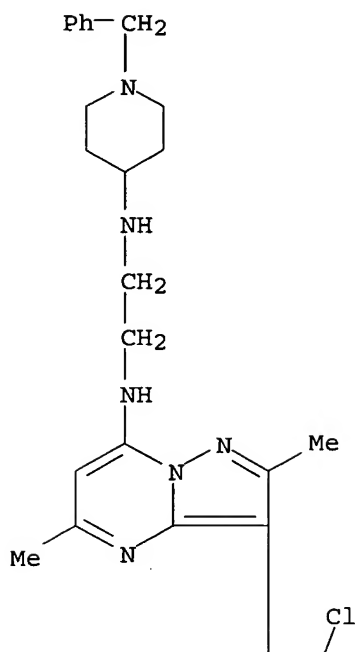


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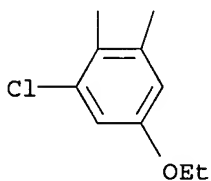


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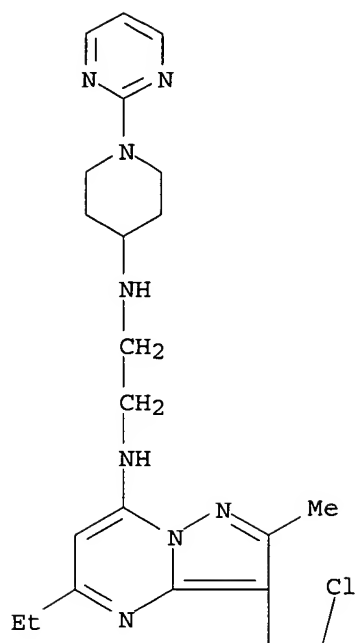


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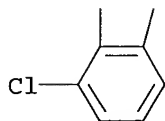


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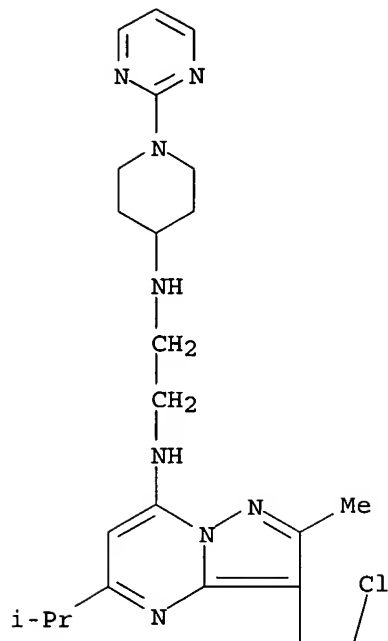


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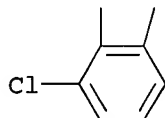


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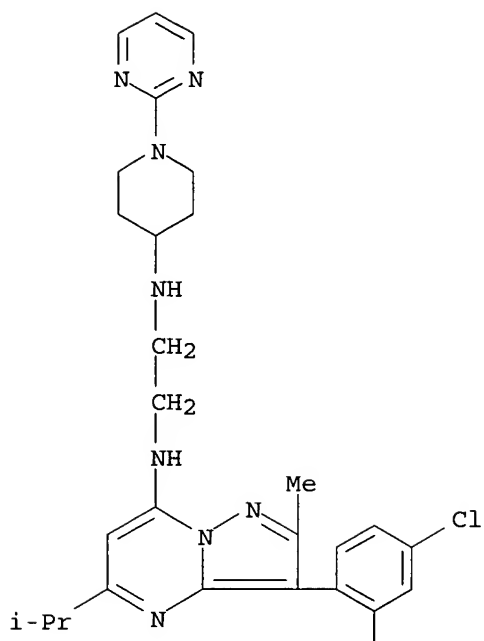


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RN 332179-04-1 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,4-dichlorophenyl)-2-methyl-5-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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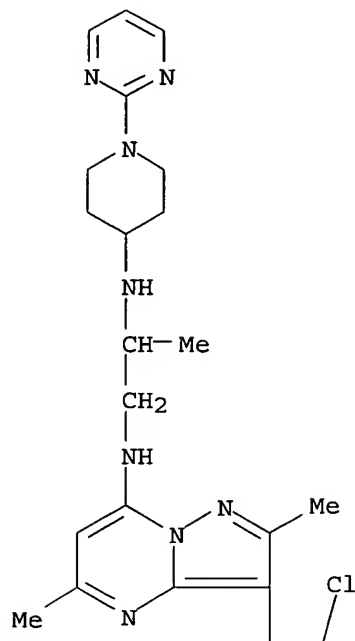


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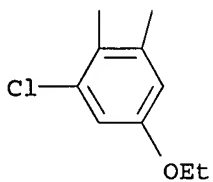


RN 332179-05-2 CAPLUS
 CN 1,2-Propanediamine, N1-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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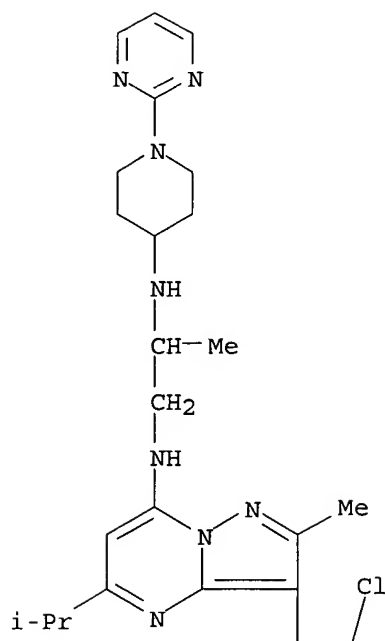


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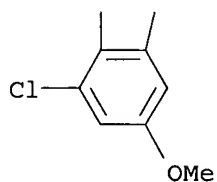


RN 332179-06-3 CAPLUS
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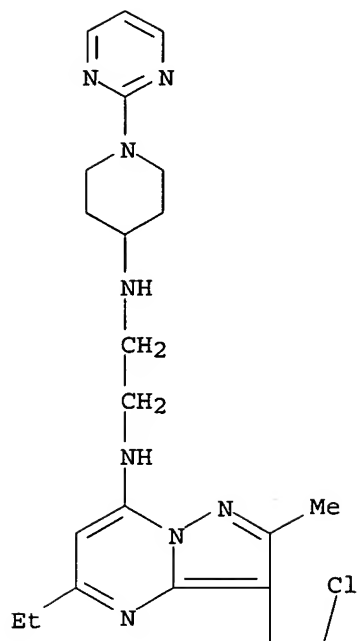


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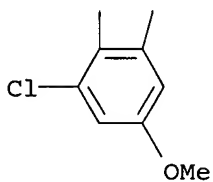


RN 332179-07-4 CAPLUS
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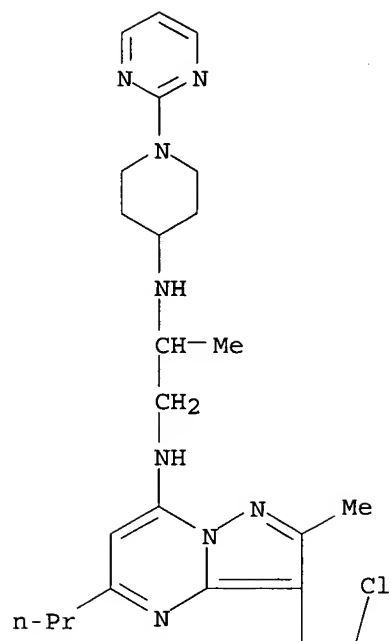


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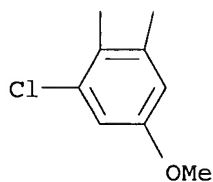


RN 332179-08-5 CAPLUS
 CN 1,2-Propanediamine, N1-[3-(2,6-dichloro-4-methoxyphenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-
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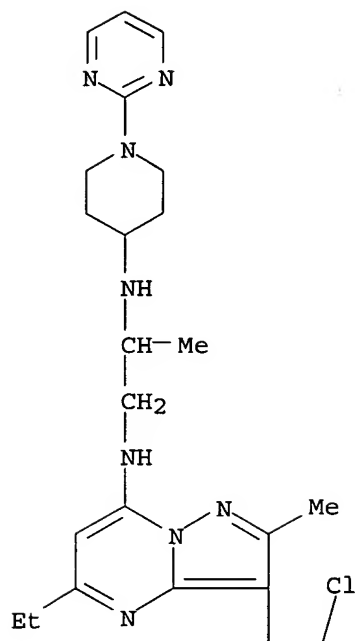


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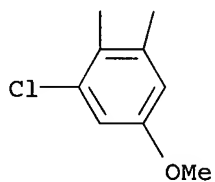


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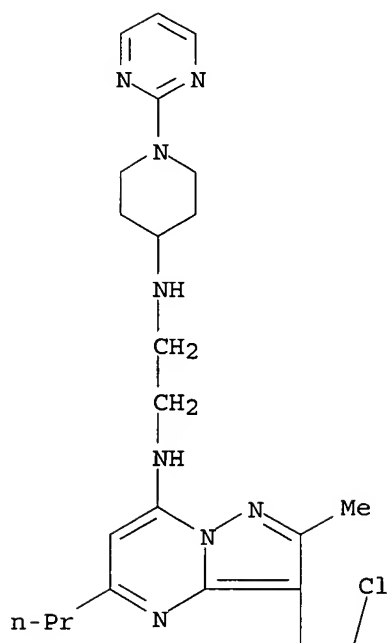


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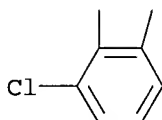


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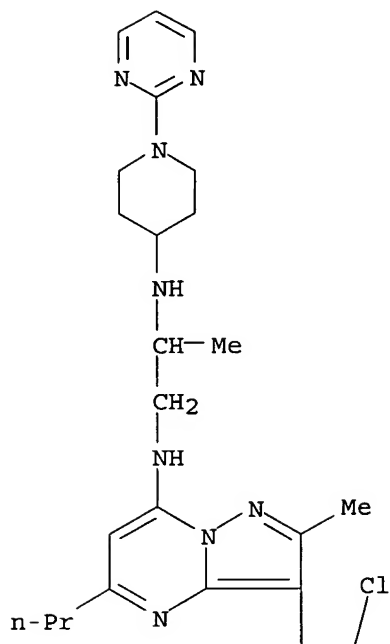


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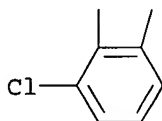


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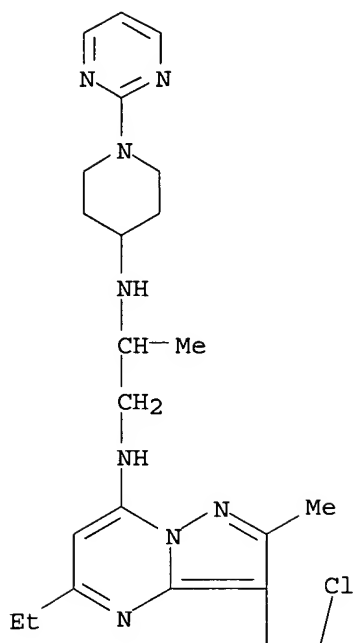


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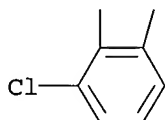


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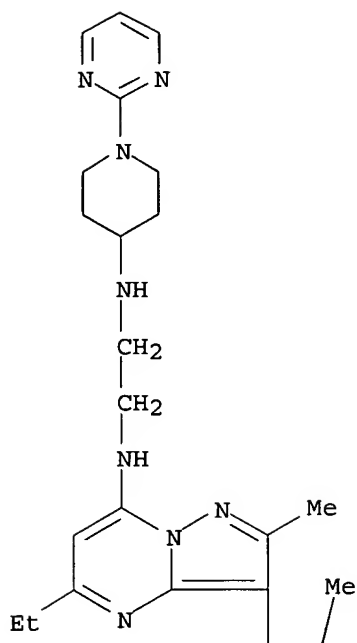


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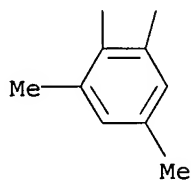


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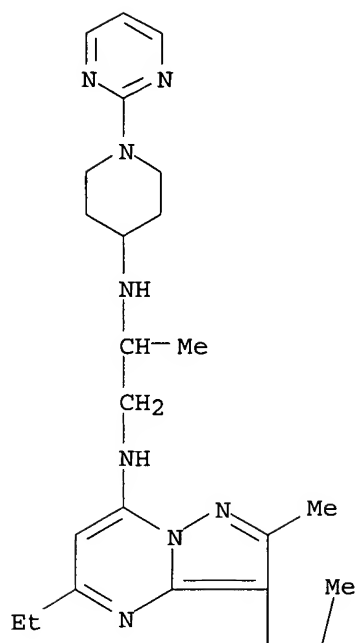


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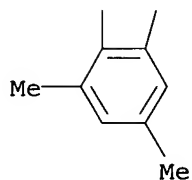


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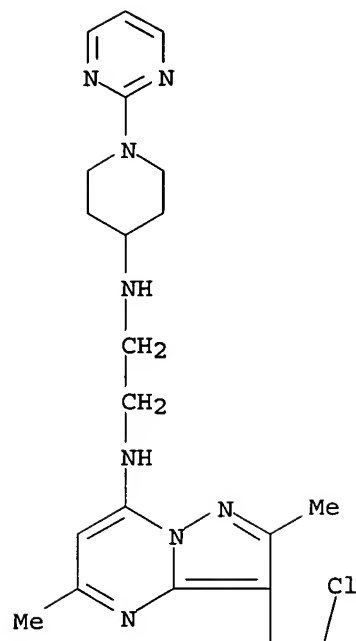


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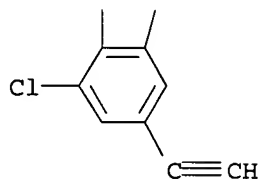


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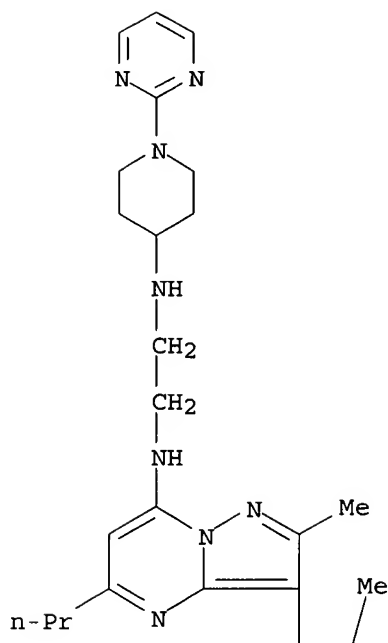


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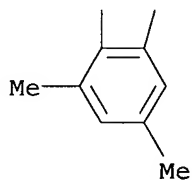


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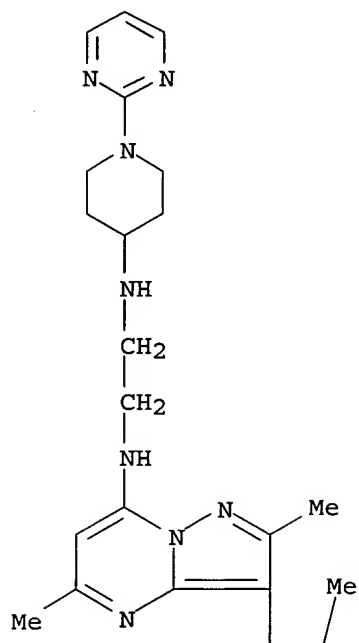


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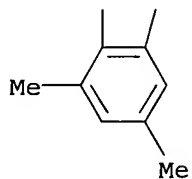


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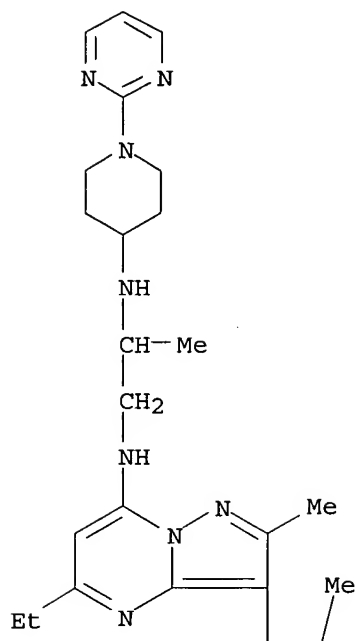


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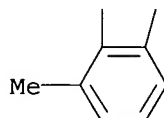


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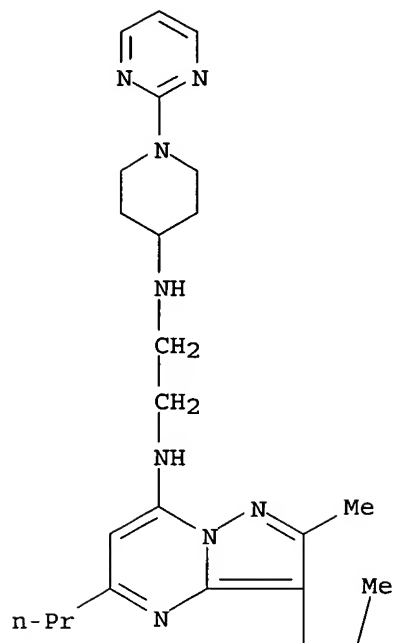


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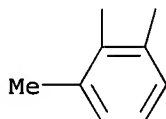


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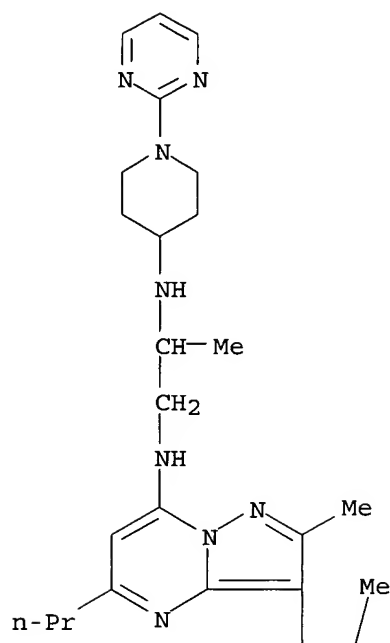


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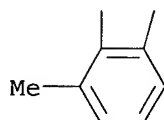


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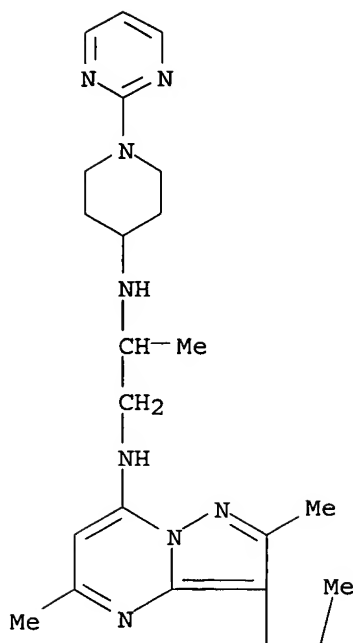


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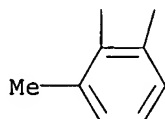


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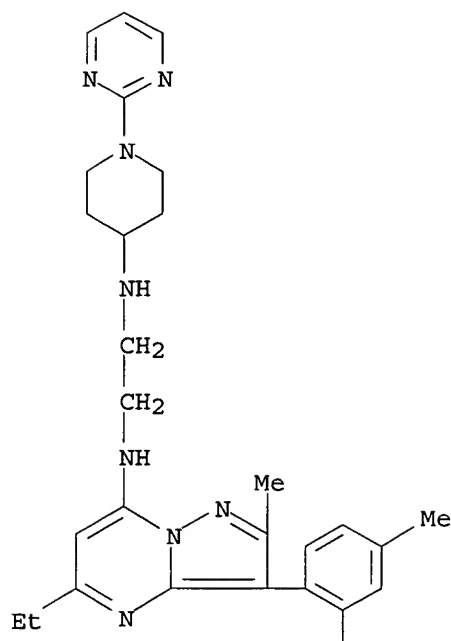


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RN 332179-22-3 CAPLUS
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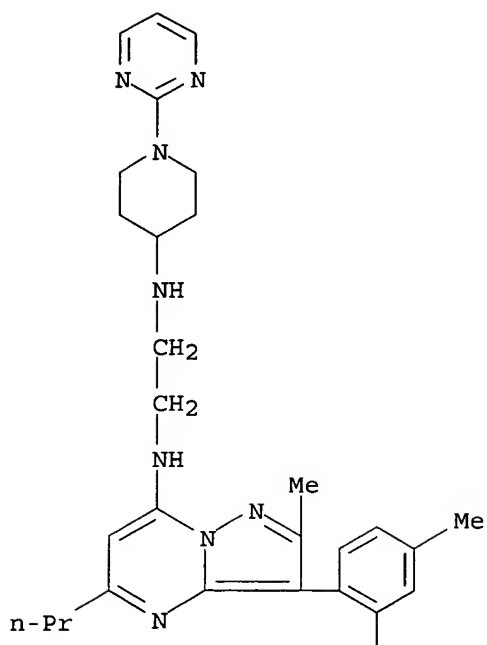


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Me

RN 332179-23-4 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,4-dimethylphenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

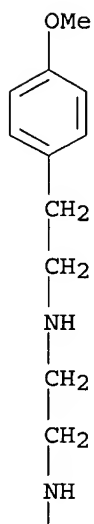


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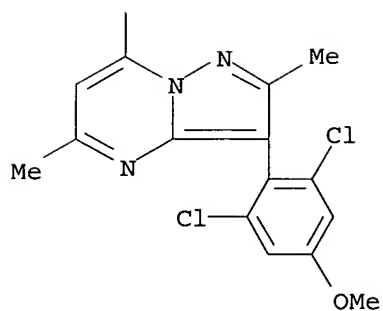


RN 332179-25-6 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-methoxyphenyl)ethyl]-(9CI) (CA INDEX NAME)

PAGE 1-A

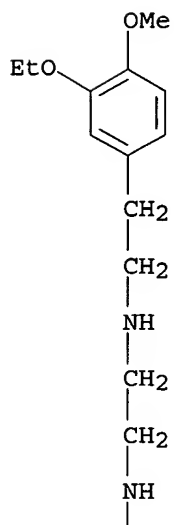


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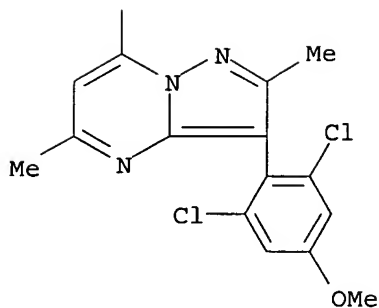


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 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(3-ethoxy-4-methoxyphenyl)ethyl]-(9CI) (CA INDEX NAME)

PAGE 1-A

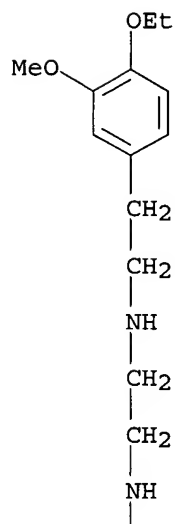


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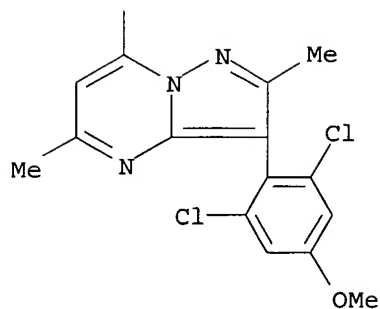


RN 332179-27-8 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

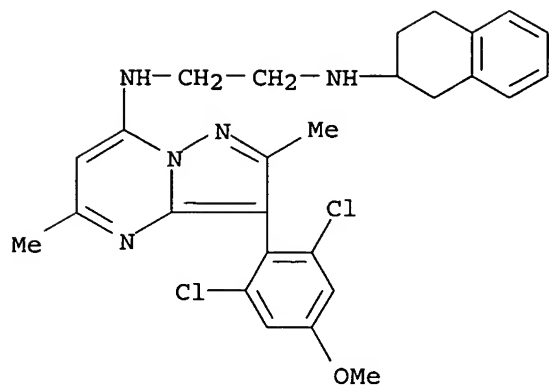
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PAGE 2-A

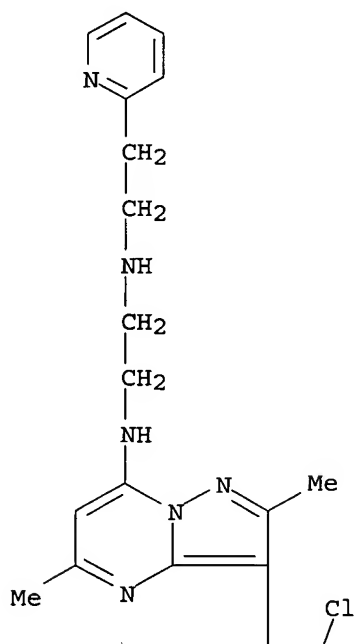


RN 332179-28-9 CAPLUS
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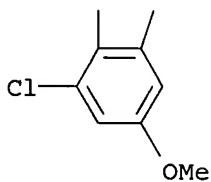


RN 332179-29-0 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(2-pyridinyl)ethyl] - (9CI)
 (CA INDEX NAME)

PAGE 1-A

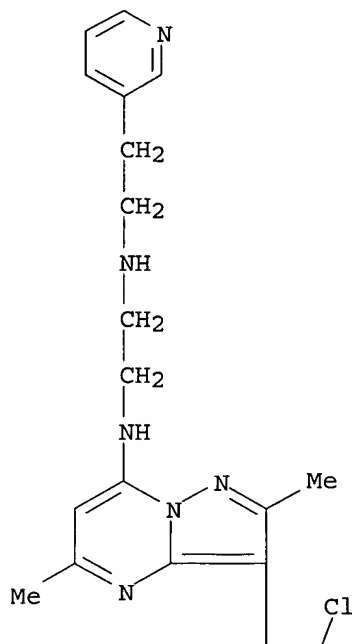


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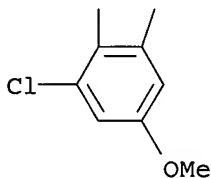


RN 332179-30-3 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(3-pyridinyl)ethyl]- (9CI)
(CA INDEX NAME)

PAGE 1-A

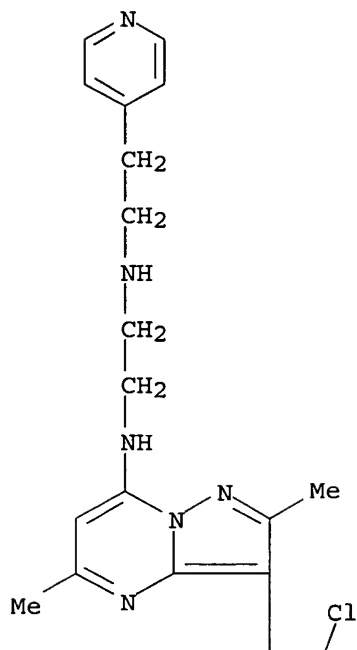


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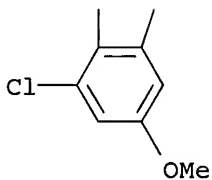


RN 332179-31-4 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-pyridinyl)ethyl]- (9CI)
(CA INDEX NAME)

PAGE 1-A



PAGE 2-A



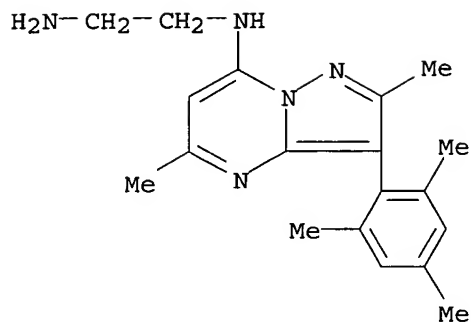
IT 332179-70-1 332179-74-5

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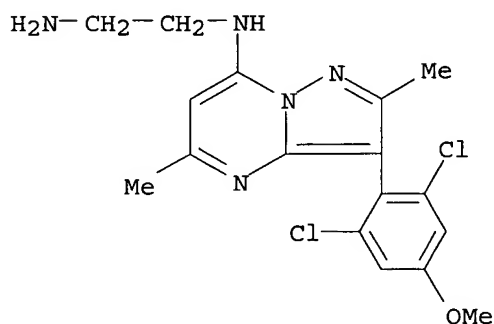
(preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors)

RN 332179-70-1 CAPLUS

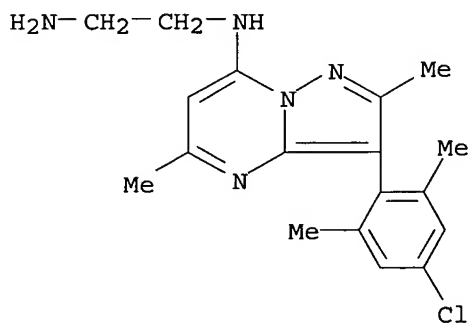
CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 332179-74-5 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



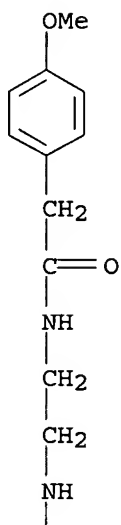
IT 332179-38-1P 332179-42-7P 332179-43-8P
 332179-55-2P 332179-56-3P 332179-57-4P
 332179-58-5P 332179-59-6P 332179-60-9P
 332179-66-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-
 pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators
 of NPY1 receptors)
 RN 332179-38-1 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



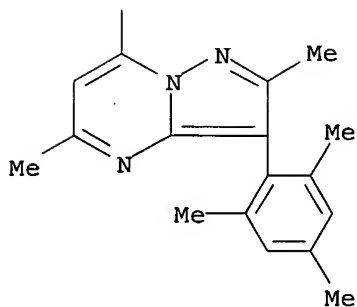
RN 332179-42-7 CAPLUS

CN Benzeneacetamide, N-[2-[[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-4-methoxy-(9CI) (CA INDEX NAME)

PAGE 1-A

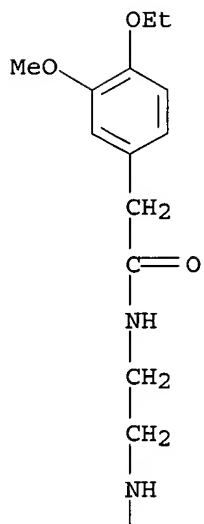


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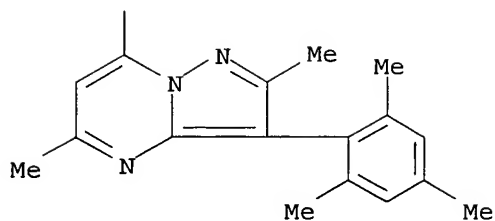


RN 332179-43-8 CAPLUS
CN Benzeneacetamide, N-[2-[[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-4-ethoxy-3-methoxy- (9CI) (CA INDEX NAME)

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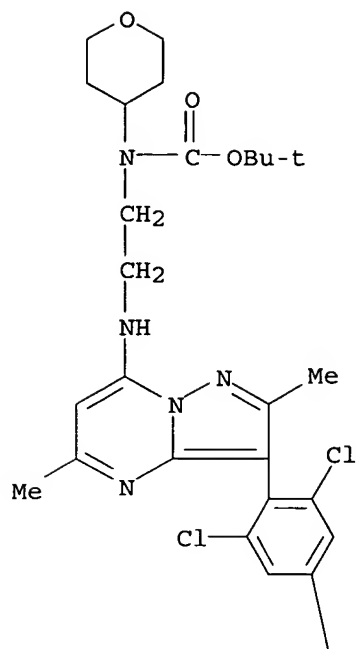
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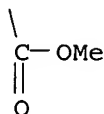
RN 332179-55-2 CAPLUS

CN Benzoic acid, 3,5-dichloro-4-[7-[[2-[[[(1,1-dimethylethoxy) carbonyl] (tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

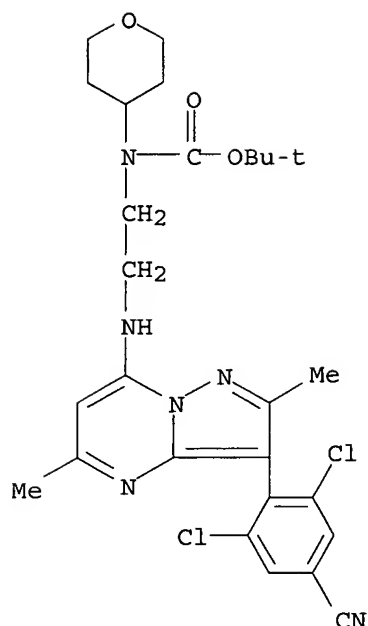
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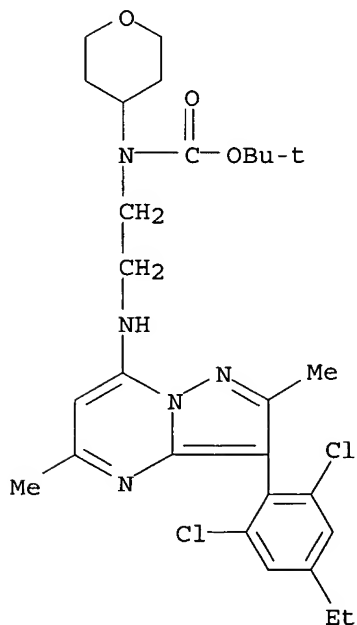


RN 332179-56-3 CAPLUS
 CN Carbamic acid, [2-[[3-(2,6-dichloro-4-cyanophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl] (tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



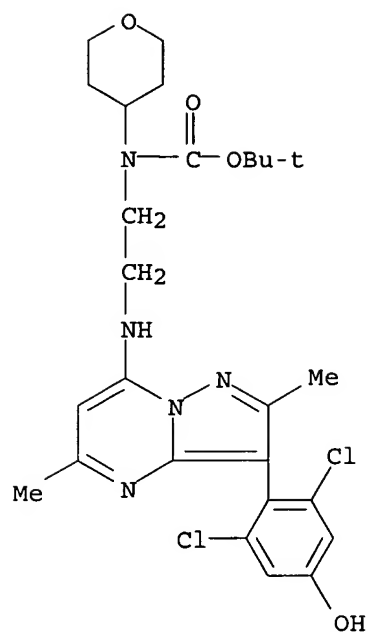
RN 332179-57-4 CAPLUS

CN Carbamic acid, [2-[[3-(2,6-dichloro-4-ethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 332179-58-5 CAPLUS

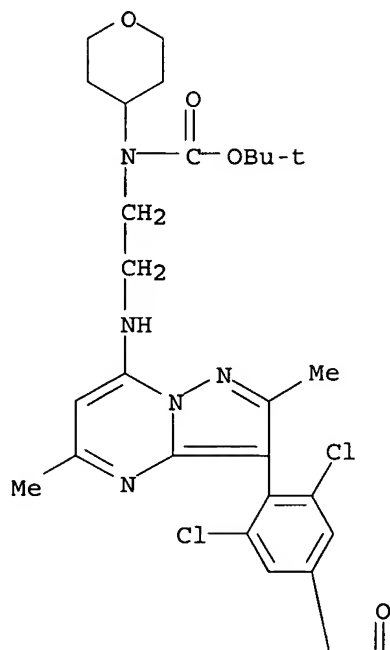
CN Carbamic acid, [2-[[3-(2,6-dichloro-4-hydroxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



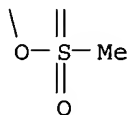
RN 332179-59-6 CAPLUS

CN Carbamic acid, [2-[[3-[2,6-dichloro-4-[(methylsulfonyl)oxy]phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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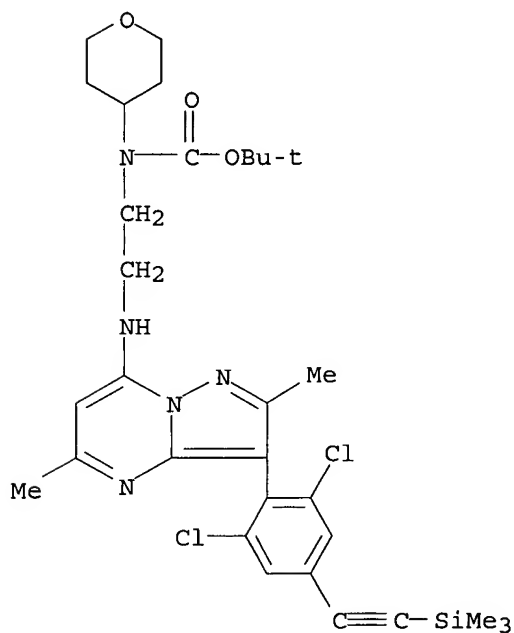


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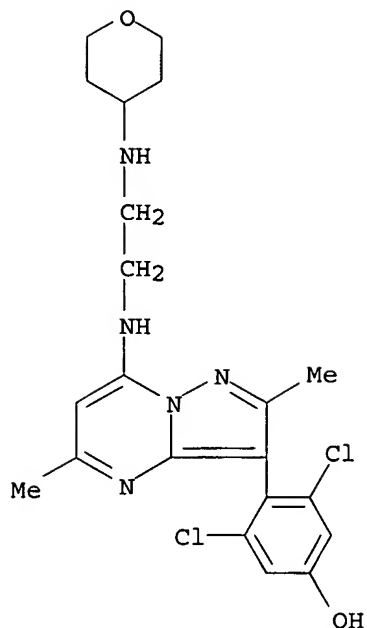
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CN Carbamic acid, [2-[[3-[2,6-dichloro-4-[(trimethylsilyl)ethynyl]phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 332179-66-5 CAPLUS

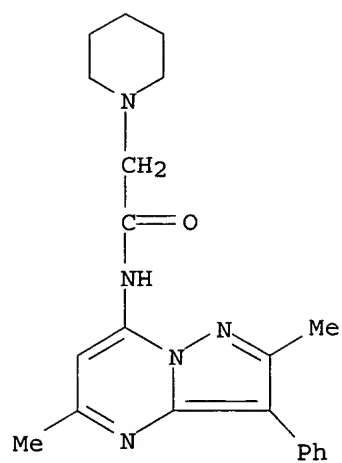
CN Phenol, 3,5-dichloro-4-[2,5-dimethyl-7-[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, hydrobromide (9CI) (CA INDEX NAME)

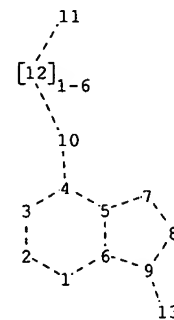
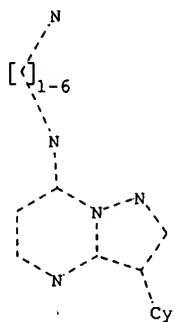


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L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1971:22872 CAPLUS
 DOCUMENT NUMBER: 74:22872
 TITLE: 7-Aminoalkylaminopyrazolo[1,5-a]pyrimidine derivatives
 INVENTOR(S): Takamizawa, Akira
 PATENT ASSIGNEE(S): Shionogi and Co., Ltd.
 SOURCE: Jpn. Tokkyo Koho, 3 pp.
 CODEN: JAXXAD
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 45030335	B4	19701001	JP	19661214
GI	For diagram(s), see printed CA Issue.				
AB	I is treated with an amine and the resulting II reduced to manufacture III, useful as an antipyretic, analgesic, and antiinflammatory drug. In an example, I in CHCl ₃ is refluxed 5 hr with piperidine to give II (A = piperidino), m. 195-6°. Similarly prepared are the following II (A given): morpholino; NMe ₂ . III (A = piperidino) in THF is dropped into a suspension of LiAlH ₄ in THF and the mixture refluxed 4 hr to give III (A = piperidino). Similarly prepared are the following III (A given): morpholino; NMe ₂ .				
IT	30156-81-1P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	30156-81-1 CAPLUS				
CN	1-Piperidineacetamide, N-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI) (CA INDEX NAME)				





chain nodes :

13

ring nodes :

1 2 3 4 5 6 7 8 9

ring/chain nodes :

10 11 12

chain bonds :

4-10 9-13

ring/chain bonds :

10-12 11-12

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

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Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

11:CLASS 12:CLASS 13:Atom

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=> file registry

FILE 'REGISTRY' ENTERED AT 14:30:53 ON 24 AUG 2005

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STRUCTURE FILE UPDATES: 23 AUG 2005 HIGHEST RN 861509-89-9

DICTIONARY FILE UPDATES: 23 AUG 2005 HIGHEST RN 861509-89-9

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005. A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> file caplus

FILE 'CAPLUS' ENTERED AT 14:30:57 ON 24 AUG 2005

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FILE COVERS 1907 - 24 Aug 2005 VOL 143 ISS 9

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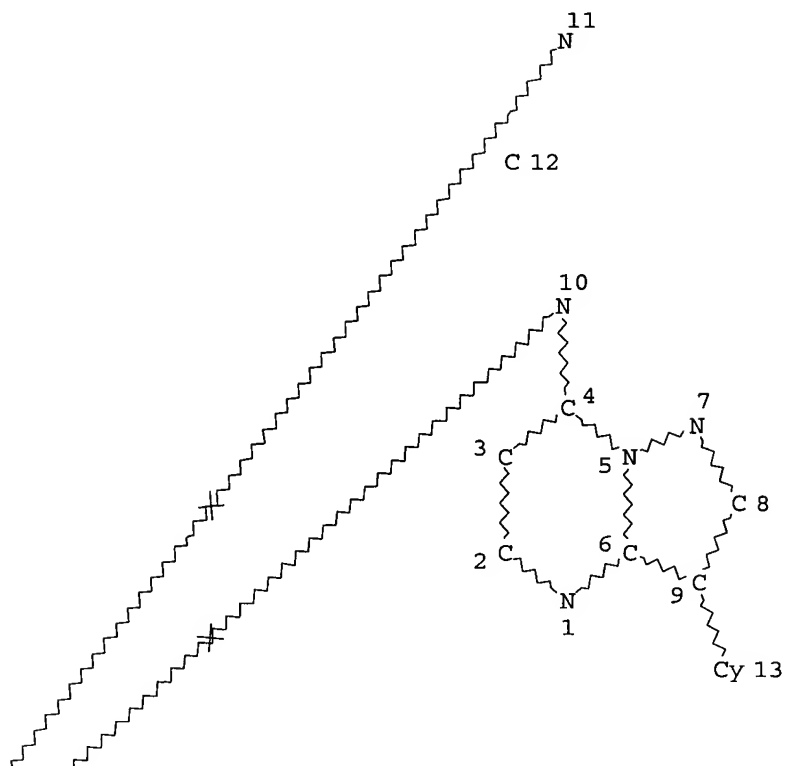
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate

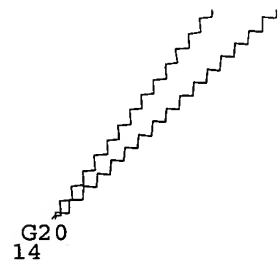
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L1 STR



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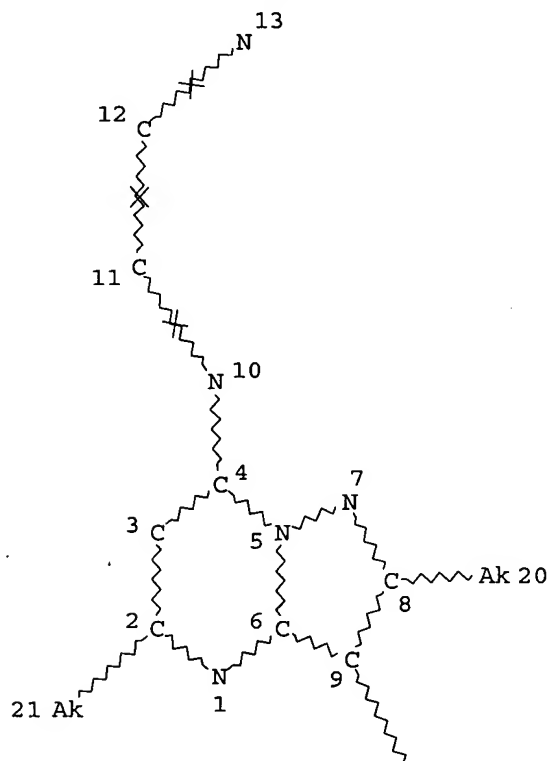
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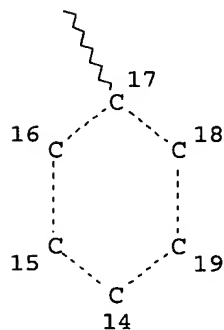
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NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

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L7 24 SEA FILE=CAPLUS ABB=ON PLU=ON L6
L8 STR



Page 1-A



Page 2-A

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 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS M1-X6 C AT 20
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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

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 L13 19 SEA FILE=CAPLUS ABB=ON PLU=ON L7 NOT L11

=> d ibib abs hitstr L13 1-19

L13 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:160837 CAPLUS

DOCUMENT NUMBER: 142:233372

TITLE: Pharmaceutical composition using a combination of an
 opioid receptor antagonist and a CB-1 receptor
 antagonist for the prevention and treatment of
 addiction in a mammal

INVENTOR(S): Coe, Jotham Wadsworth; Iredale, Philip A.; McHardy,
 Stanton Furst; McLean, Stafford

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 US 2005043327 A1 20050224 US 2004-870209 20040617
 WO 2005018645 A1 20050303 WO 2004-IB2596 20040809
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 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-496803P

P 20030821

AB Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine dependence or addiction, tobacco dependence or addiction, reduction of alc. withdrawal symptoms or aiding in the cessation or lessening of alc. use or substance abuse or other behavioral dependencies including gambling. The pharmaceutical compns. are comprised of a therapeutically effective combination of an opioid receptor antagonist and a CB-1 receptor antagonist and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

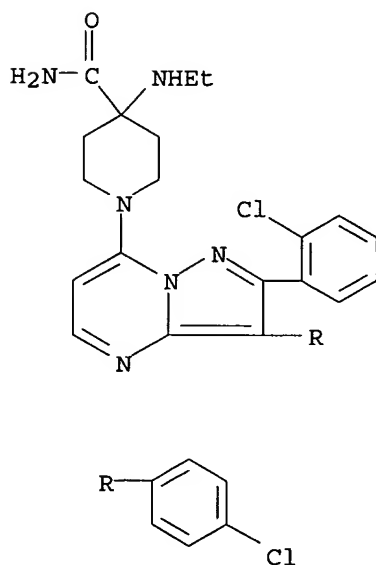
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 845670-47-5 845670-48-6 845670-49-7
 845670-50-0 845670-51-1 845670-53-3
 845670-56-6 845670-57-7 845670-58-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(opioid receptor antagonist-CB-1 receptor antagonist combination for prevention and treatment of addiction)

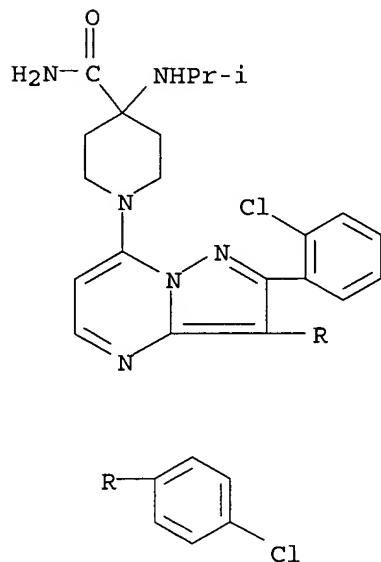
RN 737827-71-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)- (9CI) (CA INDEX NAME)



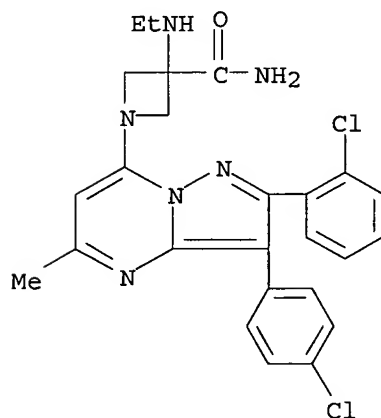
RN 737827-73-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-[(1-methylethyl)amino]-
(9CI) (CA INDEX NAME)



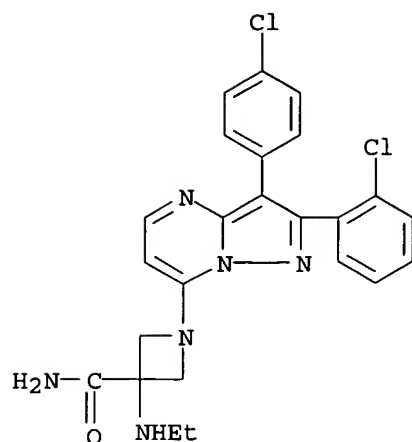
RN 737827-74-6 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



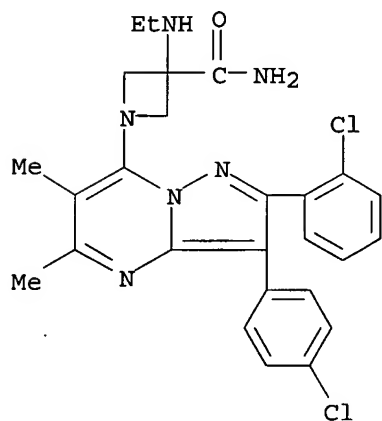
RN 737827-77-9 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



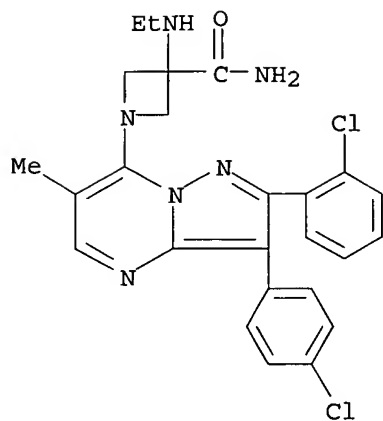
RN 737827-81-5 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

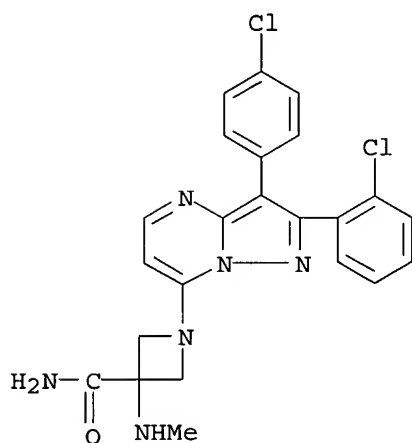


RN 737827-84-8 CAPLUS

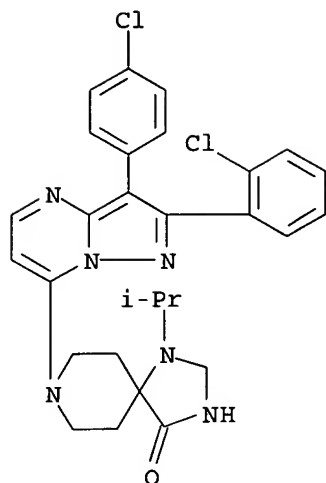
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



RN 737828-23-8 CAPLUS
 CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)

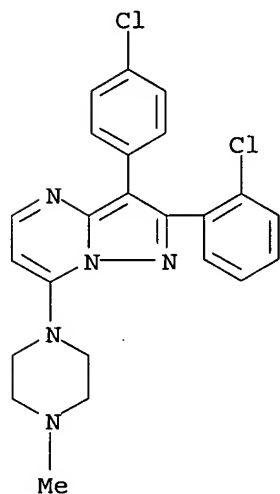


RN 737828-25-0 CAPLUS
 CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



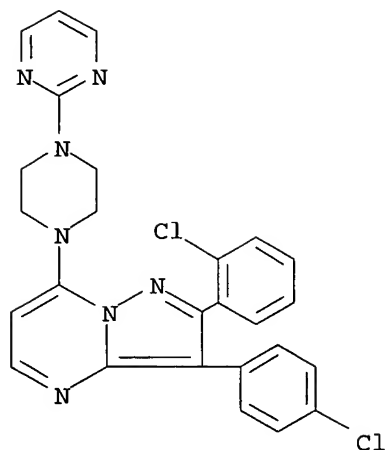
RN 845670-46-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 845670-47-5 CAPLUS

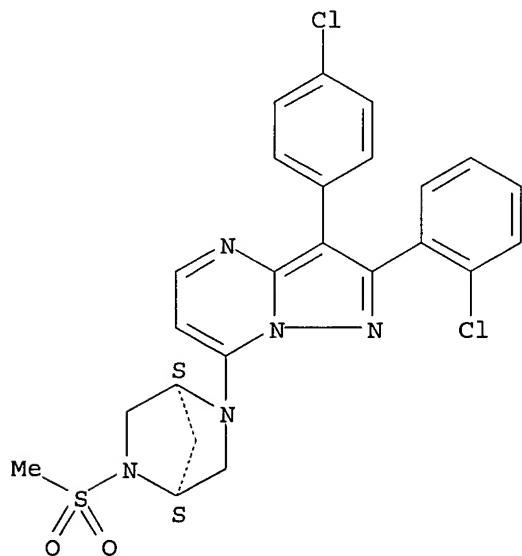
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-[4-(2-pyrimidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 845670-48-6 CAPLUS

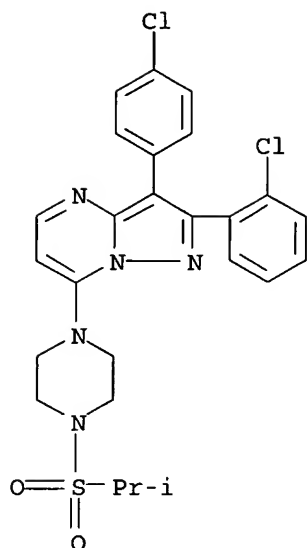
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-
[(1S,4S)-5-(methylsulfonyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



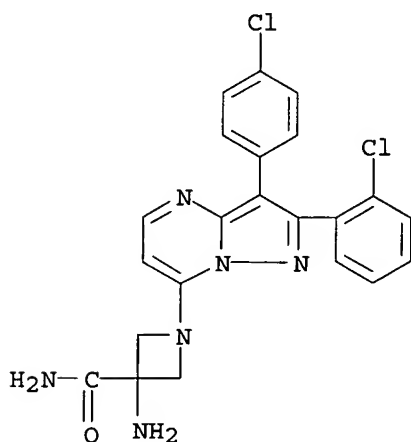
RN 845670-49-7 CAPLUS

CN Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-
a]pyrimidin-7-yl]-4-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



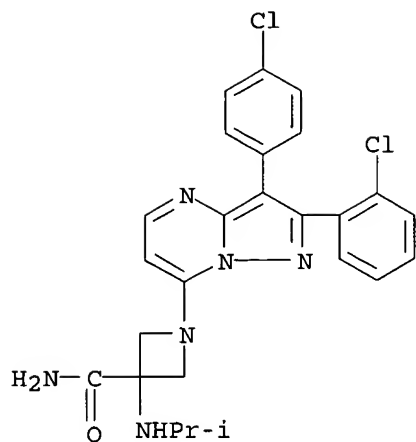
RN 845670-50-0 CAPLUS

CN 3-Azetidinecarboxamide, 3-amino-1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 845670-51-1 CAPLUS

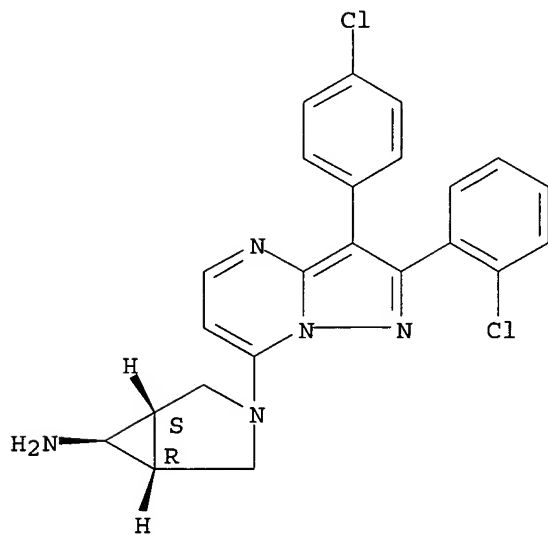
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-[(1-methylethyl)amino]- (9CI) (CA INDEX NAME)



RN 845670-53-3 CAPLUS

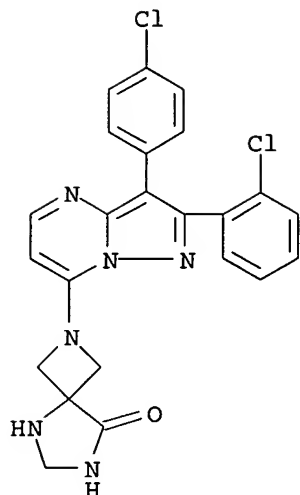
CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-, (1 α ,5 α ,6 α)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



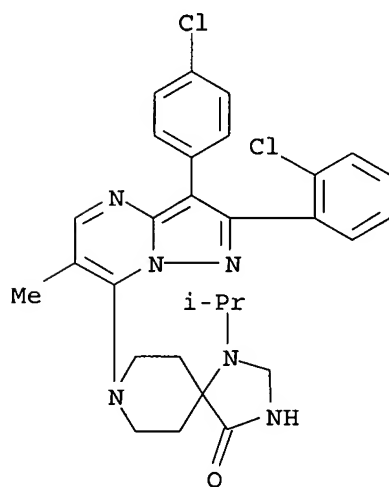
RN 845670-56-6 CAPLUS

CN 2,5,7-Triazaspiro[3.4]octan-8-one, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-(9CI) (CA INDEX NAME)



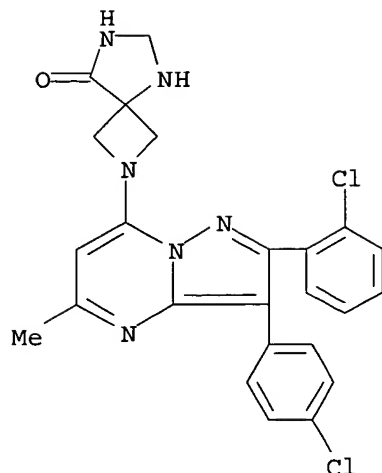
RN 845670-57-7 CAPLUS

CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 845670-58-8 CAPLUS

CN 2,5,7-Triazaspiro[3.4]octan-8-one, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



L13 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:878151 CAPLUS

DOCUMENT NUMBER: 141:366243

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of US Ser. No. 654,546

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

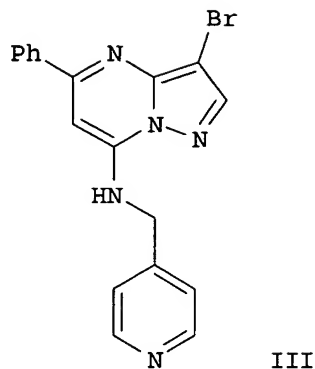
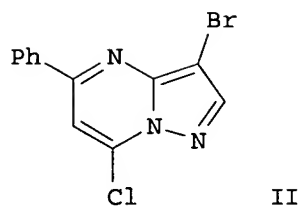
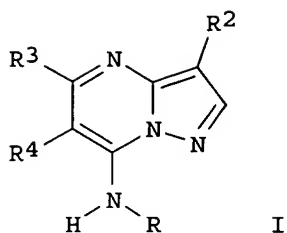
FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004209878	A1	20041021	US 2004-776988	20040211
US 2004209878	A1	20041021	US 2004-776988	20040211
US 2004209878	A1	20041021	US 2004-776988	20040211
PRIORITY APPLN. INFO.:			US 2002-408027P	P 20020904
			US 2002-421959P	P 20021029
			US 2003-654546	A2 20030903
			US 2004-776988	A 20040211

OTHER SOURCE(S): MARPAT 141:366243

GI



AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared. Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a

Part

I of I-III series.

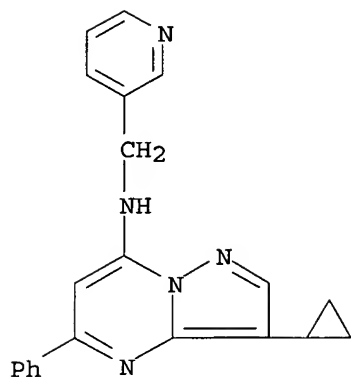
IT 672315-06-9P 672318-10-4P 779353-03-6P
779353-05-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

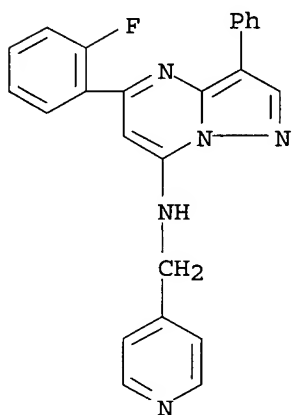
RN 672315-06-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-5-phenyl-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 672318-10-4 CAPLUS

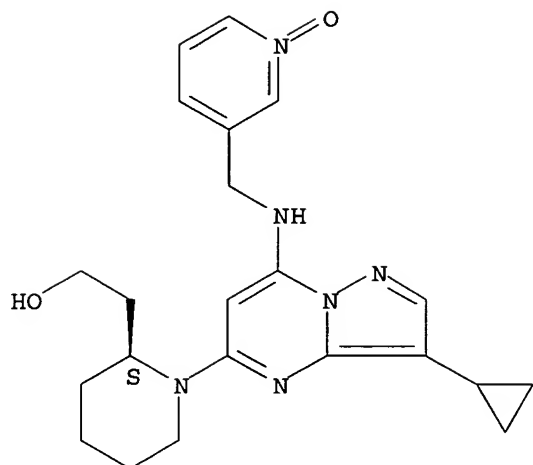
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-(2-fluorophenyl)-3-phenyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 779353-03-6 CAPLUS

CN 2-Piperidineethanol, 1-[3-cyclopropyl-7-[[[(1-oxido-3-pyridinyl)methyl]amino]pyrazolo[1,5-a]pyrimidin-5-yl]-, (2S)- (9CI) (CA INDEX NAME)

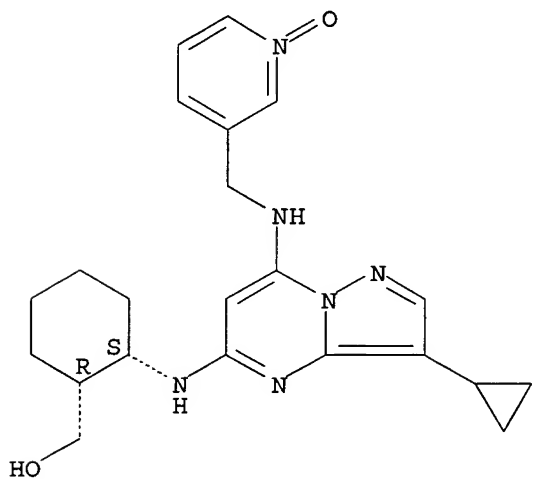
Absolute stereochemistry.



RN 779353-05-8 CAPLUS

CN Cyclohexanemethanol, 2-[[3-cyclopropyl-7-[[[(1-oxido-3-pyridinyl)methyl]amino]pyrazolo[1,5-a]pyrimidin-5-yl]amino]-, (1R,2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



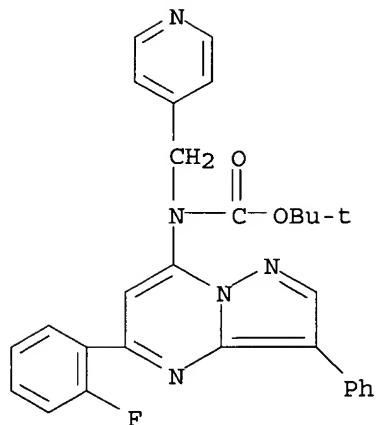
IT 672324-57-1P 779353-66-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

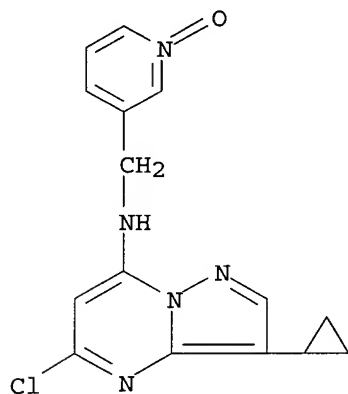
RN 672324-57-1 CAPLUS

CN Carbamic acid, [5-(2-fluorophenyl)-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl](4-pyridinylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 779353-66-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-3-cyclopropyl-N-[(1-oxido-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:654772 CAPLUS

DOCUMENT NUMBER: 141:190798

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives as cannabinoid receptor ligands

INVENTOR(S): Griffith, David A.

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 67 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004157838	A1	20040812	US 2004-762959	20040121
WO 2004069838	A1	20040819	WO 2004-IB286	20040128
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,				

CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

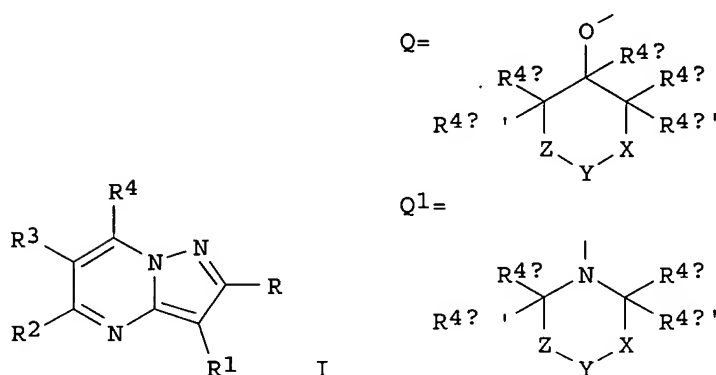
US 2003-446450P

P 20030210

OTHER SOURCE(S):

MARPAT 141:190798

GI



AB Compds. of formula (I) [wherein R, R¹ = each (un)substituted aryl or heteroaryl; R², R³ = H, halo, C1-4alkyl, halo-C1-4 alkyl, C1-4 alkoxy; R⁴ = Q, Q1, OR5 (where R⁵ taken together with R³ forms a 5- to 6-membered partially saturated heterocyclic ring optionally containing an addnl. oxygen, or a

5-membered heteroaryl, said heterocyclic ring and said heteroaryl being optionally substituted with one or more substituents); R^{4a} = H, C1-3 alkyl; R^{4b}, R^{4b'}, R^{4f}, R^{4f'} = H, cyano, HO, NH₂, CONH₂, C1-6 alkyl, C1-6 alkoxy, acyloxy, acyl, C1-3 alkoxy carbonyl, mono- or di(C1-4 alkyl) carbamoyl, mono- or di(C1-6 alkyl) amino, C3-6 cycloalkyl amino, acyl amino, aryl(C1-4 alkyl) amino, heteroaryl(C1-4 alkyl) amino, aryl, heteroaryl, each (un)substituted and partially or fully saturated 3-6 membered heterocycle or carbocyclic ring; or either R^{4b} or R^{4b'} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge; X, Z = a bond, (un)substituted CH₂CH₂; Y = O, S, CO, each (un)substituted CH₂CH₂ or NH] or pharmaceutically acceptable salt thereof, prodrugs of said compds. or said salts, or solvates or hydrates of said compds., said salts or said prodrugs are prepared These compds. act as cannabinoid receptor ligands and are useful for treating disease, condition or disorder modulated by a cannabinoid receptor antagonist which is selected from the group consisting of weight loss, obesity, bulimia, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward-related behaviors, alcoholism, tobacco abuse, dementia, seizure disorders, epilepsy, attention deficit disorder, Parkinson's disease, inflammation,

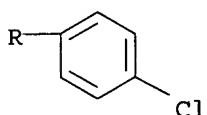
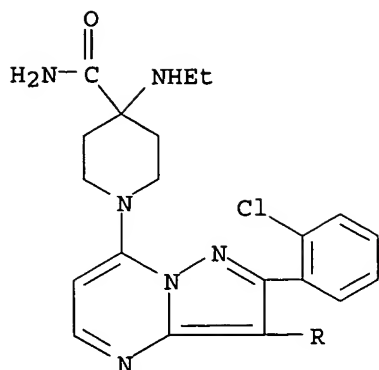
gastrointestinal disorders, and type II diabetes. Thus, 1-[2-(2-chlorophenyl)-3-iodopyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide (90 mg, 0.17 mmol) was coupled with 4-chlorophenylboronic acid (41 mg, 0.26 mmol) in ethanol (2 mL), toluene (2 mL) and 2 M aqueous Na₂CO₃ (1 mL) in the presence of tetrakis(triphenylphosphine)palladium (27 mg, 0.023 mmol) at 80° for 1 h to give 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide (62 mg, 72%).

IT 737827-71-3P, 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide
 737827-72-4P, 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide hydrochloride 737827-73-5P 737827-74-6P
 737827-77-9P, 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide
 737827-78-0P 737827-79-1P, 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide 737827-81-5P,
 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid Amide
 737827-82-6P, 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide hydrochloride 737827-83-7P 737827-84-8P
 737827-85-9P 737827-86-0P, 4-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]piperazine-1-carboxylic acid tert-butyl ester 737827-87-1P
 737827-91-7P 737827-92-8P 737827-93-9P
 737827-94-0P 737827-95-1P 737827-98-4P
 737828-00-1P 737828-01-2P 737828-02-3P
 737828-03-4P, 1-[4-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]piperazin-1-yl]ethanone
 737828-04-5P 737828-05-6P 737828-06-7P
 737828-07-8P 737828-08-9P 737828-09-0P
 737828-14-7P 737828-22-7P 737828-23-8P,
 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-methylaminoazetidine-3-carboxylic acid amide 737828-24-9P
 737828-25-0P, 8-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-isopropyl-1,3,8-triazaspiro[4.5]decan-4-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

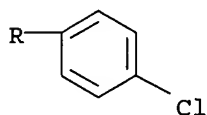
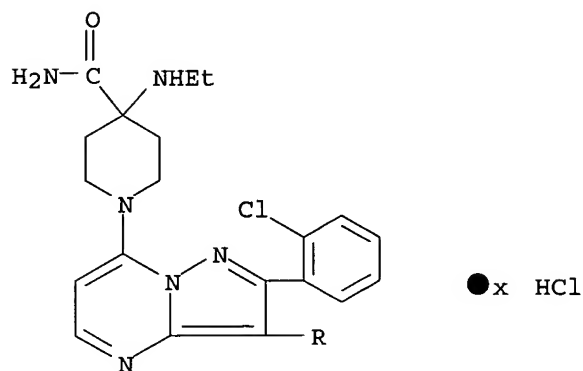
(preparation of pyrazolo[1,5-a]pyrimidine derivs. as cannabinoid receptor ligands (antagonists) for treating diseases mediated by cannabinoid receptors)

RN 737827-71-3 CAPLUS

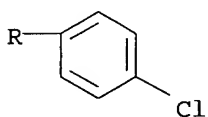
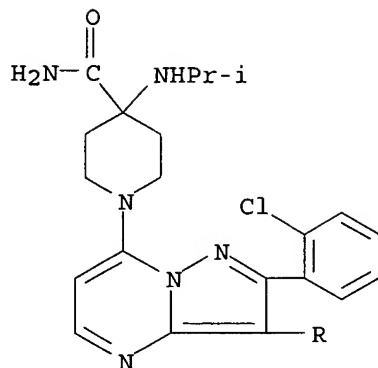
CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)- (9CI) (CA INDEX NAME)



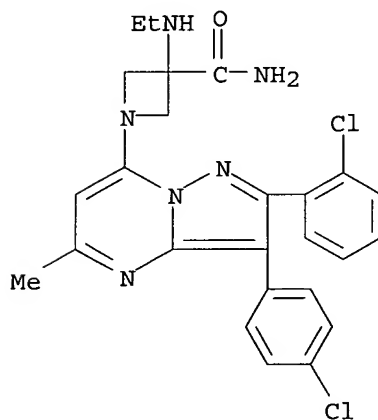
RN 737827-72-4 CAPLUS
 CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)-, hydrochloride (9CI) (CA INDEX NAME)



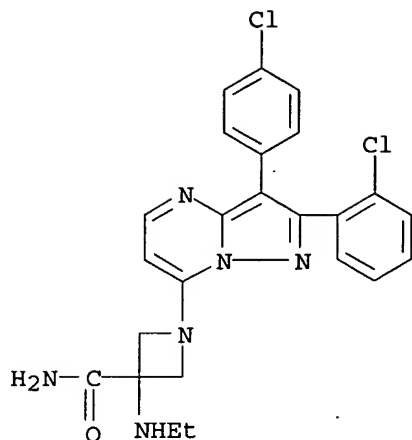
RN 737827-73-5 CAPLUS
 CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-[(1-methylethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



RN 737827-74-6 CAPLUS
 CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

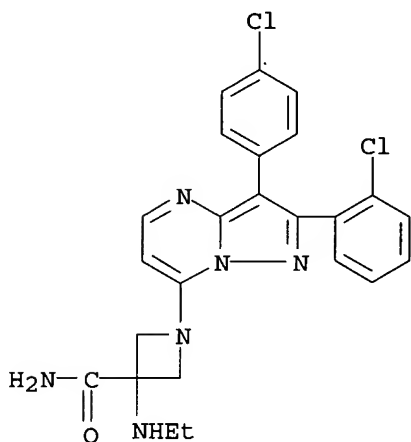


RN 737827-77-9 CAPLUS
 CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



RN 737827-78-0 CAPLUS

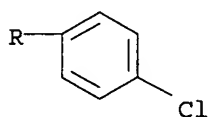
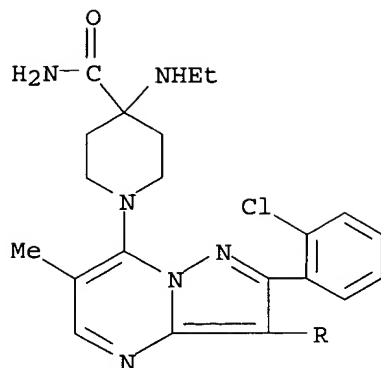
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)-, hydrochloride (9CI) (CA INDEX NAME)



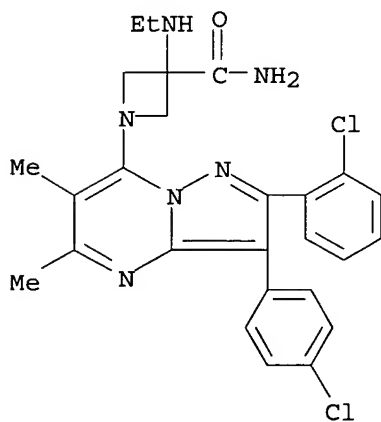
●x HCl

RN 737827-79-1 CAPLUS

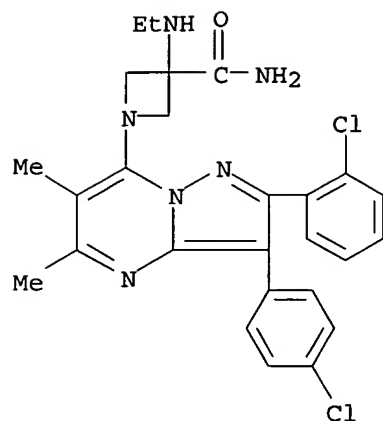
CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)- (9CI) (CA INDEX NAME)



RN 737827-81-5 CAPLUS
 CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



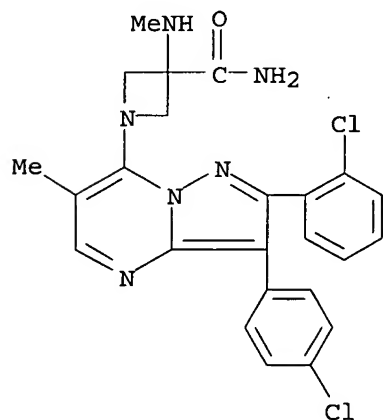
RN 737827-82-6 CAPLUS
 CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

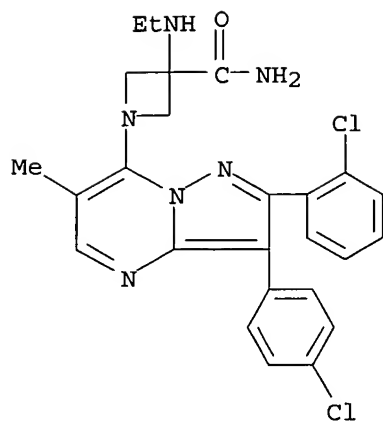
RN 737827-83-7 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)



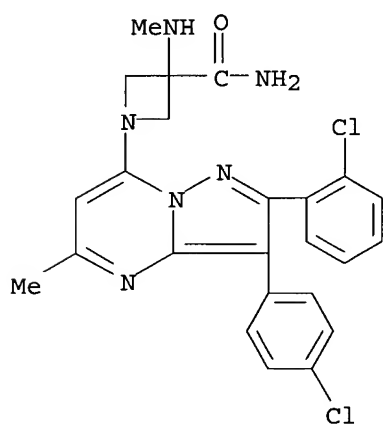
RN 737827-84-8 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



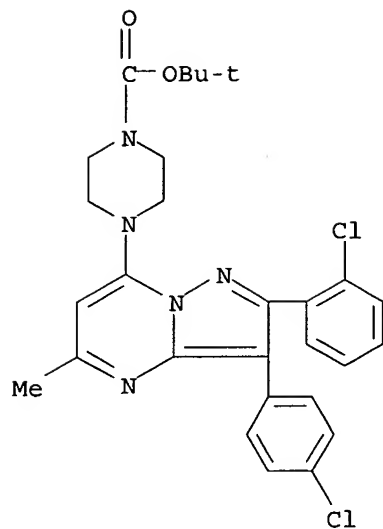
RN 737827-85-9 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)



RN 737827-86-0 CAPLUS

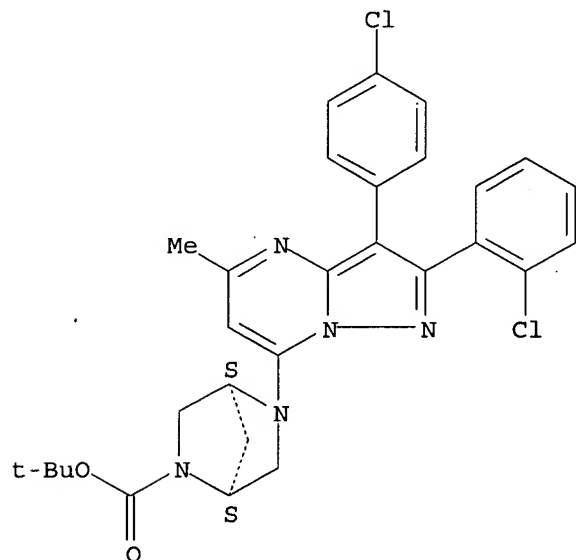
CN 1-Piperazinecarboxylic acid, 4-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 737827-87-1 CAPLUS

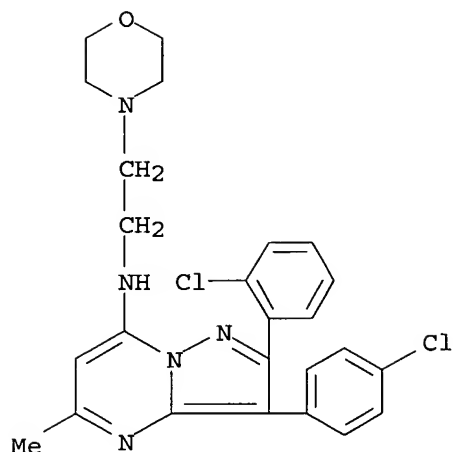
CN 2,5-Diazabicyclo[2.2.1]heptane-2-carboxylic acid, 5-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, 1,1-dimethylethyl ester, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



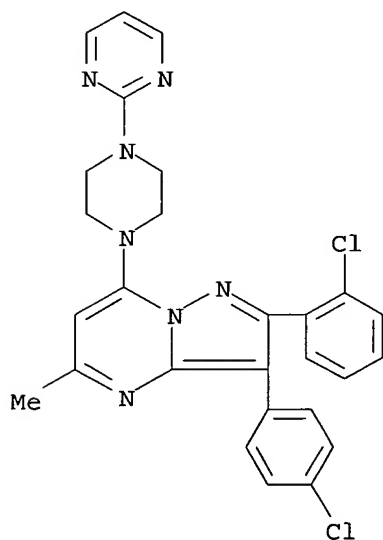
RN 737827-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



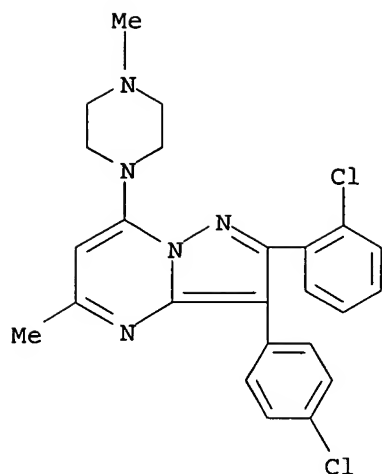
RN 737827-92-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-[4-(2-pyrimidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



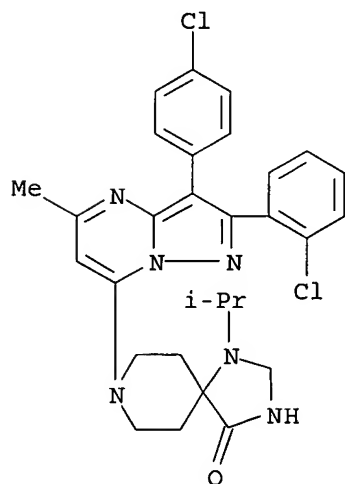
RN 737827-93-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



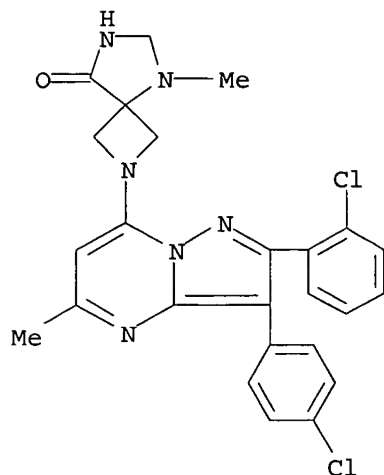
RN 737827-94-0 CAPLUS

CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 737827-95-1 CAPLUS

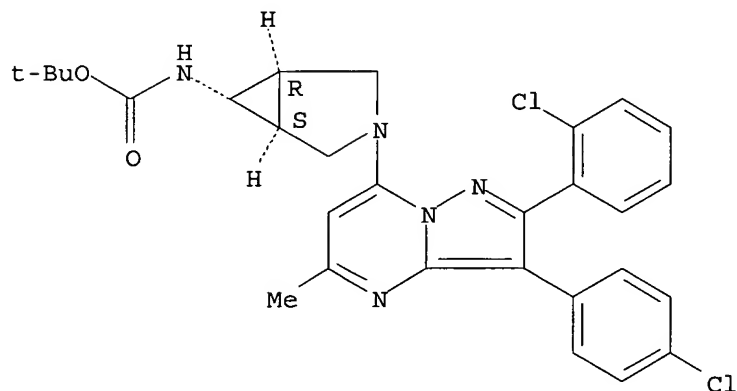
CN 2,5,7-Triazaspiro[3.4]octan-8-one, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-5-methyl- (9CI) (CA INDEX NAME)



RN 737827-98-4 CAPLUS

CN Carbamic acid, [(1 α ,5 α ,6 α)-3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-azabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

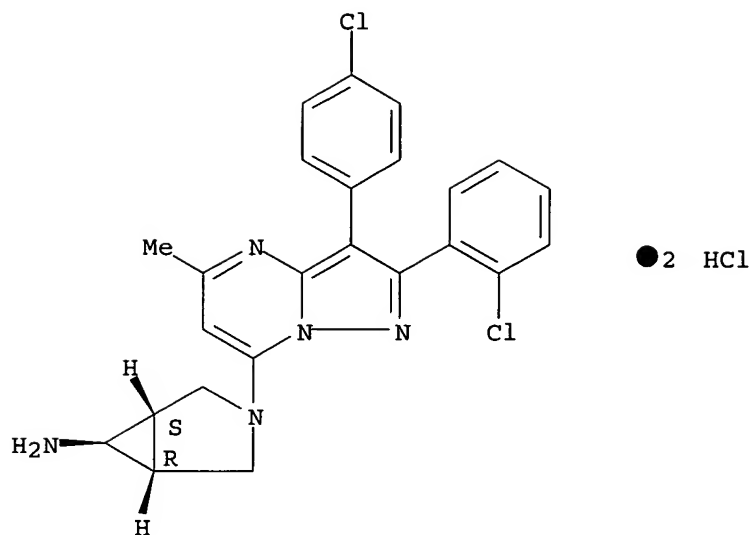
Relative stereochemistry.



RN 737828-00-1 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, dihydrochloride, (1 α ,5 α ,6 α)- (9CI) (CA INDEX NAME)

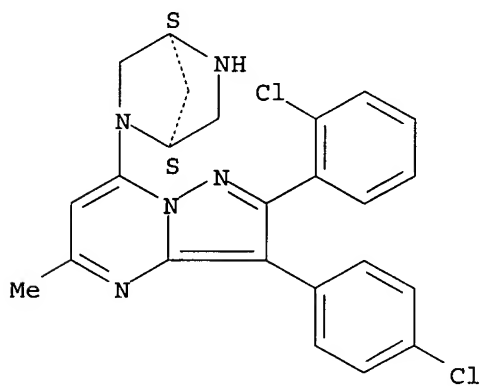
Relative stereochemistry.



RN 737828-01-2 CAPLUS

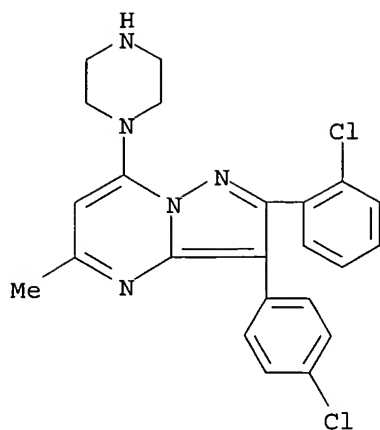
CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



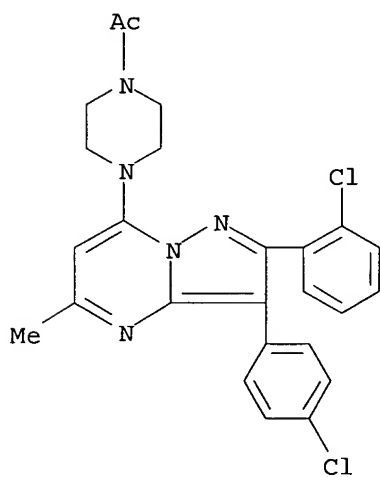
RN 737828-02-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



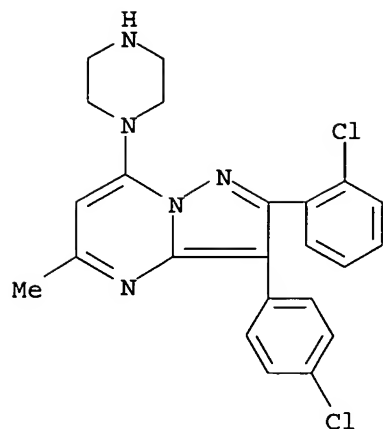
RN 737828-03-4 CAPLUS

CN Piperazine, 1-acetyl-4-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 737828-04-5 CAPLUS

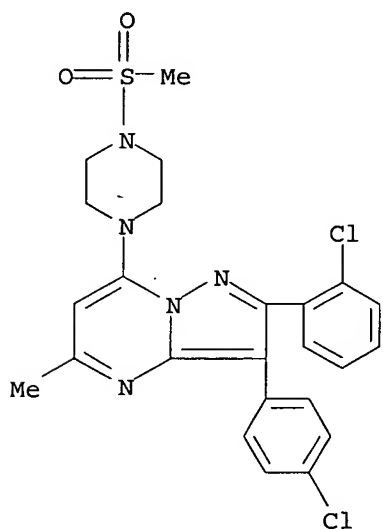
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-(1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

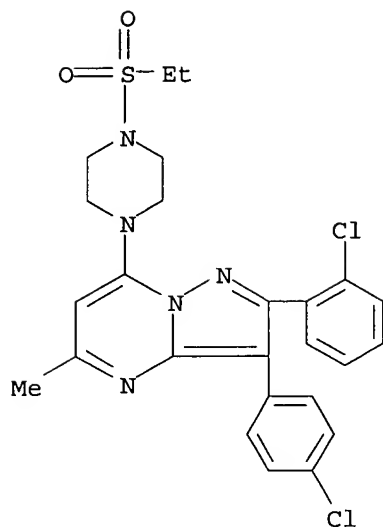
RN 737828-05-6 CAPLUS

CN Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

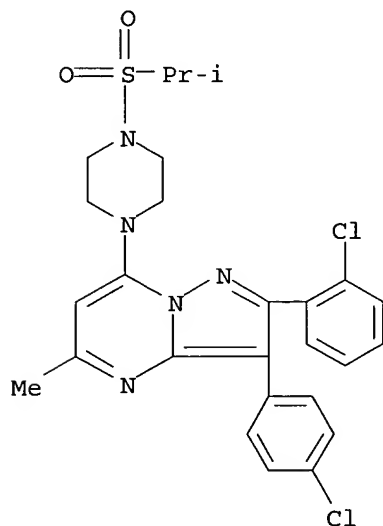


RN 737828-06-7 CAPLUS

CN Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylsulfonyl)- (9CI) (CA INDEX NAME)

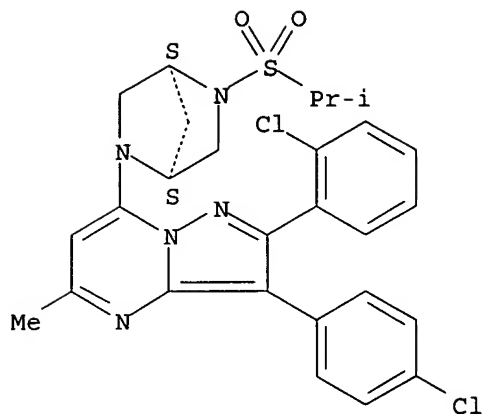


RN 737828-07-8 CAPLUS
 CN Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 737828-08-9 CAPLUS
 CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-5-[(1-methylethyl)sulfonyl]-, (1S,4S)- (9CI) (CA INDEX NAME)

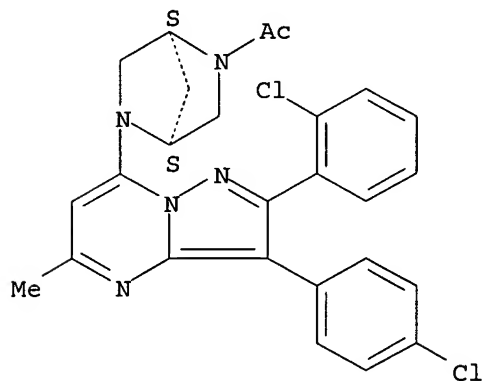
Absolute stereochemistry.



RN 737828-09-0 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-acetyl-5-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, (1S,4S)- (9CI) (CA INDEX NAME)

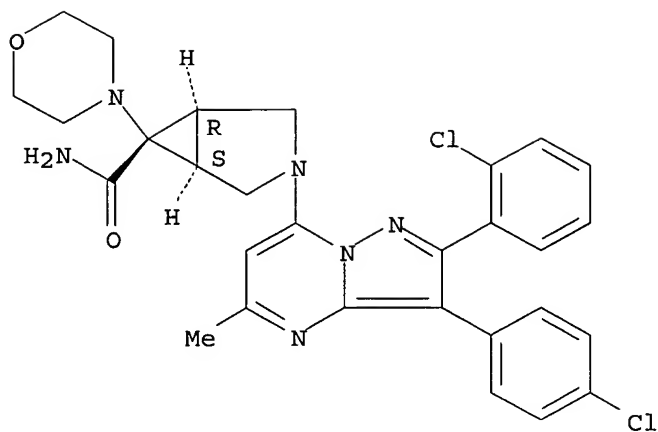
Absolute stereochemistry.



RN 737828-14-7 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-carboxamide, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-6-(4-morpholinyl)-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

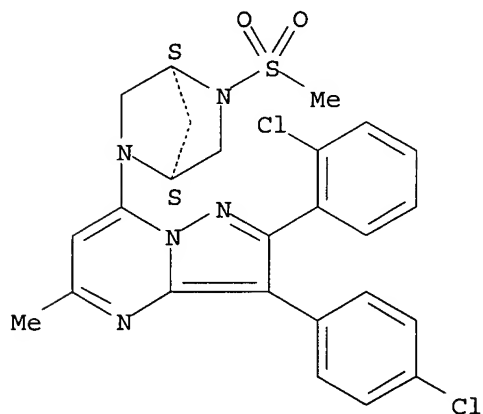
Relative stereochemistry.



RN 737828-22-7 CAPLUS

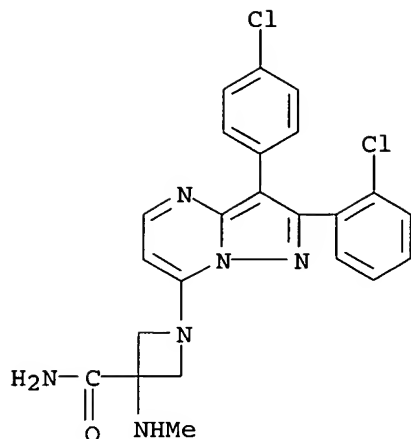
CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-5-(methylsulfonyl)-, (1S,4S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 737828-23-8 CAPLUS

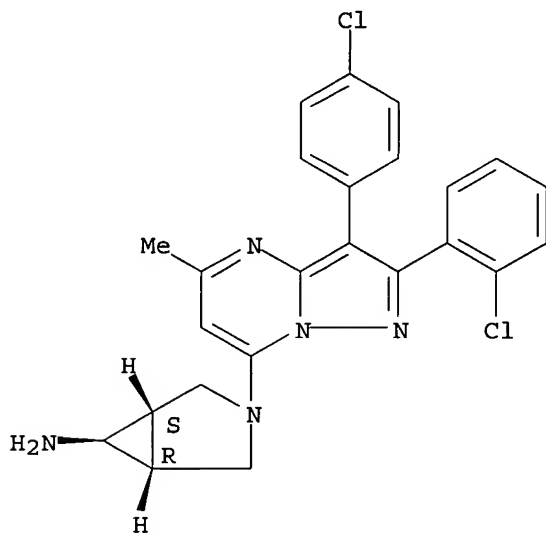
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)



RN 737828-24-9 CAPLUS

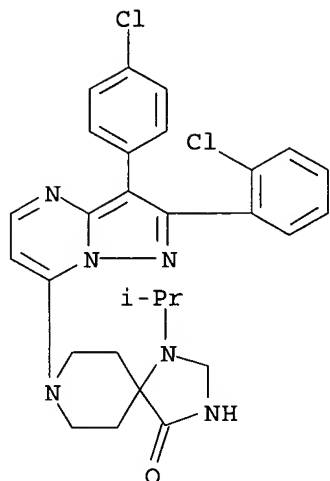
CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, (1 α ,5 α ,6 α)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 737828-25-0 CAPLUS

CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



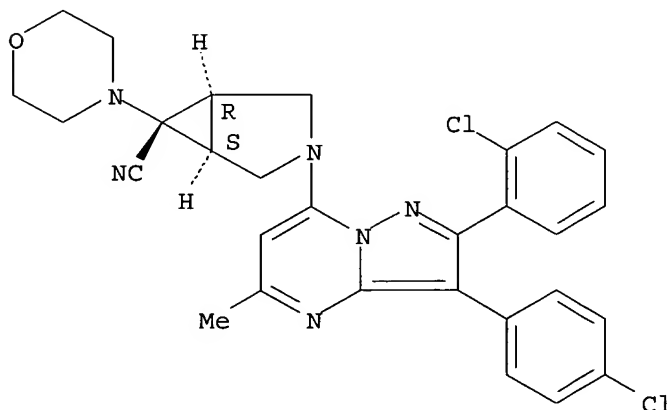
IT 737827-80-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (reactant; preparation of pyrazolo[1,5-a]pyrimidine derivs. as cannabinoid receptor ligands (antagonists) for treating diseases mediated by cannabinoid receptors)

RN 737827-80-4 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-6-(4-morpholinyl)-, (1 α ,5 α ,6 α)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



L13 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:220336 CAPLUS

DOCUMENT NUMBER: 140:270873

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik

M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent;
 Fischmann, Thierry O.; Dillard, Lawrence W.; Tran,
 Vinh D.; He, Zhen Min; James, Ray Anthony; Park,
 Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas
 Walsh

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: PCT Int. Appl., 609 pp.

CODEN: PIXXD2

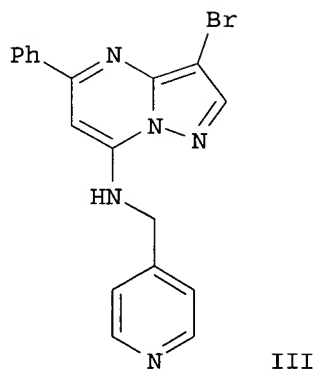
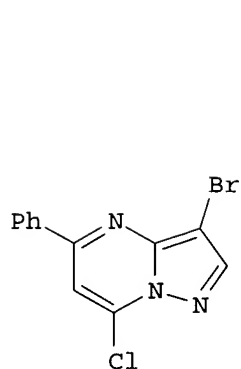
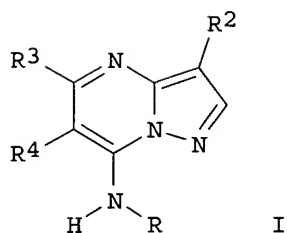
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022561	A1	20040318	WO 2003-US27555	20030903
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2497440	AA	20040318	CA 2003-2497440	20030903
EP 1537116	A1	20050608	EP 2003-794592	20030903
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003014001	A	20050705	BR 2003-14001	20030903
PRIORITY APPLN. INFO.:			US 2002-408027P	P 20020904
			US 2002-421959P	P 20021029
			WO 2003-US27555	W 20030903
OTHER SOURCE(S):	MARPAT 140:270873			
GI				



AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared. Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a

Part

I of I-III series.

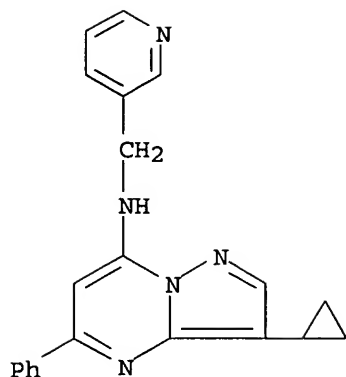
IT **672315-06-9P 672318-10-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

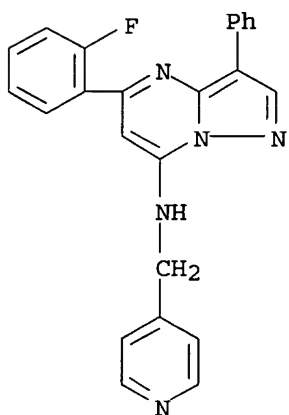
RN 672315-06-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-5-phenyl-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 672318-10-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-(2-fluorophenyl)-3-phenyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

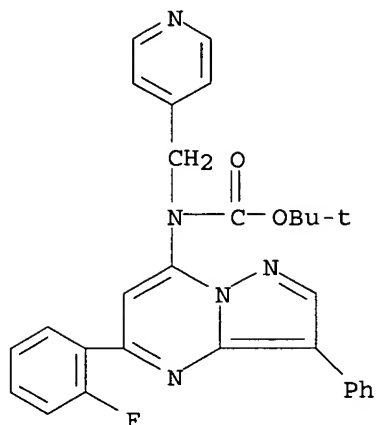


IT 672324-57-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672324-57-1 CAPLUS

CN Carbamic acid, [5-(2-fluorophenyl)-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl](4-pyridinylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

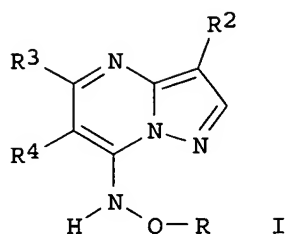


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2004:220335 CAPLUS
 DOCUMENT NUMBER: 140:270872
 TITLE: Preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents
 INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon
 PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.; Pharmacoepia Drug Discovery, Inc.
 SOURCE: PCT Int. Appl., 82 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022560	A1	20040318	WO 2003-US27502	20030903
WO 2004022560	C2	20050707		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2497450	AA	20040318	CA 2003-2497450	20030903
US 2004116442	A1	20040617	US 2003-653868	20030903
EP 1534710	A1	20050601	EP 2003-749347	20030903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-407999P	P 20020904
			WO 2003-US27502	W 20030903
OTHER SOURCE(S):			MARPAT 140:270872	

GI



AB The title compds. [I; Q = SO₂, CO; R = each (un)substituted aryl or heteroaryl; R₂ = cyano, NR₅R₆, CO₂R₆, CONR₅R₆, OR₆, SR₆, SO₂R₇, SO₂NR₅R₆, -N(R₅)SO₂R₇, N(R₅)COR₇, N(R₅)CONR₅R₆, alkynyl, heteroaryl, CF₃, heterocyclyl, alkynylalkyl, cycloalkyl, (un)substituted alkyl; R₃ = H, halogen, NR₅R₆, CONR₅R₆, each (un)substituted alkyl, alkynyl, cycloalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl, etc.; R₄ = H, halo, alkyl; R₅ = H, alkyl; R₆ = H, each (un)substituted alkyl, aryl, arylalkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl; or R₅ and R₆ in the moiety -NR₅R₆, may be joined together to form an (un)substituted cycloalkyl or heterocyclyl] or pharmaceutically acceptable salts or solvates thereof are prepared. In its many embodiments, the present invention also provides methods of preparing such compds., pharmaceutical compns. containing one or more such compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with cyclin dependent kinase using such compds. I or pharmaceutical compns. The disease associated with cyclin dependent kinase is selected from the group consisting of; (1) cancer of the bladder, breast, colon, kidney, liver, lung, small cell lung cancer, esophagus, gall bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma; (2) leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; (3) acute and chronic myelogenous leukemia, myelodysplastic syndrome and promyelocytic leukemia; (4) fibrosarcoma and rhabdomyosarcoma; (5) astrocytoma, neuroblastoma, glioma and schwannomas; and (6) melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma.

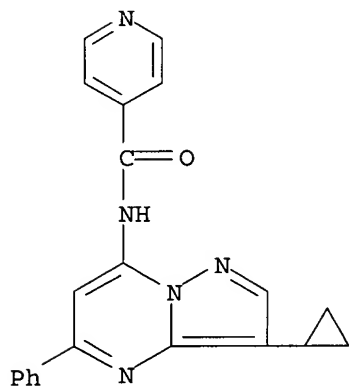
IT 673475-04-2P 673475-05-3P 673475-06-4P
 673475-07-5P 673475-12-2P 673475-13-3P
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 673475-27-9P 673475-28-0P 673475-29-1P
 673475-30-4P 673475-31-5P 673475-32-6P
 673475-33-7P 673475-34-8P 673475-35-9P
 673475-36-0P 673475-37-1P 673475-39-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents)

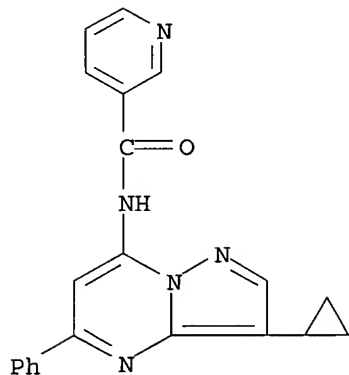
RN 673475-04-2 CAPLUS

CN 4-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)



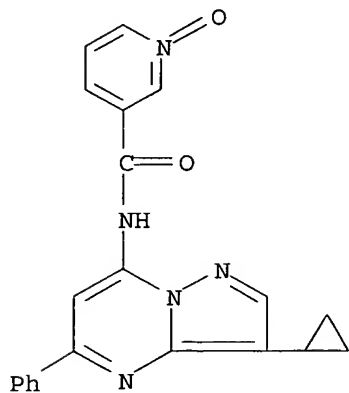
RN 673475-05-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)



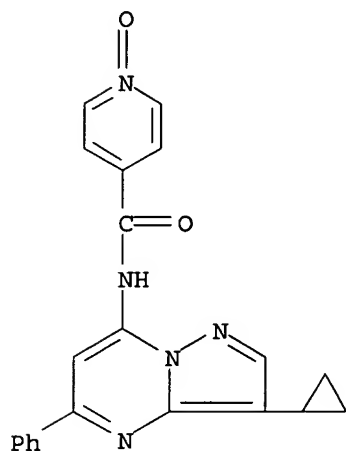
RN 673475-06-4 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-, 1-oxide (9CI) (CA INDEX NAME)



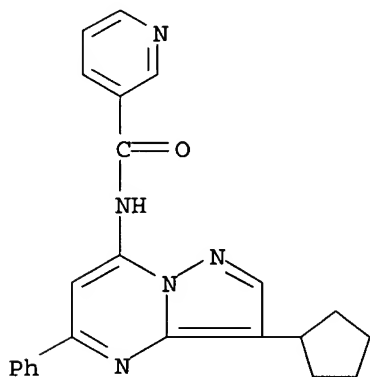
RN 673475-07-5 CAPLUS

CN 4-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-, 1-oxide (9CI) (CA INDEX NAME)



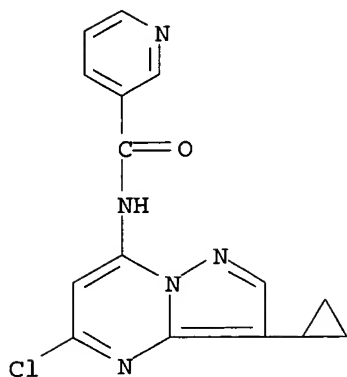
RN 673475-12-2 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-cyclopentyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)



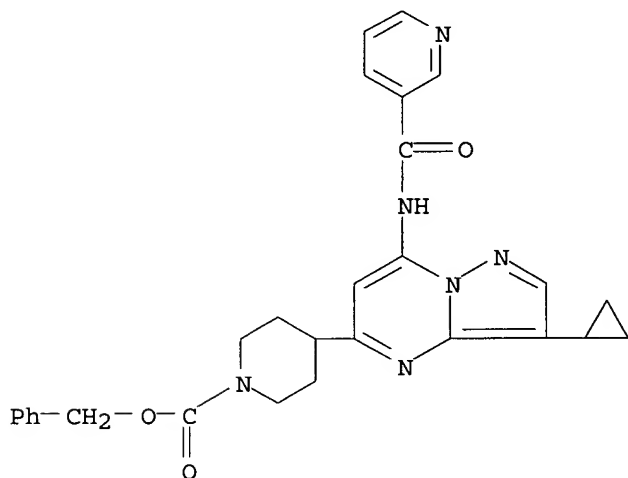
RN 673475-13-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(5-chloro-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)



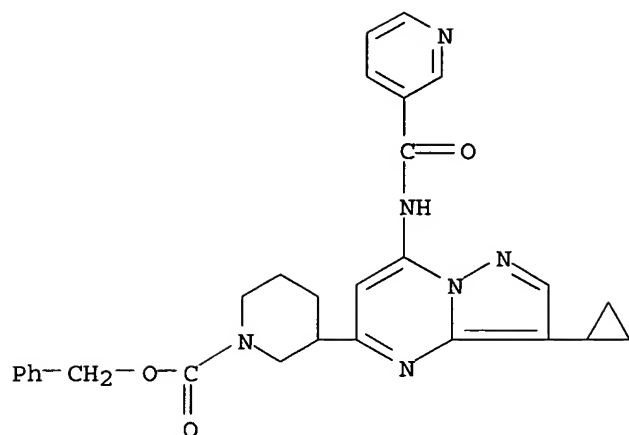
RN 673475-17-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[3-cyclopropyl-7-[(3-pyridinylcarbonyl)amino]pyrazolo[1,5-a]pyrimidin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



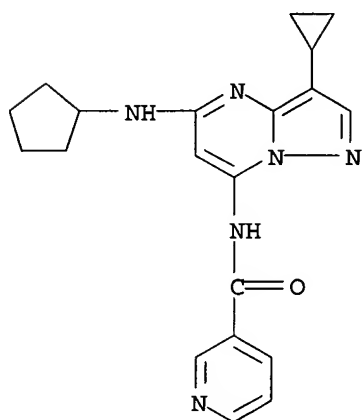
RN 673475-18-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[3-cyclopropyl-7-[(3-pyridinylcarbonyl)amino]pyrazolo[1,5-a]pyrimidin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



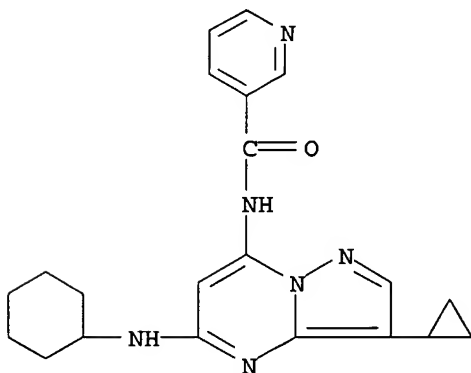
RN 673475-26-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-(cyclopentylamino)-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 673475-27-9 CAPLUS

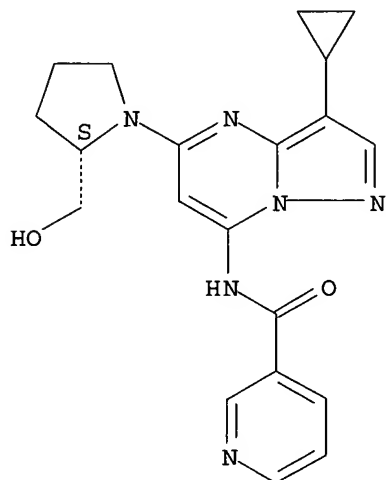
CN 3-Pyridinecarboxamide, N-[5-(cyclohexylamino)-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 673475-28-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

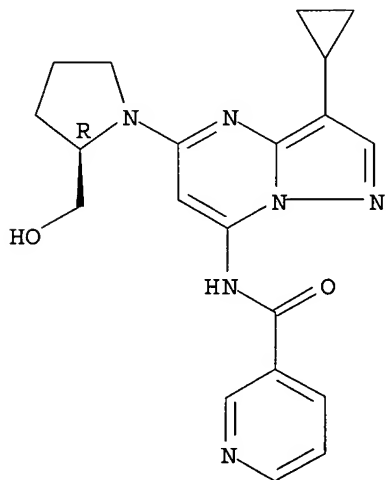
Absolute stereochemistry.



RN 673475-29-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

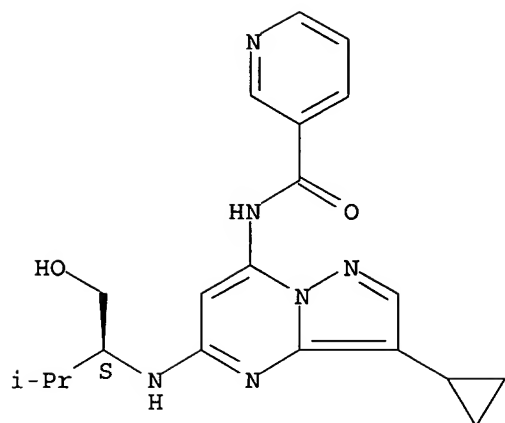
Absolute stereochemistry.



RN 673475-30-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[[(1S)-1-(hydroxymethyl)-2-methylpropyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

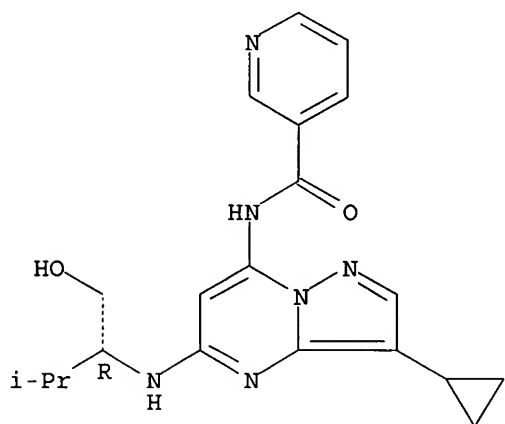
Absolute stereochemistry.



RN 673475-31-5 CAPLUS

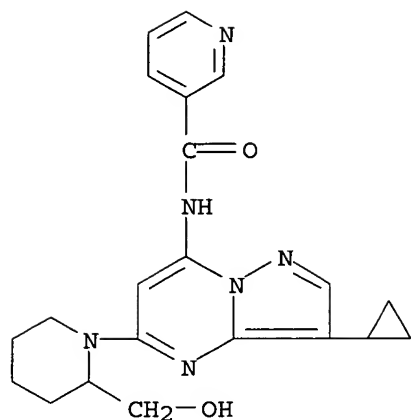
CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[1-(hydroxymethyl)-2-methylpropyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



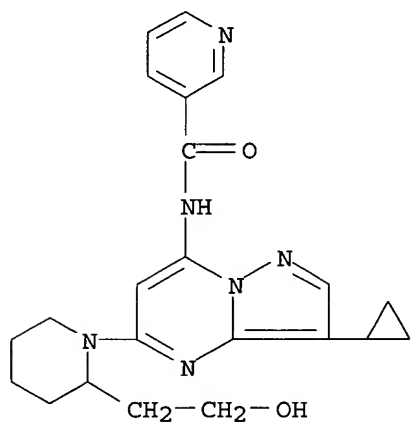
RN 673475-32-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[2-(hydroxymethyl)-1-piperidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



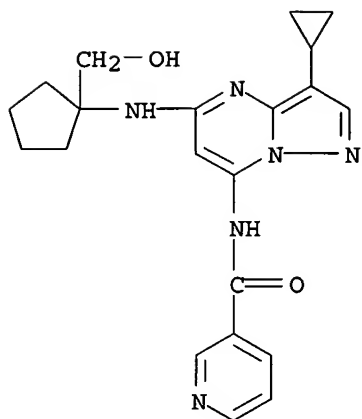
RN 673475-33-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[2-(2-hydroxyethyl)-1-piperidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 673475-34-8 CAPLUS

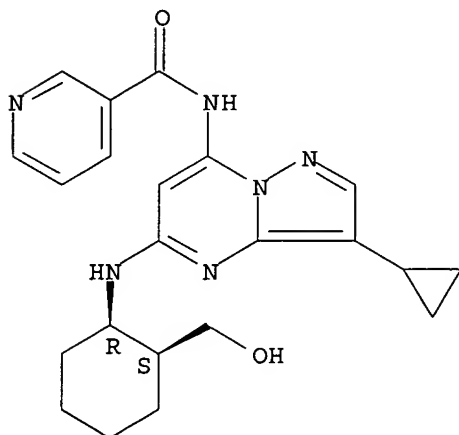
CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[1-(hydroxymethyl)cyclopentyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI)
(CA INDEX NAME)



RN 673475-35-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[[(1R,2S)-2-(hydroxymethyl)cyclohexyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]-, rel-(9CI) (CA INDEX NAME)

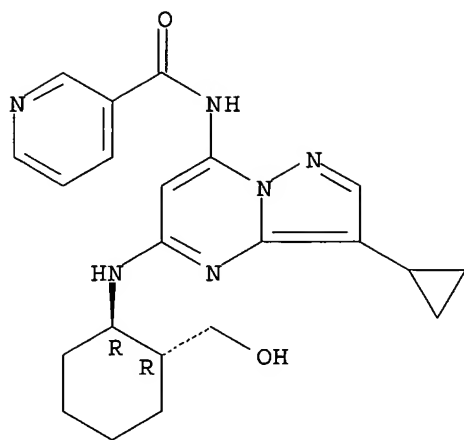
Relative stereochemistry.



RN 673475-36-0 CAPLUS

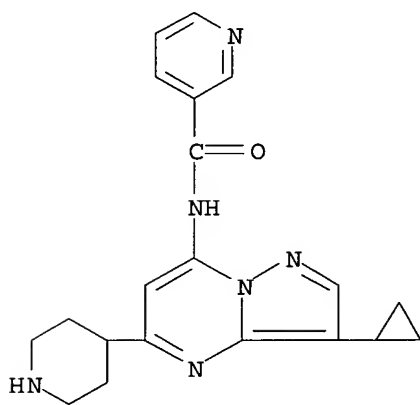
CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[[(1R,2R)-2-(hydroxymethyl)cyclohexyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.



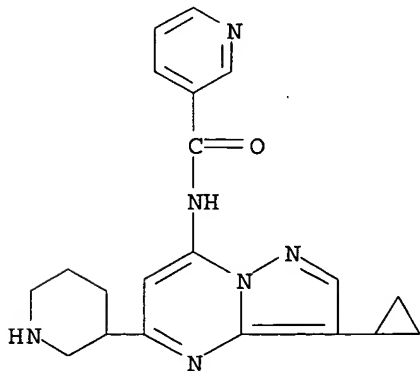
RN 673475-37-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-(4-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 673475-39-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:220334 CAPLUS

DOCUMENT NUMBER: 140:270871

TITLE: Preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoopia, Inc.

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

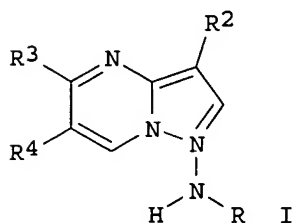
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022559	A1	20040318	WO 2003-US27405	20030903
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2497444	AA	20040318	CA 2003-2497444	20030903
US 2004102451	A1	20040527	US 2003-654157	20030903
EP 1534709	A1	20050601	EP 2003-749317	20030903
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-408030P	P 20020904
			WO 2003-US27405	W 20030903
OTHER SOURCE(S):	MARPAT 140:270871			
GI				



AB The title compds. [I; R = (un)substituted heteroaryl; R2 = (un)substituted alkyl, alkynyl, aryl, heteroaryl, alkynylalkyl, CF3, heterocyclalkyl, alkynylalkyl, cycloalkyl, CO2R4, etc., wherein aryl is optionally

substituted; R3 = H, halogen, NR5R6, CO2R4, CONR5R6, each (un)substituted alkyl, alkynyl, cycloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, or heteroaryl, etc.; R4 = H, halo, alkyl; R5 = H, alkyl; R6 = H, each (un)substituted alkyl, aryl, arylalkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl; or R5 and R6 in the moiety -NR5R6, may be joined together to form an (un)substituted cycloalkyl or heterocyclyl] or pharmaceutically acceptable salts or solvates thereof are prepared. In its many embodiments, the present invention also provides methods of preparing such compds., pharmaceutical compns. containing one or more such compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with cyclin dependent kinase using such compds. I or pharmaceutical compns. The disease associated with cyclin dependent kinase is selected from the group consisting of; (1) cancer of the bladder, breast, colon, kidney, liver, lung, small cell lung cancer, esophagus, gall bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma; (2) leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; (3) acute and chronic myelogenous leukemia, myelodysplastic syndrome and promyelocytic leukemia; (4) fibrosarcoma and rhabdomyosarcoma; (5) astrocytoma, neuroblastoma, glioma and schwannomas; and (6) melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma.

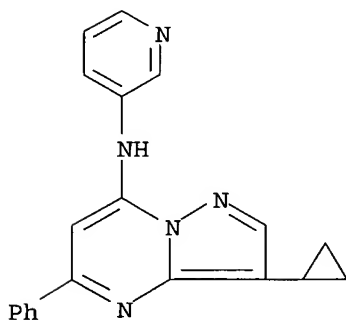
IT 674334-56-6P 674334-87-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents for treating diseases, in particular various cancers, associated with cyclin dependent kinase)

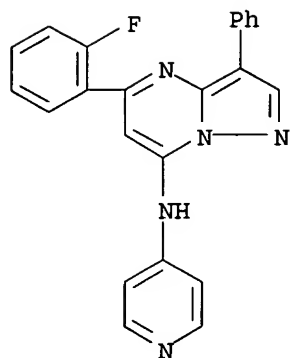
RN 674334-56-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-5-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 674334-87-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-(2-fluorophenyl)-3-phenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



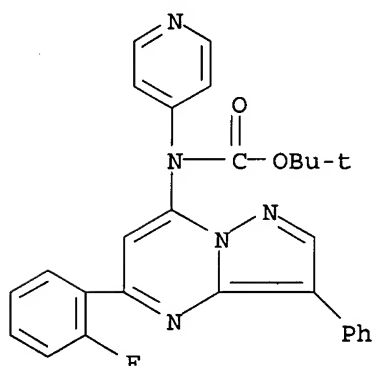
IT 674335-11-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents for treating diseases, in particular various cancers, associated with cyclin dependent kinase)

RN 674335-11-6 CAPLUS

CN Carbamic acid, [5-(2-fluorophenyl)-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:972079 CAPLUS

DOCUMENT NUMBER: 140:27839

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine compounds as
antiviral agents against hepatitis C virus (HCV)
infection

INVENTOR(S) : Shipps, Gerald W., Jr.; Rosner, Kristin E.;
Popovici-Muller, Janeta; Deng, Yongqi; Wang, Tong;
Curran, Patrick J.

PATENT ASSIGNEE(S) : Neogenesis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 249 pp.

CODEN: PIXXD2

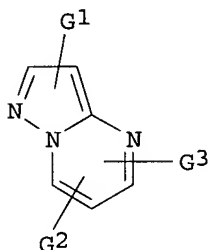
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101993	A1	20031211	WO 2003-US17368	20030602
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487211	AA	20031211	CA 2003-2487211	20030602
US 2004038993	A1	20040226	US 2003-452400	20030602
EP 1511751	A1	20050309	EP 2003-731496	20030602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-385837P	P 20020604
			WO 2003-US17368	W 20030602
OTHER SOURCE(S):		MARPAT 140:27839		
GI				



I

AB The title compds. (I) [G1 = OH, cyano, CO₂H, CO₂R₈, CONR₂R₃, N(R)COR₈, SO₂NR₂R₃, N(R)SO₂R₈, heteroaryl, aryl, halo, amino, formyl, heterocyclalkenyl, heterocyclalkyl, CH(:N)OH, CH(:N)OR₈, hydroxyalkyl, saturated or partially unsatd. heterocyclyl; R₂, R₃, R₈ = H, alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, carboalkoxyalkyl, carboalkoxy, acyloxyalkyl, acyloxyalkyl, saturated or partially unsatd. heterocyclyl; or R₂ and R₃ taken together form a 5- or 6-membered heteroarom. or saturated or partially unsatd. heterocyclic ring; or NR₂R₃ together forms an α-, β-, or γ-amino acid; G₂ = alkyl, cycloalkyl, aryl, heteroaryl, saturated or partially unsatd. heterocyclyl, CF₃, carboxyalkylamino, alkylamino, CO₂H, alkenyl, alkoxyalkyl, heterocyclalkyl, cycloalkylalkyl, arylalkyl, and -W-Cy, where W is selected from the group consisting of O, N(R), S, CO, CH(R), OCH(R), N(R)CH(R), SCH(R), CON(R), N(R)CO, SO₂N(R), N(R)SO₂, and N(R)CON(R) (where R = H, alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, and saturated or partially unsatd. heterocyclyl); Cy = cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, saturated or partially unsatd. heterocyclic radical; G₃ = absent or groups listed in G₂; wherein the ring portion of cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, or heterocyclyl in G₁, G₂, or G₃ can be optionally substituted] or pharmaceutically

acceptable slats thereof are prepared The invention relates to the inhibition of hepatitis C virus (HCV) replication, in particular provides the compds. I and methods for inhibiting HCV RNA-dependent RNA polymerase enzymic activity and compns. and methods for the prophylaxis and treatment of HCV infection. The compds. I inhibited HCV RNA-dependent RNA polymerase (RdRp) at the concentration from >10 to <1 μ M.

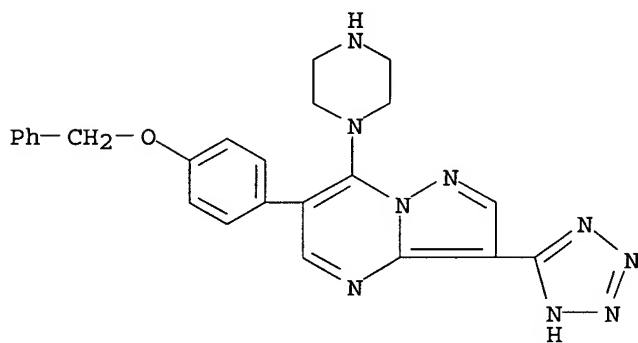
IT 632363-02-1P 632363-03-2P 632363-04-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[alpyrimidine compds. as antiviral agents against hepatitis C virus (HCV) infection and as inhibitors of HCV RNA-dependent RNA polymerase)

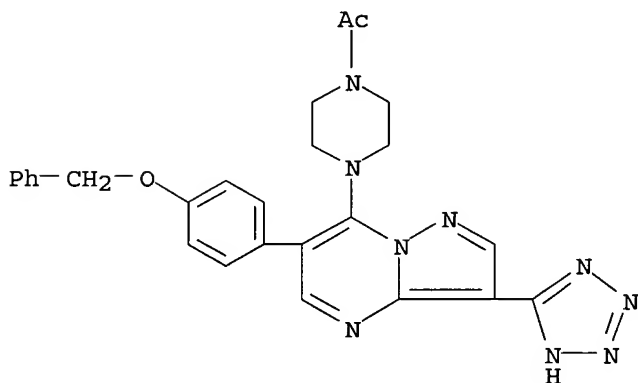
RN 632363-02-1 CAPLUS

CN Pyrazolo[1,5-alpyrimidine, 6-[4-(phenylmethoxy)phenyl]-7-(1-piperazinyl)-3-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



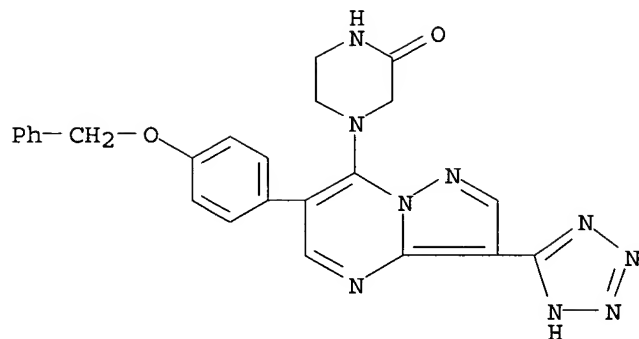
RN 632363-03-2 CAPLUS

CN Piperazine, 1-acetyl-4-[6-[4-(phenylmethoxy)phenyl]-3-(1H-tetrazol-5-yl)pyrazolo[1,5-alpyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 632363-04-3 CAPLUS

CN Piperazinone, 4-[6-[4-(phenylmethoxy)phenyl]-3-(1H-tetrazol-5-yl)pyrazolo[1,5-alpyrimidin-7-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:521741 CAPLUS

DOCUMENT NUMBER: 137:93768

TITLE: Preparation of tricyclic heterocyclic derivative compounds as antagonists of corticotropin release factor receptor and drugs containing these compounds as the active ingredient

INVENTOR(S): Nakai, Hisao; Kagamiishi, Yoshifumi

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 456 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

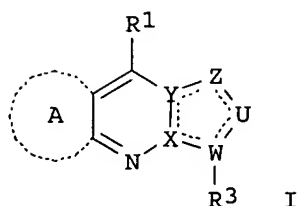
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053565	A1	20020711	WO 2001-JP11581	20011227
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2432148	AA	20020711	CA 2001-2432148	20011227
EP 1354884	A1	20031022	EP 2001-995808	20011227
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
CN 1491225	A	20040421	CN 2001-822720	20011227
JP 3528968	B2	20040524	JP 2002-555088	20011227
BR 2001016609	A	20050215	BR 2001-16609	20011227
NZ 526712	A	20050324	NZ 2001-526712	20011227
NO 2003002956	A	20030828	NO 2003-2956	20030626
US 2004072833	A1	20040415	US 2003-250328	20030630
JP 2004083597	A2	20040318	JP 2003-406938	20031205
PRIORITY APPLN. INFO.:			JP 2000-402517	A 20001228
			JP 2002-555088	A3 20011227
			WO 2001-JP11581	W 20011227

OTHER SOURCE(S) :
GI

MARPAT 137:93768



AB Tricyclic heterocyclic derivs. such as 6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine, 5,7-dihydrofuro[3,4-d]pyrazolo[1,5-a]pyrimidine, and 6,7-dihydro-5H-cyclopenta[e]pyrrolo[2,3-b]pyridine derivs. represented by the following general formula (I) and pharmaceutically acceptable salts thereof [wherein X, Y = C or N, provided that both X and Y are not simultaneously N; W = C, N; U, Z = (un)substituted CH or NH, N, O, S, CO, C(:S); ring A = optionally substituted C4-6 carbocyclic ring or 4 to 5-membered heterocyclic ring possessing at least one of N, O, and S atom; R1 = (un)substituted C1-8 alkyl, C2-8 alkynyl, C2-8 alkenyl, NH₂, or OH, SH, S(O)_nR₇, etc. (wherein n = 0-2; R₇ = C1-8 alkyl, optionally substituted C3-10 bicyclic carbocyclyl, 3- to 10-membered ring bicyclic heterocyclyl, mono or bicyclic heterocyclyl-C1-4 alkyl, mono or bicyclic heterocyclyl-C1-4 alkyl, etc.); R₃ = 5 to 10-membered mono or bicyclic heterocyclyl containing 1-4 N, 1 or 2 O and/or 1 or 2 O S atoms substituted by 1-5 groups selected from C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, halo, etc.] or pharmacol. acceptable salts thereof or hydrates thereof are prepared. Because of having a corticotropin release factor (CRF) receptor antagonism, the compds. I are useful in preventing and/or treating diseases caused by unusual secretion of corticotropin release factor, including depression (single episode, recurrent, post-delivery, or child abuse-induced depression), anxiety, anxiety disorders (panic disorder, specific phobia, acrophobia, social phobia, or obsessive-compulsive disorder), emotional disorder, bipolar disorder, post-traumatic stress, digestive ulcer, diarrhea, constipation, irritable bowel syndrome, inflammatory bowel diseases (ulcerous colitis or Crohn's disease), gastrointestinal function disorder accompanied by stress, neurol. vomiting, eating disorder [neurol. anorexia (anorexia nervosa) or overeating], obesity, stress-induced sleep disorder, fibromuscular pain-induced sleep disorder, stress-induced immunosuppression, stress-induced headache, stress-induced fever, stress-induced pain, operation invasion stress, chronic articular rheumatism, osteoarthritis, osteoporosis, psoriasis, and thyroid gland malfunction syndrome. The above diseases also include uveitis, asthma, diseases based on inappropriate antidiarrheic hormone, pain, inflammation, allergy, head trauma, spinal cord injury, ischemic neuron damage, Cushing's disease, seizure (attack), spasm, muscle spasm, epileptic ischemia, Parkinson's disease, Huntington's disease, urinary incontinence, Alzheimer's disease, Alzheimer-type senile dementia, multi-infarction dementia, amyotrophic lateral sclerosis, hypoglycemia, cardiovascular or cardiac diseases (hypertension, tachycardia, or ischemic heart failure), and alc. or drug withdrawal. Thus, a mixture of 150 mg 8-chloro-2-methyl-3-(2-methyl-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine and 0.60 mL 3-pentylamine was heated at 140° for 1 h to give 8-(3-pentylamino)-2-methyl-3-(2-methyl-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine (II). The compds. I

inhibited the binding of ^{125}I -CRF to human CRF receptor with IC_{50} of <1 μM . A tablet and an ampule formulation containing II were prepared

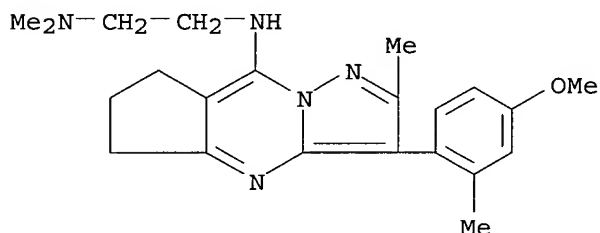
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 441063-00-9P 441063-02-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic heterocyclic derivative compds. as antagonists of corticotropin release factor receptor and drugs containing them as active ingredient)

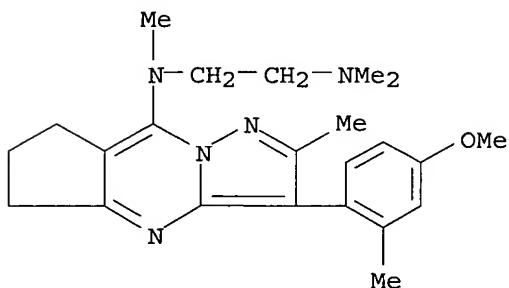
RN 441057-31-4 CAPLUS

CN 1,2-Ethanediamine, N'-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 441057-32-5 CAPLUS

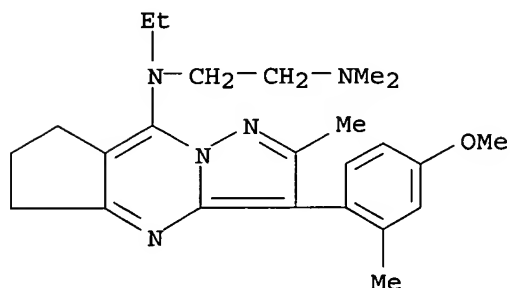
CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N,N',N'-trimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

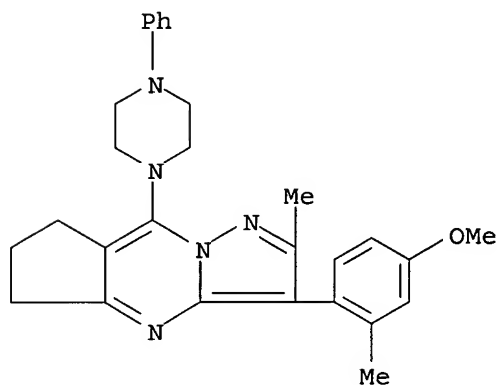
RN 441057-33-6 CAPLUS

CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N-ethyl-N',N'-dimethyl- (9CI)
(CA INDEX NAME)



RN 441057-92-7 CAPLUS

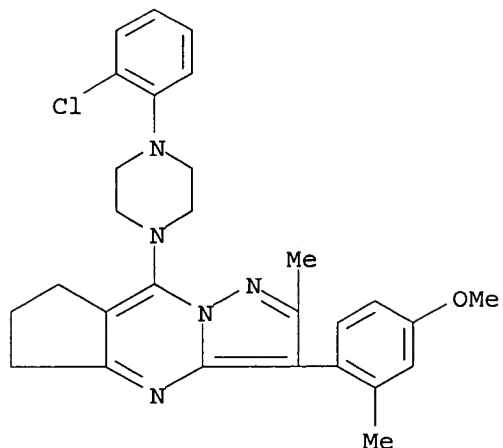
CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-8-(4-phenyl-1-piperazinyl)-, dihydrochloride (9CI)
(CA INDEX NAME)



● 2 HCl

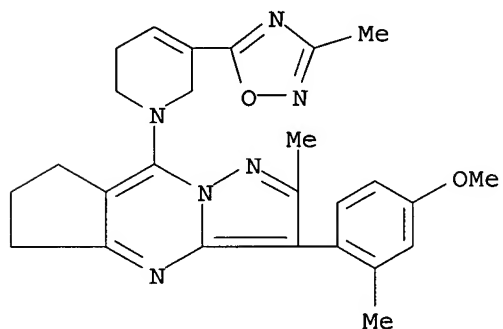
RN 441057-93-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidine, 8-[4-(2-chlorophenyl)-1-piperazinyl]-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)



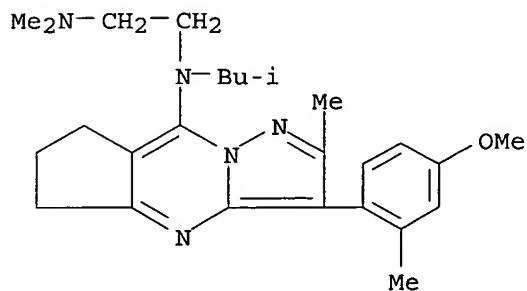
RN 441058-16-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidine, 8-[3,6-dihydro-5-(3-methyl-1,2,4-oxadiazol-5-yl)-1(2H)-pyridinyl]-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)



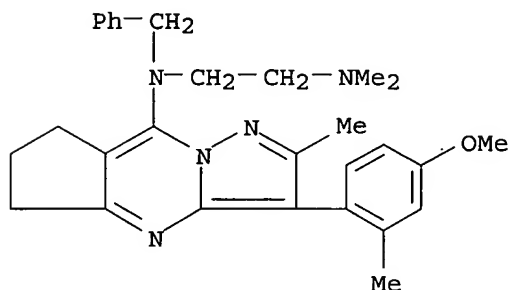
RN 441058-35-1 CAPLUS

CN 1,2-Ethanedi-amine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(2-methylpropyl)-, dihydrochloride (9CI) (CA INDEX NAME)



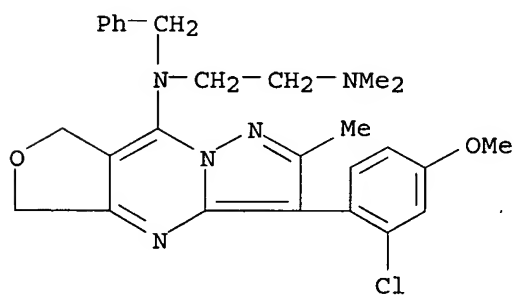
● 2 HCl

RN 441058-66-8 CAPLUS
 CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



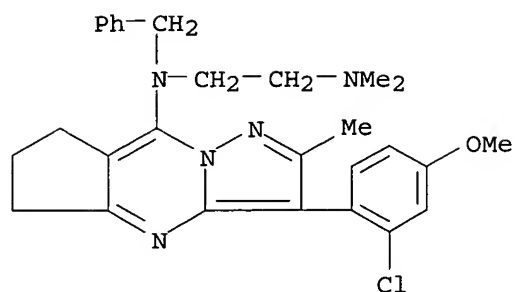
●2 HCl

RN 441058-67-9 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



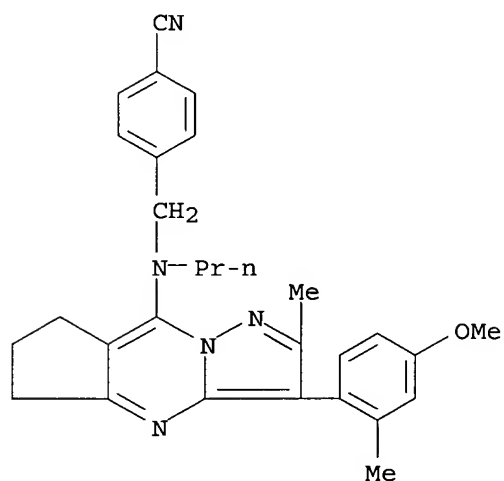
●2 HCl

RN 441058-68-0 CAPLUS
 CN 1,2-Ethanediamine, N-[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

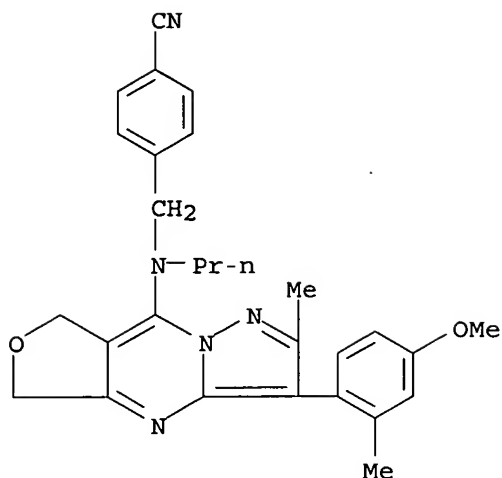


● 2 HCl

RN 441058-74-8 CAPLUS
 CN Benzonitrile, 4-[[[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]methyl] - (9CI) (CA INDEX NAME)

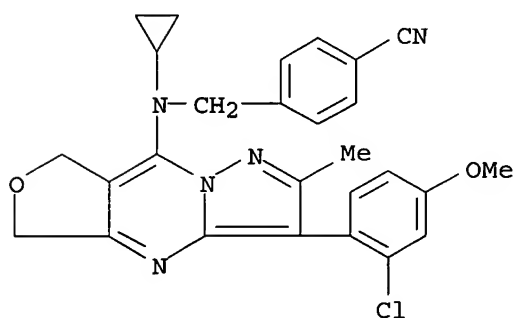


RN 441059-33-2 CAPLUS
 CN Benzonitrile, 4-[[[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]methyl] -, monohydrochloride (9CI) (CA INDEX NAME)



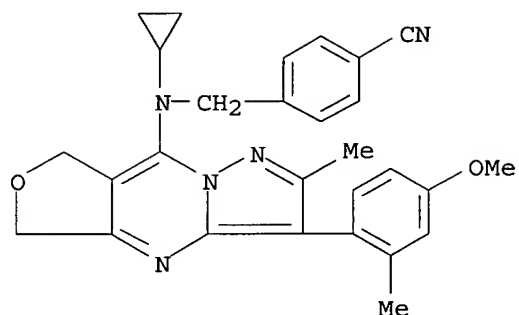
● HCl

RN 441059-66-1 CAPLUS
 CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]cyclopropylamino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

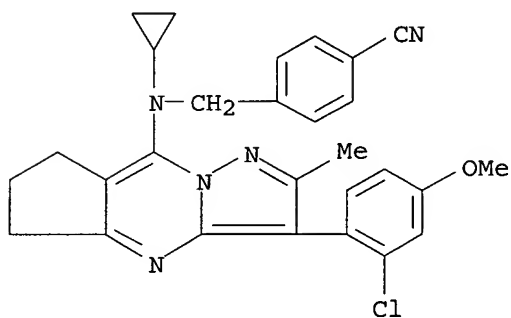
RN 441059-67-2 CAPLUS
 CN Benzonitrile, 4-[[[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 441059-74-1 CAPLUS

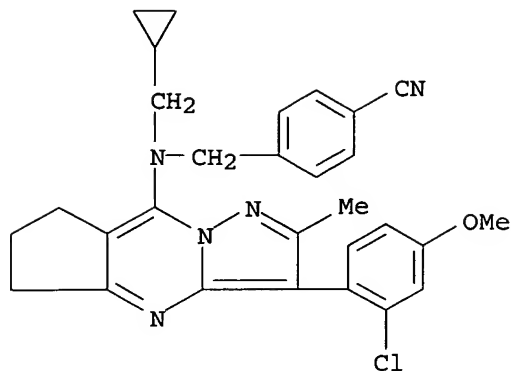
CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]cyclopropylamino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

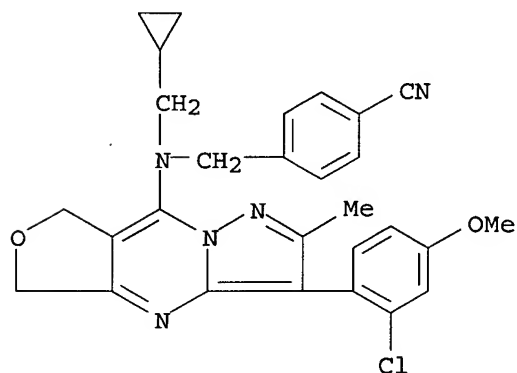
RN 441059-75-2 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl](cyclopropylmethyl)amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



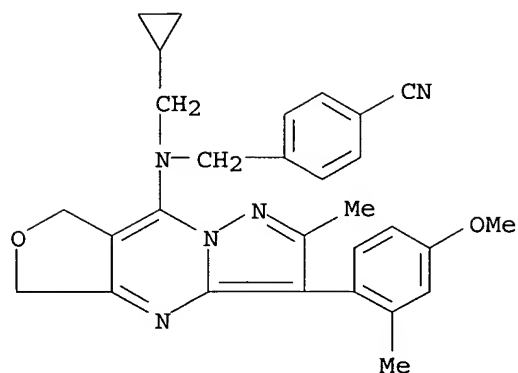
● HCl

RN 441059-76-3 CAPLUS
 CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl](cyclopropylmethyl)amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



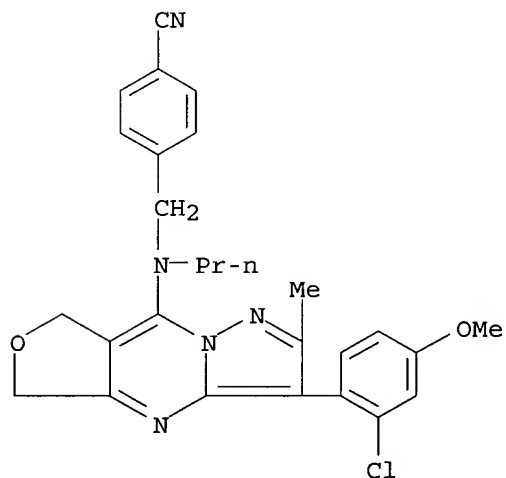
● HCl

RN 441059-77-4 CAPLUS
 CN Benzonitrile, 4-[[[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

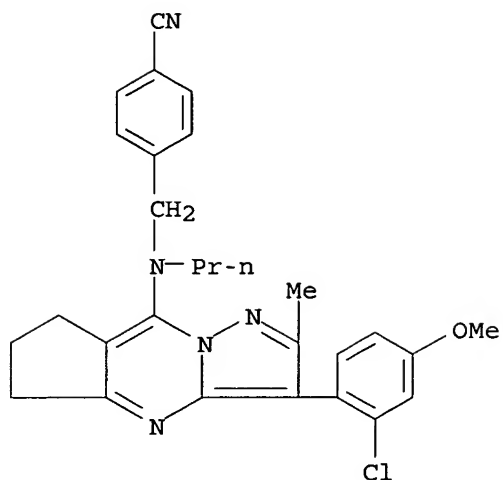


● HCl

RN 441060-42-0 CAPLUS
 CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]methyl]- (9CI) (CA INDEX NAME)

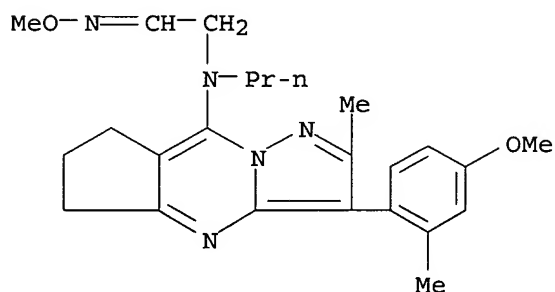


RN 441060-43-1 CAPLUS
 CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]methyl]- (9CI) (CA INDEX NAME)



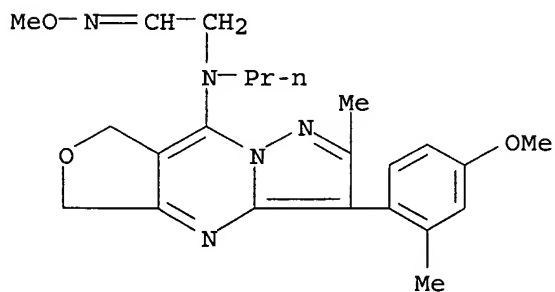
RN 441060-58-8 CAPLUS

CN Acetaldehyde, [[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]-, O-methyloxime (9CI) (CA INDEX NAME)



RN 441060-59-9 CAPLUS

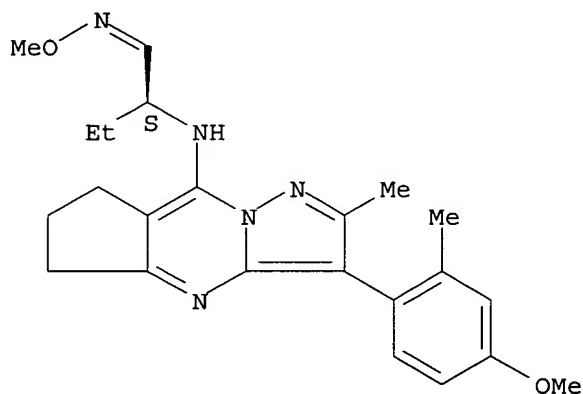
CN Acetaldehyde, [[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]-, O-methyloxime, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

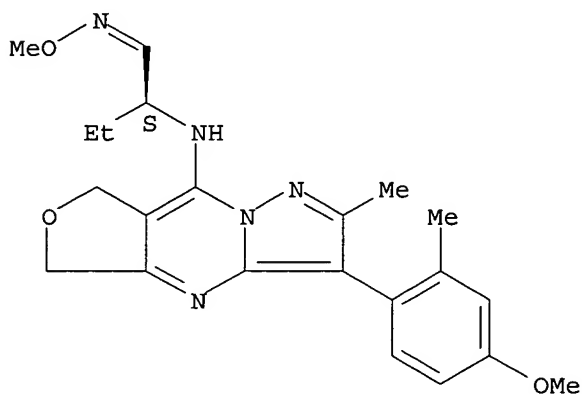
RN 441060-61-3 CAPLUS

CN Butanal, 2-[[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]-, O-methyloxime, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 441060-62-4 CAPLUS

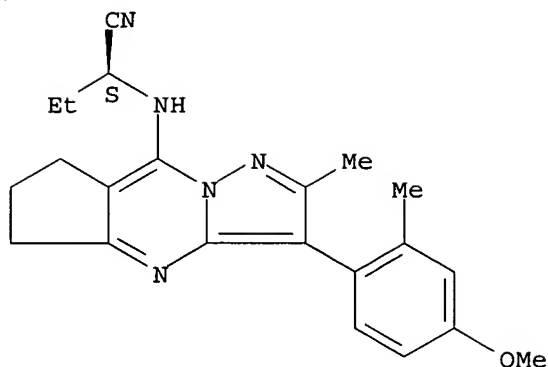
CN Butanal, 2-[[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]-, O-methyloxime, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 441060-63-5 CAPLUS

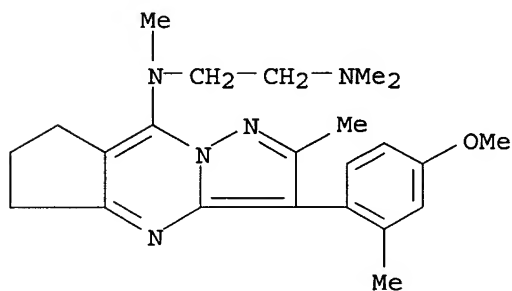
CN Butanenitrile, 2-[[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



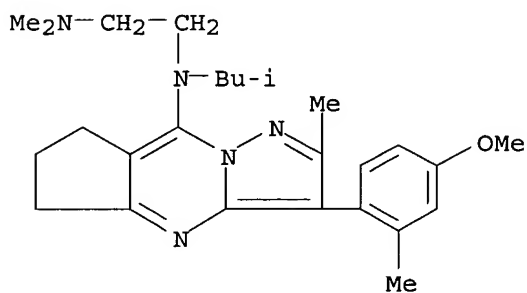
RN 441061-11-6 CAPLUS

CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N,N',N'-trimethyl- (9CI) (CA INDEX NAME)



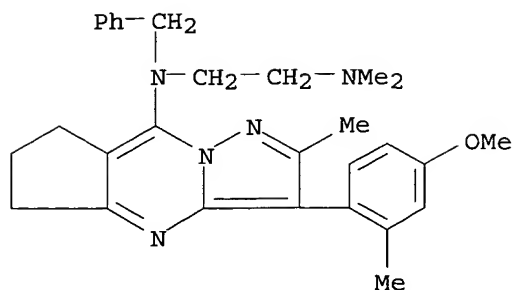
RN 441061-88-7 CAPLUS

CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N,N'-dimethyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)



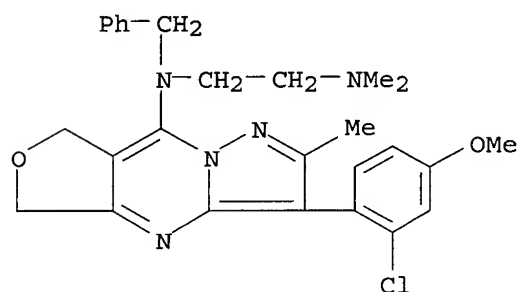
RN 441061-97-8 CAPLUS

CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N,N'-dimethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



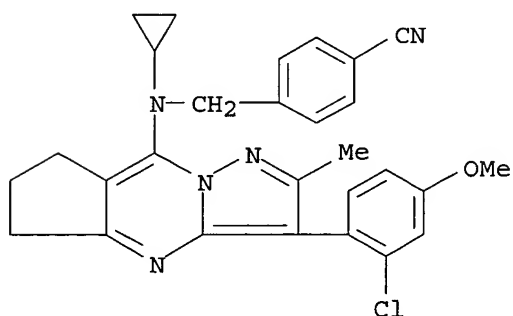
RN 441061-98-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(phenylmethyl)- (9CI)
(CA INDEX NAME)



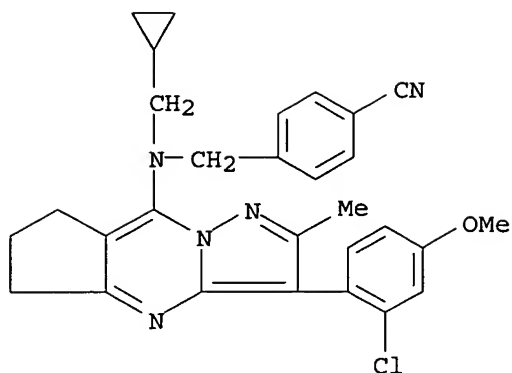
RN 441062-36-8 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]cyclopropylamino]methyl]- (9CI)
(CA INDEX NAME)



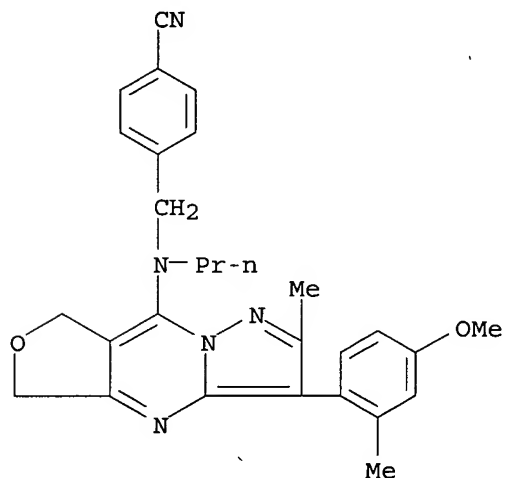
RN 441062-37-9 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl](cyclopropylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



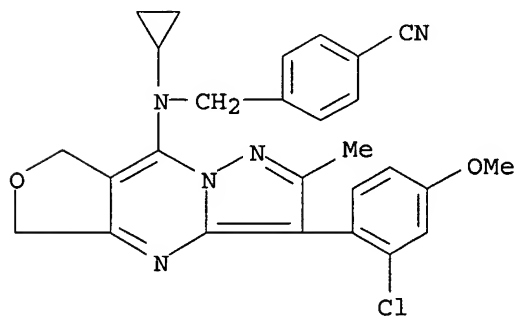
RN 441062-76-6 CAPLUS

CN Benzonitrile, 4-[[[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]methyl]- (9CI) (CA INDEX NAME)



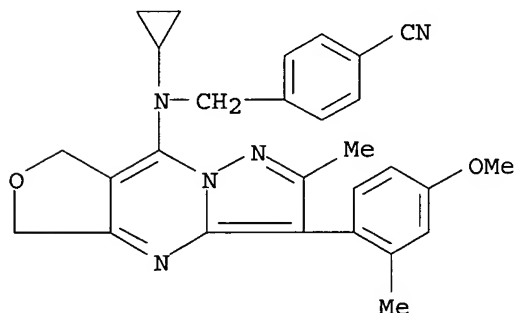
RN 441062-89-1 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]cyclopropylamino]methyl]- (9CI) (CA INDEX NAME)



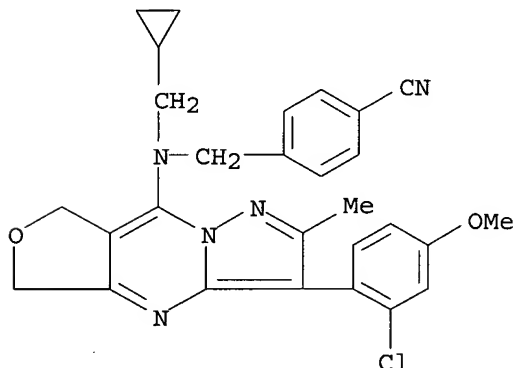
RN 441062-90-4 CAPLUS

CN Benzonitrile, 4-[[[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]methyl]- (9CI) (CA INDEX NAME)



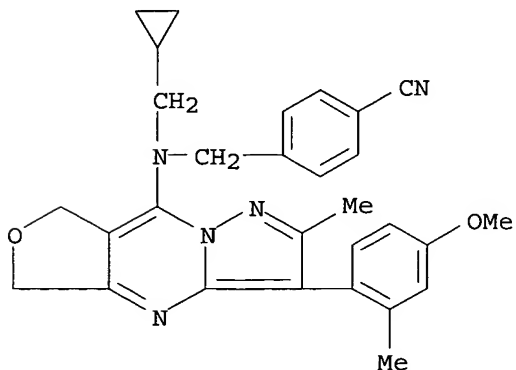
RN 441062-94-8 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl](cyclopropylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



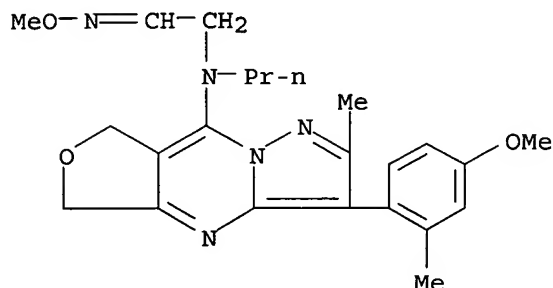
RN 441062-95-9 CAPLUS

CN Benzonitrile, 4-[[[(cyclopropylmethyl)[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]methyl]- (9CI) (CA INDEX NAME)



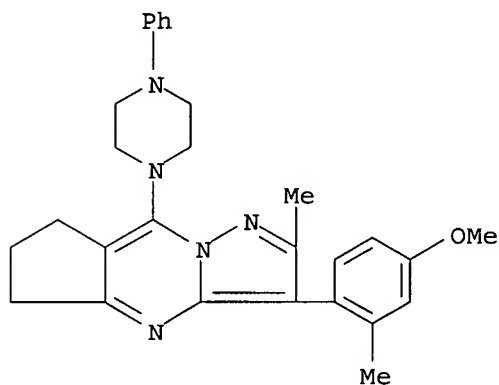
RN 441063-00-9 CAPLUS

CN Acetaldehyde, [[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]-, O-methyloxime (9CI) (CA INDEX NAME)



RN 441063-02-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-8-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:31438 CAPLUS

DOCUMENT NUMBER: 136:102370

TITLE: Preparation of tetrahydropyridine or piperidine heterocyclic derivatives and their affinity for CRF receptors

INVENTOR(S): Nakazato, Atsuro; Kumagai, Toshihito; Okubo, Taketoshi; Kameo, Kazuya

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

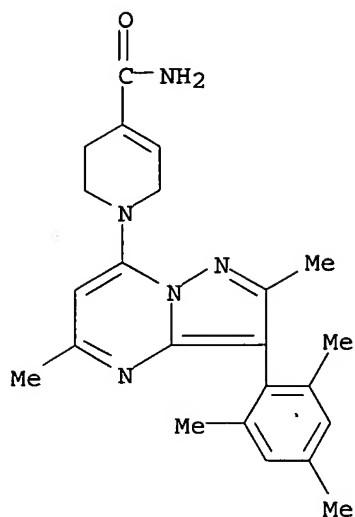
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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    HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
    LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
    SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
    YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW:  GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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CA 2412287      AA      20020110      CA 2001-2412287      20010704
AU 2001069437      A5      20020114      AU 2001-69437      20010704
EP 1299378      A1      20030409      EP 2001-947819      20010704
R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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BR 2001012166      A      20030902      BR 2001-12166      20010704
JP 2004502685      T2      20040129      JP 2002-507801      20010704
TW 591022      B      20040611      TW 2001-90116391      20010704
EE 200300007      A      20040816      EE 2003-7      20010704
CN 1535968      A      20041013      CN 2004-10033876      20010704
ZA 2002010041      A      20031211      ZA 2002-10041      20021211
BG 107374      A      20040930      BG 2002-107374      20021211
NO 2002006125      A      20030204      NO 2002-6125      20021219
US 2004034061      A1      20040219      US 2003-311277      20030825
US 6852732      B2      20050208
US 2005009874      A1      20050113      US 2004-912185      20040806
PRIORITY APPLN. INFO.:      JP 2000-204021      A      20000705
                                JP 2000-270535      A      20000906
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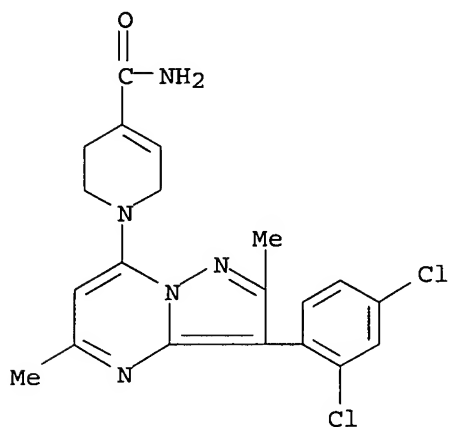
OTHER SOURCE(S):      MARPAT 136:102370
AB  Tetrahydropyridine or piperidine heterocyclic derivs. with high affinity
    for CRF receptors were prepared E.g., 5-(4-carbamoyl-1,2,3,6-
    tetrahydropyridin-1-yl)-2-(N-ethyl-2,4-dichloroanilino)-4-methylthiazole
    was prepared by bromination of 2-(N-ethyl-2,4-dichloroanilino)-4-
    methylthiazole hydrochloride, followed by reaction with
    5-carbamoyl-1,2,3,6-tetrahydropyridine hydrochloride.
IT  388122-81-4P 388122-83-6P 388122-85-8P
    388122-87-0P 388122-89-2P 388122-90-5P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
    (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
    (Uses)
        (preparation of tetrahydropyridine or piperidine heterocyclic derivs. and
        their affinity for CRF receptors)
RN  388122-81-4      CAPLUS
CN  4-Pyridinecarboxamide, 1-[2,5-dimethyl-3-(2,4,6-
    trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1,2,3,6-tetrahydro- (9CI)
    (CA INDEX NAME)

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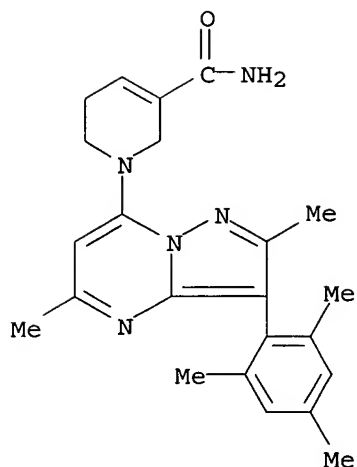
RN 388122-83-6 CAPLUS

CN 4-Pyridinecarboxamide, 1-[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)



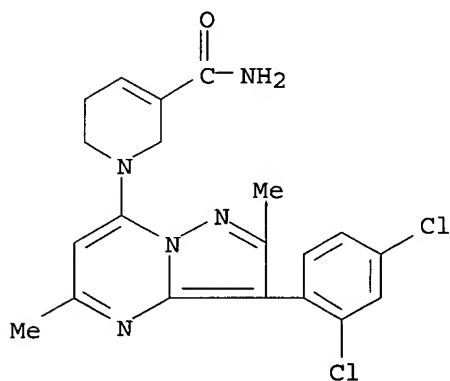
RN 388122-85-8 CAPLUS

CN 3-Pyridinecarboxamide, 1-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



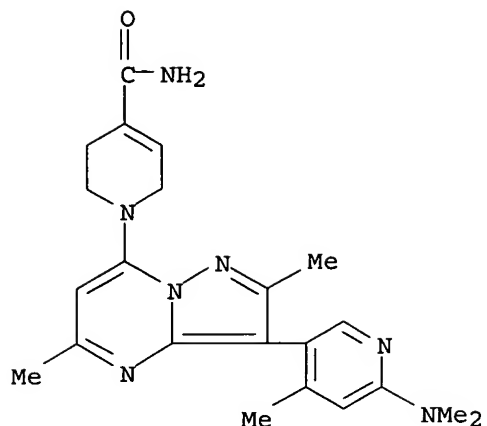
RN 388122-87-0 CAPLUS

CN 3-Pyridinecarboxamide, 1-[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



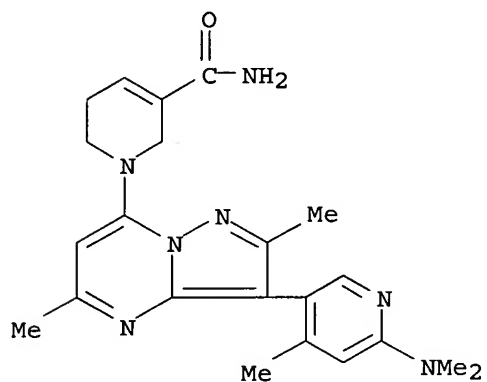
RN 388122-89-2 CAPLUS

CN 4-Pyridinecarboxamide, 1-[3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)



RN 388122-90-5 CAPLUS

CN 3-Pyridinecarboxamide, 1-[3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:813420 CAPLUS

DOCUMENT NUMBER: 135:344507

TITLE: Preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists

INVENTOR(S): He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios Georgios

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 899,242.
CODEN: USXXAM

DOCUMENT TYPE: Patent

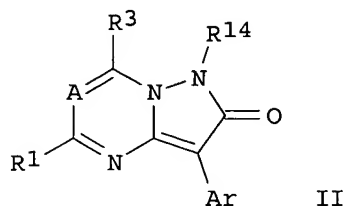
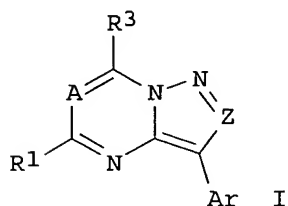
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 6313124	B1	20011106	US 1998-14734	19980128
US 6124289	A	20000926	US 1997-899242	19970723
ZA 9706603	A	19990125	ZA 1997-6603	19970724
US 6136809	A	20001024	US 1998-14999	19980128
LT 4680	B	20000725	LT 1999-8	19990125
CA 2314613	AA	19990805	CA 1999-2314613	19990128
WO 9938868	A1	19990805	WO 1999-US1824	19990128
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9924787	A1	19990816	AU 1999-24787	19990128
AU 748818	B2	20020613		
EP 1049699	A1	20001108	EP 1999-904382	19990128
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BR 9908206	A	20001205	BR 1999-8206	19990128
JP 2002501922	T2	20020122	JP 2000-529335	19990128
NZ 505079	A	20030829	NZ 1999-505079	19990128
EP 1344779	A1	20030917	EP 2003-75887	19990128
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AT 264860	E	20040515	AT 1999-904382	19990128
PT 1049699	T	20040831	PT 1999-904382	19990128
CN 1542010	A	20041103	CN 2003-10122546	19990128
ES 2218991	T3	20041116	ES 1999-904382	19990128
SG 111076	A1	20050530	SG 2002-200204556	19990128
TW 520372	B	20030211	TW 1999-88102636	19990223
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PRIORITY APPLN. INFO.:				
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			US 1997-899242	A2 19970723
			US 1996-686047	A 19960724
			JP 1998-507233	A3 19970723
			US 1998-14734	A 19980128
			US 1998-15001	A 19980128
			US 1998-15002	A 19980128
			EP 1999-904382	A3 19990128
			WO 1999-US1824	W 19990128
OTHER SOURCE(S): MARPAT 135:344507				
GI				



AB The title compds. [I or II; A = N, CR; Z = N, CR₂; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, alkyl, alkenyl, etc.; R₁ = H, alkyl, alkenyl, etc.; R₂ = H, alkyl, alkenyl, etc.; R₃ = H, SH, OH, etc.; R₁₄ = C₁-10 alkyl, C₃-10 alkenyl, C₃-10 alkynyl, etc.], corticotropin releasing

factor (CRF) antagonists (no data) which are useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl) [1,5-a]pyrazolo-1,3,5-triazin-4-one with POCl₃ and N,N-dimethylaniline, followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dichlorophenyl) [1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxy-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R₁ = Me; R₃ = NHCH(CH₂OMe)₂; Ar = 2,4-Cl₂C₆H₃].

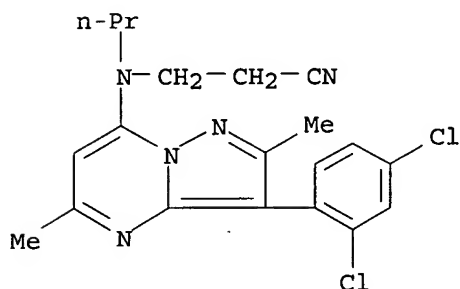
IT 202579-61-1P 202579-71-3P 202579-72-4P
202579-85-9P 202579-89-3P 202579-90-6P
262297-98-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists)

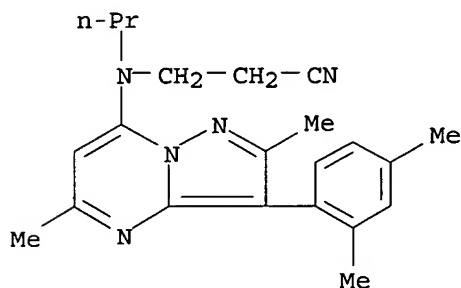
RN 202579-61-1 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



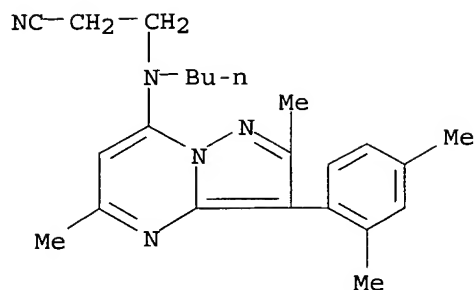
RN 202579-71-3 CAPLUS

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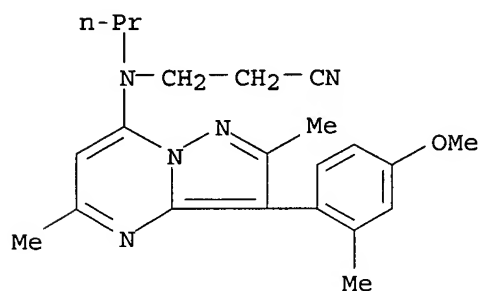
RN 202579-72-4 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



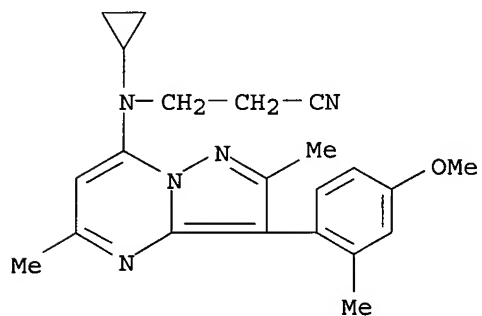
RN 202579-85-9 CAPLUS

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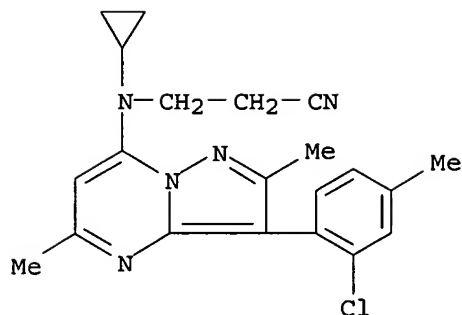
RN 202579-89-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

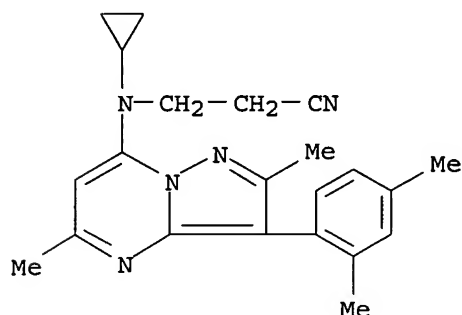


RN 202579-90-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



RN 262297-98-3 CAPLUS
 CN Propanenitrile, 3-[cyclopropyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino] - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 103 THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L13 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2001:796238 CAPLUS
 DOCUMENT NUMBER: 135:339292
 TITLE: Combinations of corticotropin releasing factor antagonists and growth hormone secretagogues
 INVENTOR(S): Fossa, Anthony A.
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: Eur. Pat. Appl., 58 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1149583	A2	20011031	EP 2001-303033	20010330
EP 1149583	A3	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2001001456	A	20011204	BR 2001-1456	20010411
CA 2344089	AA	20011013	CA 2001-2344089	20010412
US 2001041673	A1	20011115	US 2001-834477	20010413
PRIORITY APPLN. INFO.:			US 2000-196698P	P 20000413

OTHER SOURCE(S): MARPAT 135:339292

AB This invention is directed to pharmaceutical compns. comprising corticotropin releasing factor antagonist and growth hormone or growth hormone secretagogues, prodrugs thereof, or pharmaceutically acceptable salts of said compds. or said prodrugs (Markush structures given). The invention is also directed to the use of such compns. in the treatment or prevention of osteoporosis and heart-related diseases (including congestive heart failure) in mammals, particularly humans (no data).

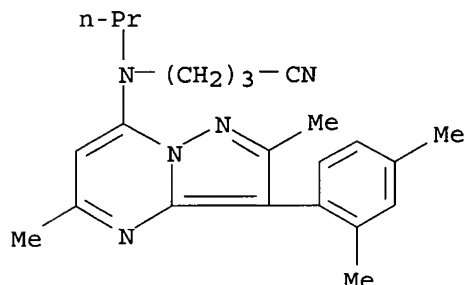
IT 202580-60-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combinations of corticotropin releasing factor antagonists and growth hormone secretagogues)

RN 202580-60-7 CAPLUS

CN Butanenitrile, 4-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



L13 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:338070 CAPLUS

DOCUMENT NUMBER: 134:336224

TITLE: Use of corticotropin releasing factor (CRF) antagonists for treating syndrome X

INVENTOR(S): Chen, Yuhpyng Liang; Hamanaka, Ernest Seiichi

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1097709	A2	20010509	EP 2000-309441	20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 776724	B2	20040916	AU 2000-66695	20001024
ZA 2000006008	A	20020426	ZA 2000-6008	20001026
US 6589947	B1	20030708	US 2000-696822	20001026
CA 2325069	AA	20010429	CA 2000-2325069	20001027
NZ 507825	A	20041126	NZ 2000-507825	20001027
PRIORITY APPLN. INFO.:			US 1999-162340P	P 19991029

OTHER SOURCE(S): MARPAT 134:336224

AB Compns. and methods are provided for achieving a therapeutic effect,

including the treatment or prevention of syndrome X in an animal, preferably a mammal including a human subject or a companion animal, using a CRF antagonist alone or together with a glucocorticoid receptor antagonist.

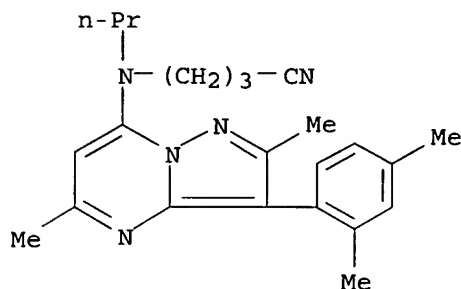
IT 202580-60-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonist, alone or with glucocorticoid receptor antagonist, for treating syndrome X)

RN 202580-60-7 CAPLUS

CN Butanenitrile, 4-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-alpyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



L13 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:185043 CAPLUS

DOCUMENT NUMBER: 134:217215

TITLE: Use of CRF antagonists and related compositions for modifying circadian rhythm and treatment of depression and other conditions

INVENTOR(S): Chen, Yuhpyng Liang

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 29 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1082960	A2	20010314	EP 2000-307074	20000818
EP 1082960	A3	20020320		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6432989	B1	20020813	US 2000-587007	20000605
EP 1449532	A1	20040825	EP 2004-12293	20000818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
JP 2001097889	A2	20010410	JP 2000-251836	20000823
ZA 2000004362	A	20020225	ZA 2000-4362	20000824
CA 2316662	AA	20010227	CA 2000-2316662	20000825
NZ 506562	A	20020927	NZ 2000-506562	20000825
AU 776077	B2	20040826	AU 2000-53644	20000825
US 2002156089	A1	20021024	US 2002-161816	20020604

US 2004082597 A1 20040429 US 2003-676201 20031001
PRIORITY APPLN. INFO.: US 1999-151183P P 19990827

US 2000-587007 A3 20000605

EP 2000-307074 A3 20000818

US 2002-161816 A3 20020604

AB A corticotropin releasing factor (CRF) antagonist is administered to treat disorders that can be treated by altering circadian rhythm, as well as depression (in which a second compound for treating depression is administered, the second compound having an onset of action that is delayed with respect to that of the CRF antagonist). Methods for treating cardiovascular diseases, migraine, non-migraine headaches, and emesis are also disclosed.

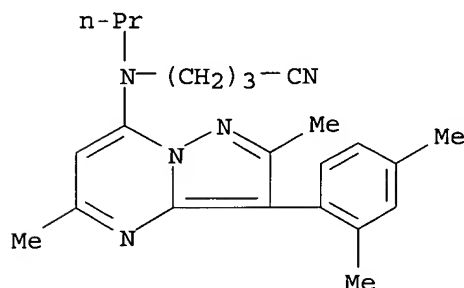
IT 202580-60-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonists and related compns. for modifying circadian rhythm and treatment of depression and other conditions, and use with other agents)

RN 202580-60-7 CAPLUS

CN Butanenitrile, 4-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



L13 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:131201 CAPLUS

DOCUMENT NUMBER: 134:178572

TITLE: Preparation of azolo triazines and pyrimidines as corticotropin releasing factor (CRF) antagonists

INVENTOR(S): He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios Georgios

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Co., USA

SOURCE: U.S., 90 pp., Cont.-in-part of U. S. Ser. No. 899,242.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

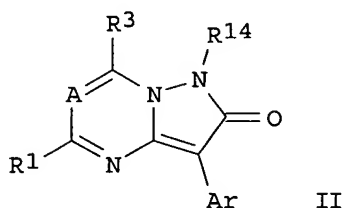
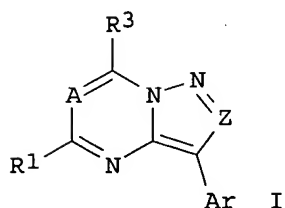
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6191131	B1	20010220	US 1998-15002	19980128
US 6124289	A	20000926	US 1997-899242	19970723
ZA 9706603	A	19990125	ZA 1997-6603	19970724
US 6136809	A	20001024	US 1998-14999	19980128
LT 4680	B	20000725	LT 1999-8	19990125

CA 2314613	AA	19990805	CA 1999-2314613	19990128
WO 9938868	A1	19990805	WO 1999-US1824	19990128
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9924787	A1	19990816	AU 1999-24787	19990128
AU 748818	B2	20020613		
EP 1049699	A1	20001108	EP 1999-904382	19990128
EP 1049699	B1	20040421		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9908206	A	20001205	BR 1999-8206	19990128
JP 2002501922	T2	20020122	JP 2000-529335	19990128
NZ 505079	A	20030829	NZ 1999-505079	19990128
EP 1344779	A1	20030917	EP 2003-75887	19990128
EP 1344779	B1	20050810		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
AT 264860	E	20040515	AT 1999-904382	19990128
PT 1049699	T	20040831	PT 1999-904382	19990128
CN 1542010	A	20041103	CN 2003-10122546	19990128
ES 2218991	T3	20041116	ES 1999-904382	19990128
SG 111076	A1	20050530	SG 2002-200204556	19990128
TW 520372	B	20030211	TW 1999-88102636	19990223
US 6358950	B1	20020319	US 2000-696759	20001026
JP 2005097257	A2	20050414	JP 2004-216483	20040723
PRIORITY APPLN. INFO.:			US 1996-23290P	P 19960724
			US 1997-899242	A2 19970723
			US 1996-686047	A 19960724
			JP 1998-507233	A3 19970723
			US 1998-14734	A 19980128
			US 1998-15001	A 19980128
			US 1998-15002	A 19980128
			EP 1999-904382	A3 19990128
			WO 1999-US1824	W 19990128

OTHER SOURCE(S): MARPAT 134:178572
GI



AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, alk(en/yn)yl, halo, etc.; R1, R2 = H, alk(en/yn)yl, halo, etc.; R3 = H, SH, aryl, etc.; R14 = (un)substituted alk(en/yn)yl, cycloalkyl(alkyl)], useful in treating CRF-related disorders, particularly anxiety, depression, and other psychiatric, neurol. disorders as well as treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. For

instance, 5-amino-4-(2-chloro-4-methylphenyl)-3-methylpyrazole was cyclized with Et acetoacetate in AcOH to give 42% 7-hydroxy-2,5-dimethyl-3-(2-chloro-4-methylphenyl)pyrazolo[1,5-a]pyrimidine. The latter was treated with POCl₃ and PhNEt₂ to give the 7-chloro analog (84%), which reacted with 3-pentylamine to give 60% title compound I [A = CH; R₁ = Me; R₃ = NHCH₂Et₂; Z = CMe; Ar = 2-Cl-4-MeC₆H₃]. The compds. I are effective at 0.002-200 mg/kg/day.

IT 202579-61-1P 202579-71-3P 202579-72-4P

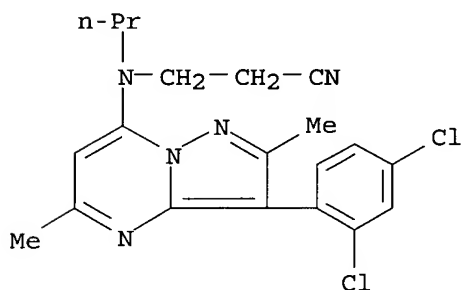
202579-85-9P 202579-89-3P 202579-90-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolo-fused triazines and pyrimidines as CRF antagonists)

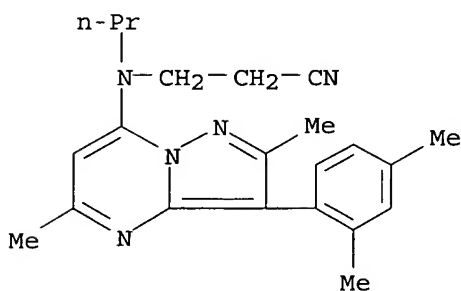
RN 202579-61-1 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



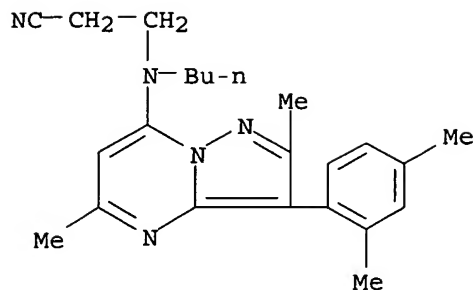
RN 202579-71-3 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



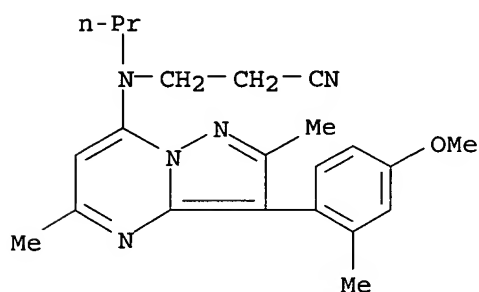
RN 202579-72-4 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



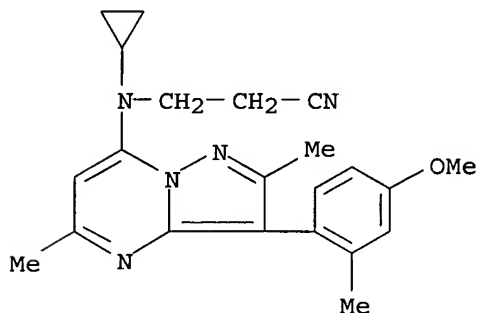
RN 202579-85-9 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



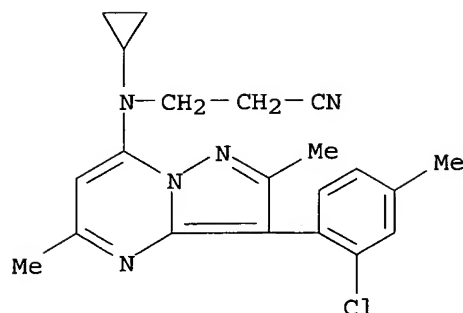
RN 202579-89-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



RN 202579-90-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:307132 CAPLUS

DOCUMENT NUMBER: 132:321873

TITLE: Azolo triazines and pyrimidines useful as corticotropin releasing factor (CRF) antagonists

INVENTOR(S): Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios Georgios

PATENT ASSIGNEE(S): DuPont Pharmaceuticals Co., USA

SOURCE: U.S., 86 pp., Cont.-in-part of U.S. Ser. No. 899,242. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

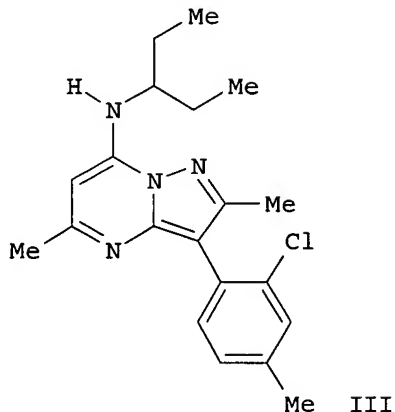
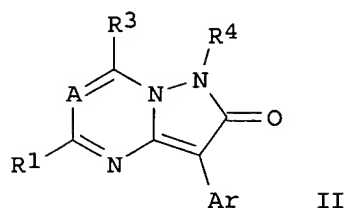
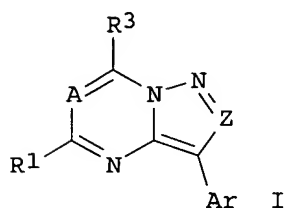
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6060478	A	20000509	US 1998-15001	19980128
US 6124289	A	20000926	US 1997-899242	19970723
ZA 9706603	A	19990125	ZA 1997-6603	19970724
US 6136809	A	20001024	US 1998-14999	19980128
LT 4680	B	20000725	LT 1999-8	19990125
CA 2314613	AA	19990805	CA 1999-2314613	19990128
WO 9938868	A1	19990805	WO 1999-US1824	19990128
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9924787	A1	19990816	AU 1999-24787	19990128
AU 748818	B2	20020613		
EP 1049699	A1	20001108	EP 1999-904382	19990128
EP 1049699	B1	20040421		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9908206	A	20001205	BR 1999-8206	19990128
JP 2002501922	T2	20020122	JP 2000-529335	19990128
NZ 505079	A	20030829	NZ 1999-505079	19990128
EP 1344779	A1	20030917	EP 2003-75887	19990128
EP 1344779	B1	20050810		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
AT 264860	E	20040515	AT 1999-904382	19990128
PT 1049699	T	20040831	PT 1999-904382	19990128

CN 1542010	A	20041103	CN 2003-10122546	19990128
ES 2218991	T3	20041116	ES 1999-904382	19990128
SG 111076	A1	20050530	SG 2002-200204556	19990128
TW 520372	B	20030211	TW 1999-88102636	19990223
JP 2005097257	A2	20050414	JP 2004-216483	20040723
PRIORITY APPLN. INFO.:			US 1996-23290P	P 19960724
			US 1997-899242	A2 19970723
			US 1996-686047	A 19960724
			JP 1998-507233	A3 19970723
			US 1998-14734	A 19980128
			US 1998-15001	A 19980128
			US 1998-15002	A 19980128
			EP 1999-904382	A3 19990128
			WO 1999-US1824	W 19990128

OTHER SOURCE(S): MARPAT 132:321873
GI



AB Corticotropin releasing factor (CRF) antagonists (no data) of formulas I and II are disclosed [wherein A = N or CR; Z = N or CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, pyrimidinyl, indanyl, tetralinyl, addnl. selected heterocycles; R = H, alk(en/yn)yl, cycloalkyl(alkyl), halo, cyano, haloalkyl; R1, R2 = H, groups listed for R, NH2 or derivs., OH or derivs., SH or derivs., addnl. substituted alkyls; R3 = H, OH or derivs., SH or derivs., acyl, CO2H or esters, NH2 or derivs., aryl, heteroaryl, alk(en/yn)yl, etc.; R4 = (un)substituted alk(en/yn)yl or cycloalkyl(alkyl)]. The compds. are of use in the treatment of CRF-related disorders, particularly anxiety and depression, as well as other psychiatric, neurol., immunol., cardiovascular, and psychopathol.

disorders. For instance, 5-amino-4-(2-chloro-4-methylphenyl)-3-methylpyrazole was cyclized with Et acetoacetate in AcOH to give 42% 7-hydroxy-5-methyl-3-(2-chloro-4-methylphenyl)pyrazolo[1,5-a]pyrimidine. The latter was treated with POCl₃ and PhNET₂ to give the 7-chloro analog (84%), which reacted with 3-pentylamine to give 60% title compound III.

IT 202579-61-1P 202579-71-3P 202579-72-4P
 202579-85-9P 202579-89-3P 202579-90-6P
 202580-60-7P 234776-73-9P 234776-78-4P
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 234776-97-7P 234777-09-4P 234777-18-5P
 234777-23-2P 234777-24-3P 234777-36-7P
 234777-42-5P 234777-43-6P 234777-55-0P
 234777-64-1P 234777-69-6P 234777-70-9P
 234777-82-3P 234777-87-8P 234777-88-9P
 234778-00-8P 262297-98-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

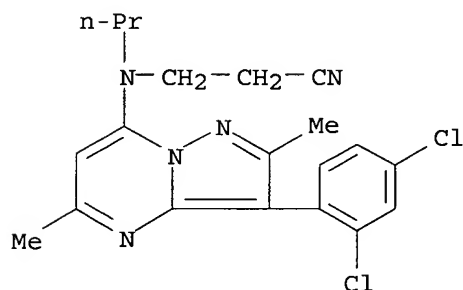
(target compound; preparation of azolo-fused triazines and pyrimidines as

CRF

antagonists)

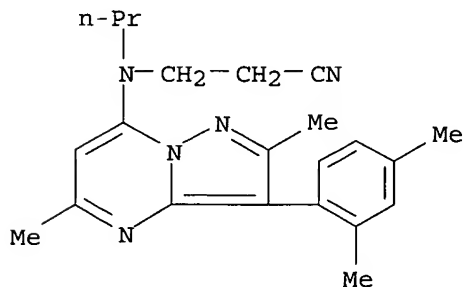
RN 202579-61-1 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



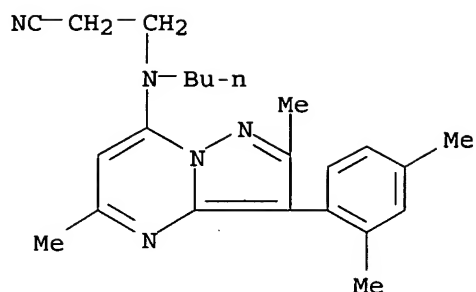
RN 202579-71-3 CAPLUS

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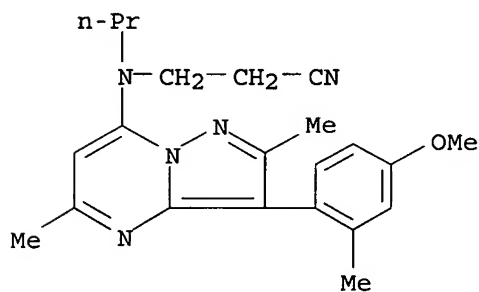
RN 202579-72-4 CAPLUS

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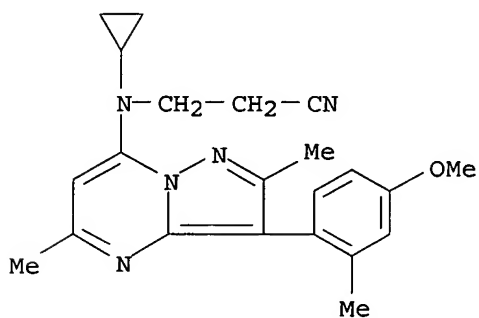
RN 202579-85-9 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



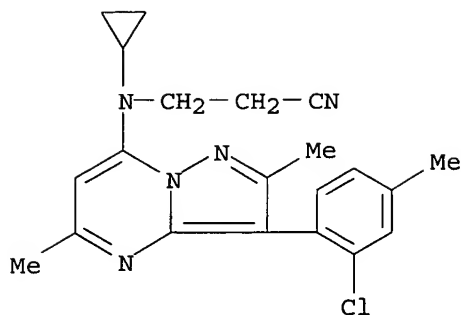
RN 202579-89-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



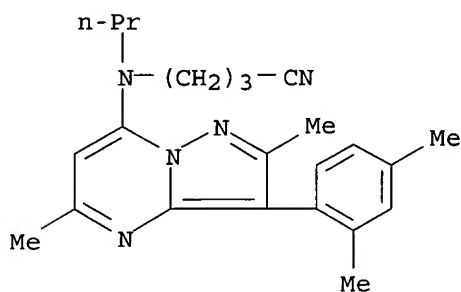
RN 202579-90-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



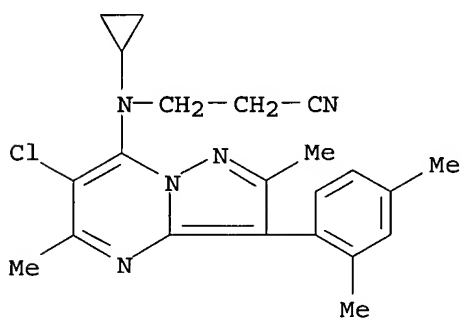
RN 202580-60-7 CAPLUS

CN Butanenitrile, 4-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



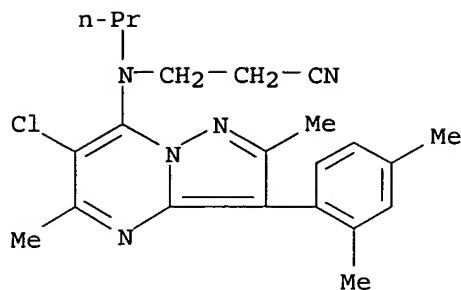
RN 234776-73-9 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



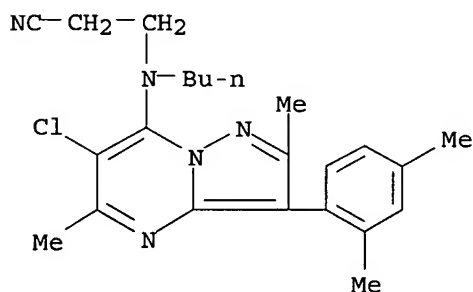
RN 234776-78-4 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



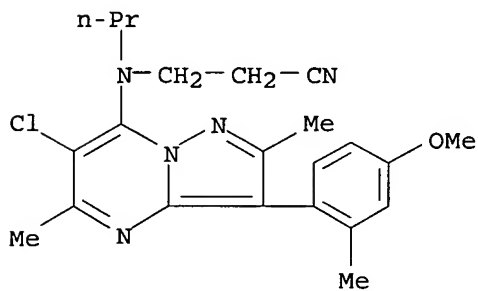
RN 234776-79-5 CAPLUS

CN Propanenitrile, 3-[butyl[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



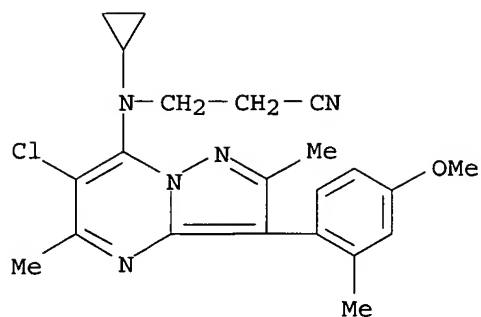
RN 234776-91-1 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

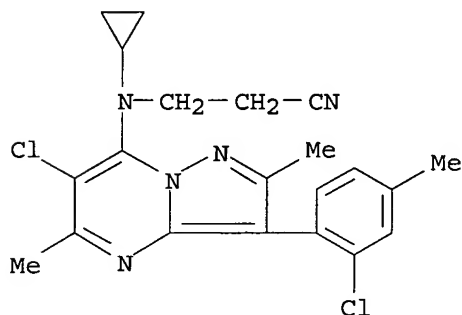


RN 234776-96-6 CAPLUS

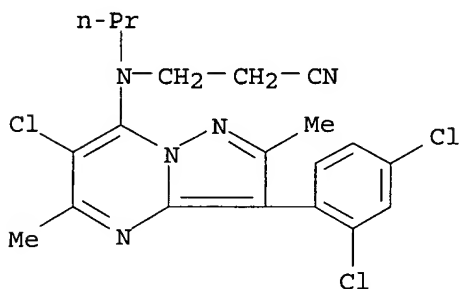
CN Propanenitrile, 3-[[6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



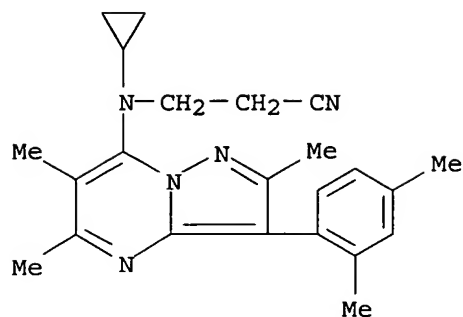
RN 234776-97-7 CAPLUS
 CN Propanenitrile, 3-[[6-chloro-3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



RN 234777-09-4 CAPLUS
 CN Propanenitrile, 3-[[6-chloro-3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

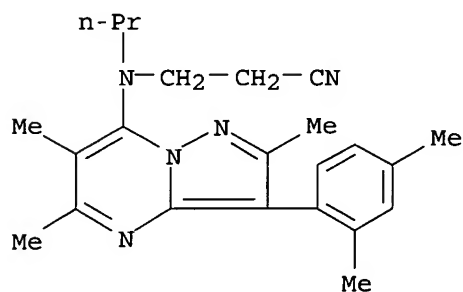


RN 234777-18-5 CAPLUS
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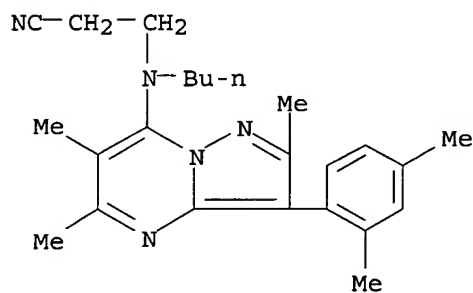
RN 234777-23-2 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



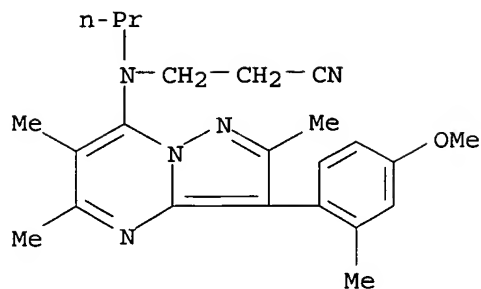
RN 234777-24-3 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



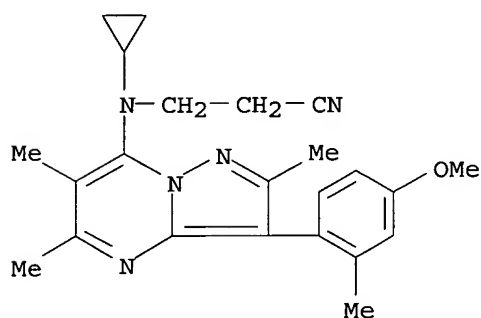
RN 234777-36-7 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



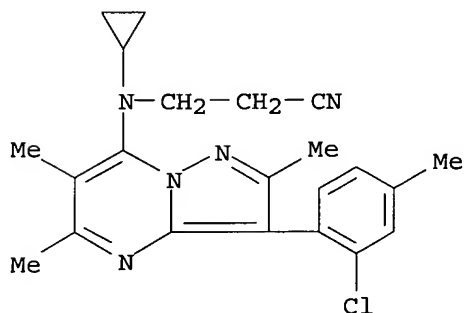
RN 234777-42-5 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino] - (9CI) (CA INDEX NAME)



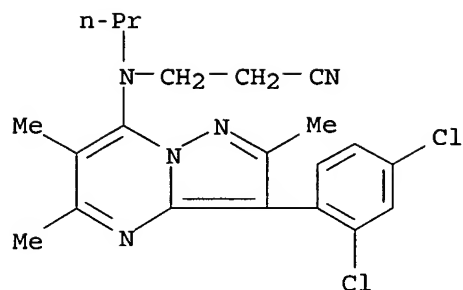
RN 234777-43-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino] - (9CI) (CA INDEX NAME)



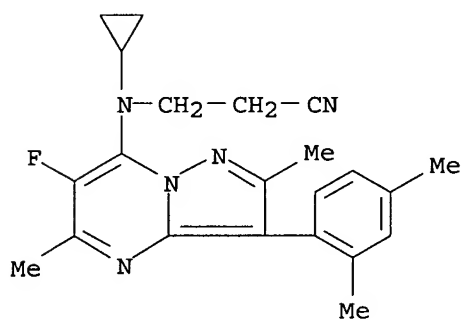
RN 234777-55-0 CAPLUS

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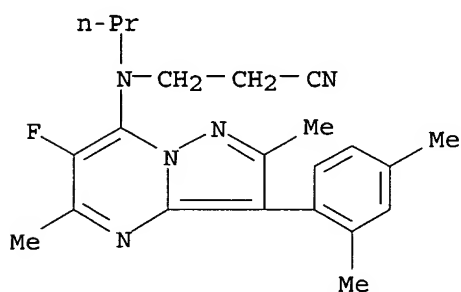
RN 234777-64-1 CAPLUS

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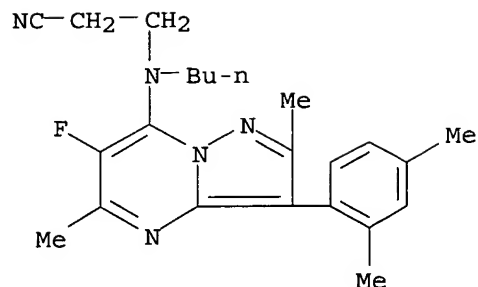
RN 234777-69-6 CAPLUS

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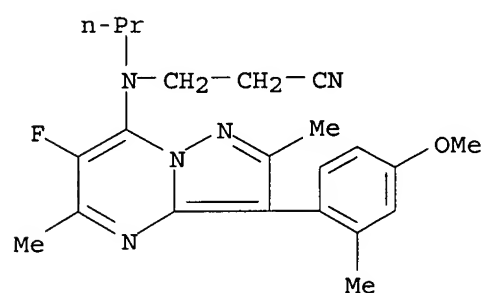


RN 234777-70-9 CAPLUS

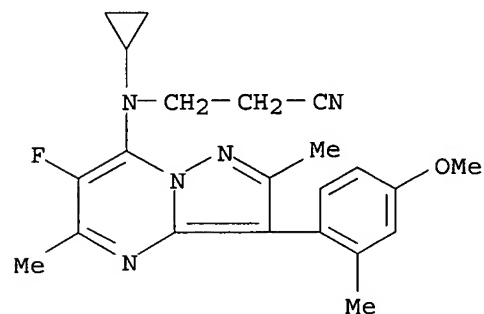
CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



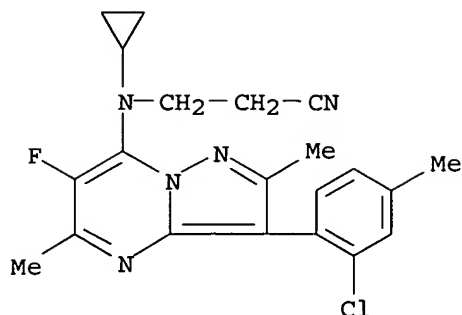
RN 234777-82-3 CAPLUS
 CN Propanenitrile, 3-[[6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



RN 234777-87-8 CAPLUS
 CN Propanenitrile, 3-[cyclopropyl[6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

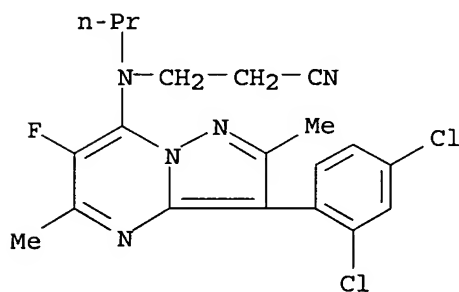


RN 234777-88-9 CAPLUS
 CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



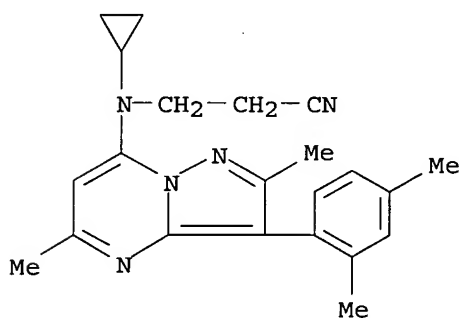
RN 234778-00-8 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



RN 262297-98-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:125866 CAPLUS

DOCUMENT NUMBER: 132:231516

TITLE: The discovery of 4-(3-pentylamino)-2,7-dimethyl-8-(2-methyl-4-methoxyphenyl)-pyrazolo-[1,5-a]-pyrimidine: a corticotropin-releasing factor (hCRF1) antagonist

AUTHOR(S): Gilligan, Paul J.; Baldauf, Caryn; Cocuzza, Anthony; Chidester, Dennis; Zaczek, Robert; Fitzgerald,

CORPORATE SOURCE: Lawrence W.; McElroy, John; Smith, Mark A.; Shen, H.-S. L.; Saye, Jo Anne; Christ, David; Trainor, George; Robertson, David W.; Hartig, Paul
 SOURCE: Chemical and Physical Sciences Department, Experimental Station, DuPont Pharmaceuticals Co., Wilmington, DE, 10880-0500, USA
 PUBLISHER: Bioorganic & Medicinal Chemistry (2000), 8(1), 181-189
 DOCUMENT TYPE: CODEN: BMECEP; ISSN: 0968-0896
 LANGUAGE: Elsevier Science Ltd. *late*
 Journal
 English

AB Structure-activity relationship studies led to the discovery of 4-(3-pentylamino)-2,7-dimethyl-8-(2-methyl-4-methoxyphenyl)-pyrazolo-[1,5-a]-pyrimidine (compound 11-31, DMP904), whose pharmacol. profile strongly supports the hypothesis that hCRF1 antagonists may be potent anxiolytic drugs. Compound 11-31 (hCRF1 $K_i = 1.0 \pm 0.2$ nM ($n = 8$)) was a potent antagonist of hCRF1-coupled adenylate cyclase activity in HEK293 cells ($IC_{50} = 10.0 \pm 0.01$ nM vs. 10 nM r/hCRF, $n = 8$); α -helical CRF(9-41) had weaker potency ($IC_{50} = 286 \pm 63$ nM, $n = 3$). Analog 11-31 had good oral activity in the rat situational anxiety test; the min. ED for 11-31 was 0.3 mg/kg, orally. Maximal efficacy (approx. 57% reduction in latency time in the dark compartment) was observed at this dose. Chlordiazepoxide caused a 72% reduction in latency at 20 mg/kg, orally. CP154526-1 (30 mg/kg, orally) was inactive in this test. Compound 11-31 did not inhibit open-field locomotor activity at 10, 30, and 100 mg/kg, orally in rats. In beagle dogs, this compound (5 mg/kg, i.v., orally) afforded good plasma levels. The key i.v. pharmacokinetic parameters were $t_{1/2}$, CL and Vd_{ss} values equal to 46.4 ± 7.6 h, 0.49 ± 0.08 L/kg/h and 23.0 ± 4.2 L/kg, resp. After oral dosing, the mean C_{max} , T_{max} , $t_{1/2}$ and bioavailability values were equal to 1260 ± 290 nM, 0.75 ± 0.25 h, 45.1 ± 10.2 h and 33.1%, resp. The overall rat behavioral profile of this compound suggests that it may be an anxiolytic drug with a low motor side effect liability.

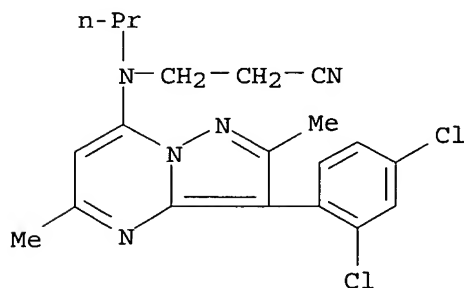
IT 202579-61-1 202579-85-9 202579-89-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(structure-activity relationships of pyrazolo-[1,5-a]-pyrimidines as human CRF1 antagonists leading to discovery of anxiolytic DMP904)

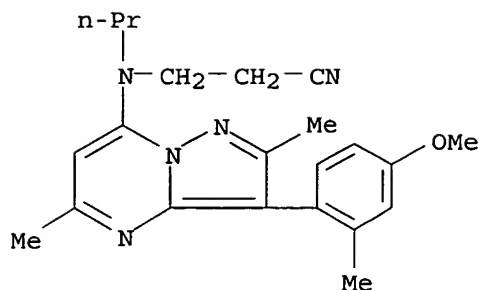
RN 202579-61-1 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



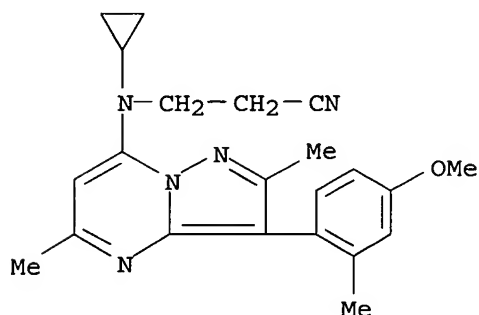
RN 202579-85-9 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



RN 202579-89-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



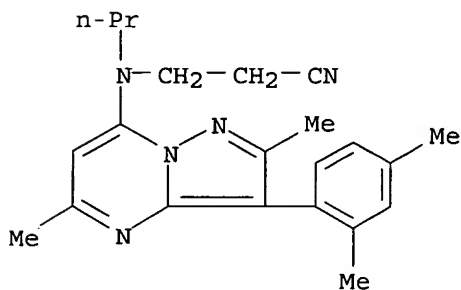
IT 202579-71-3P 202579-72-4P 202579-90-6P
262297-98-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(structure-activity relationships of pyrazolo-[1,5-a]-pyrimidines as human CRF1 antagonists leading to discovery of anxiolytic DMP904)

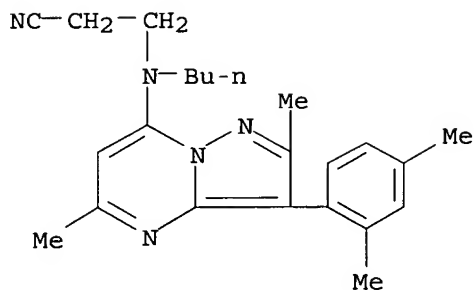
RN 202579-71-3 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



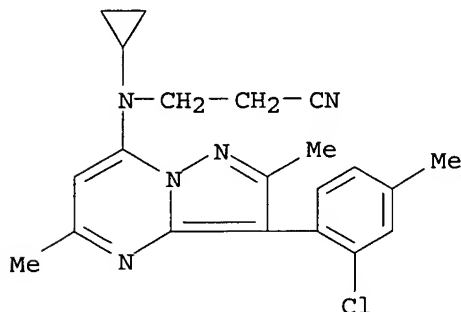
RN 202579-72-4 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



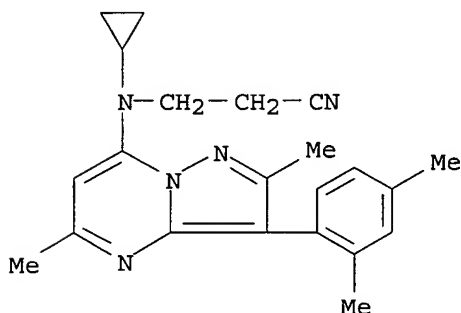
RN 202579-90-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino] - (9CI) (CA INDEX NAME)



RN 262297-98-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino] - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:495296 CAPLUS

DOCUMENT NUMBER: 131:144616

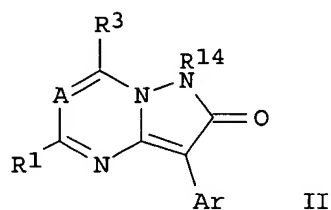
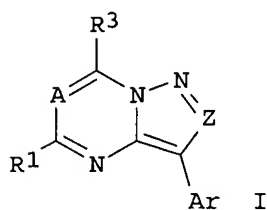
TITLE: Preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists

INVENTOR(S): He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios Georgios

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 245 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938868	A1	19990805	WO 1999-US1824	19990128
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6060478	A	20000509	US 1998-15001	19980128
US 6191131	B1	20010220	US 1998-15002	19980128
US 6313124	B1	20011106	US 1998-14734	19980128
CA 2314613	AA	19990805	CA 1999-2314613	19990128
AU 9924787	A1	19990816	AU 1999-24787	19990128
AU 748818	B2	20020613		
EP 1049699	A1	20001108	EP 1999-904382	19990128
EP 1049699	B1	20040421		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9908206	A	20001205	BR 1999-8206	19990128
JP 2002501922	T2	20020122	JP 2000-529335	19990128
NZ 505079	A	20030829	NZ 1999-505079	19990128
AT 264860	E	20040515	AT 1999-904382	19990128
ZA 9900843	A	20000802	ZA 1999-843	19990203
PRIORITY APPLN. INFO.:				
			US 1998-14734	A 19980128
			US 1998-15001	A 19980128
			US 1998-15002	A 19980128
			US 1996-23290P	P 19960724
			US 1997-899242	A2 19970723
			WO 1999-US1824	W 19990128

GI



AB The title compds. [I or II; A = N, CR; Z = N, CR₂; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, C1-4 alkyl, C2-4 alkenyl, etc.; R₁ = H, C1-4 alkyl, C2-4 alkenyl, etc.; R₂ = H, C1-4 alkyl, C2-4 alkenyl, etc.; R₃ = H, SH, OH, etc.; R₁₄ = C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists (no data) which are useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus,

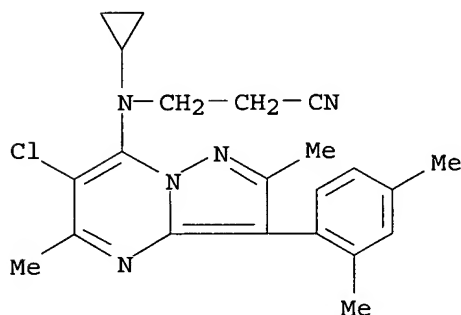
treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5-triazin-4-one with POCl₃ and N,N-dimethylaniline, followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dichlorophenyl)[1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxy-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R₁ = Me; R₃ = NHCH(CH₂OMe)₂; Ar = 2,4-Cl₂C₆H₃].

IT 234776-73-9P 234776-78-4P 234776-79-5P
 234776-91-1P 234776-96-6P 234776-97-7P
 234777-09-4P 234777-18-5P 234777-23-2P
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 234777-69-6P 234777-70-9P 234777-82-3P
 234777-87-8P 234777-88-9P 234778-00-8P
 234778-53-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of azolotriazines and -pyrimidines as CRF antagonists for treatment of anxiety, depression, and other psychiatric, neurol. disorders)

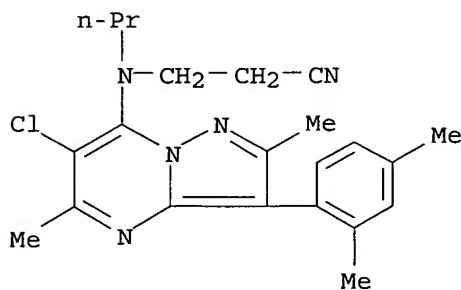
RN 234776-73-9 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



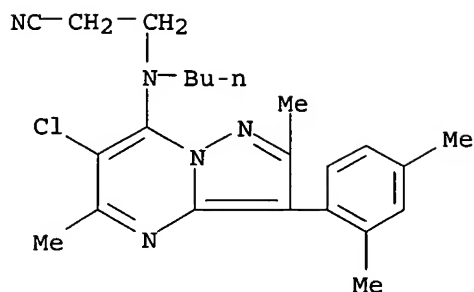
RN 234776-78-4 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



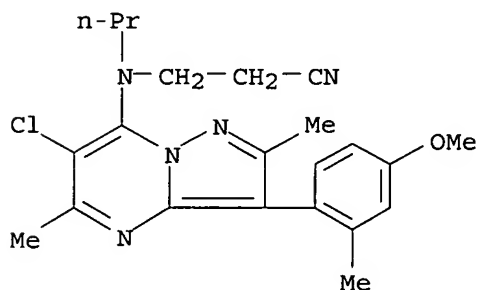
RN 234776-79-5 CAPLUS

CN Propanenitrile, 3-[butyl[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



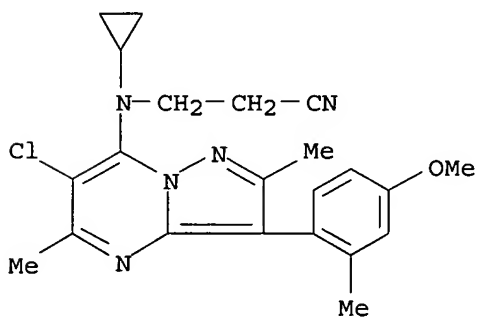
RN 234776-91-1 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



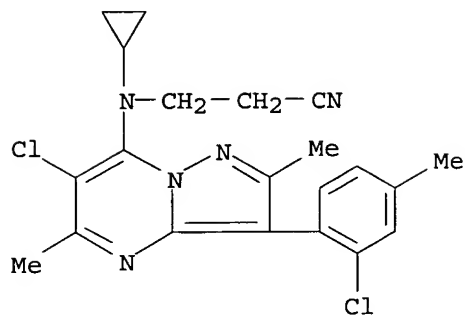
RN 234776-96-6 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



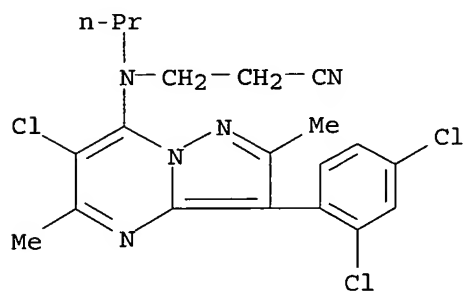
RN 234776-97-7 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



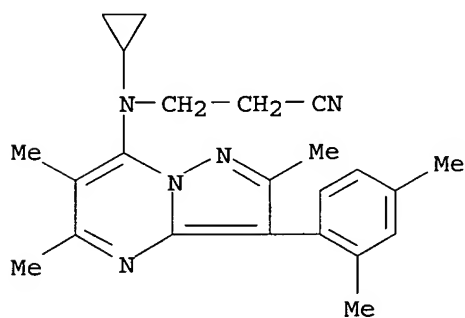
RN 234777-09-4 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino] - (9CI) (CA INDEX NAME)



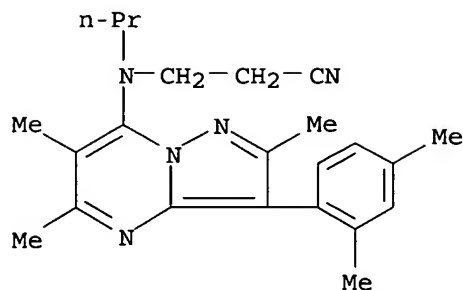
RN 234777-18-5 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(2,4-dimethylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino] - (9CI) (CA INDEX NAME)



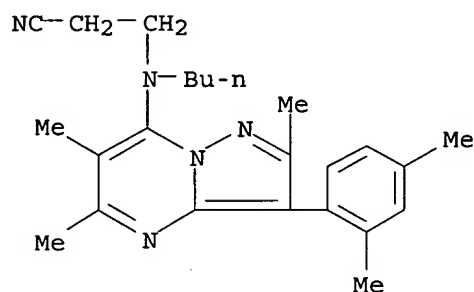
RN 234777-23-2 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino] - (9CI) (CA INDEX NAME)



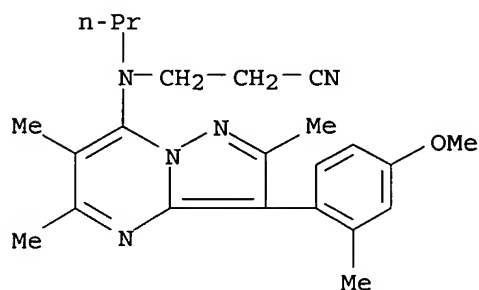
RN 234777-24-3 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



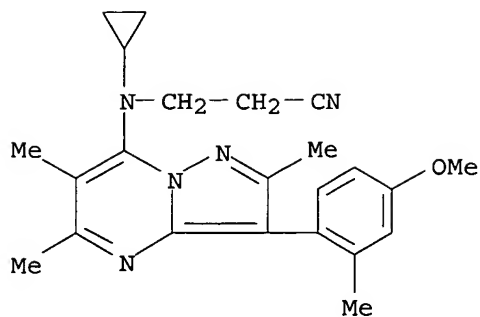
RN 234777-36-7 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



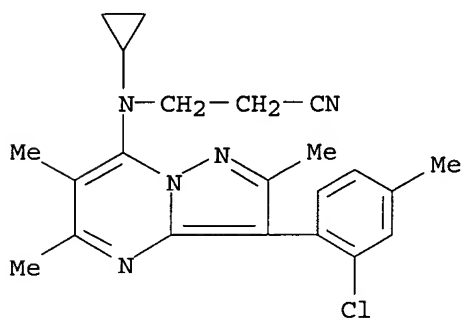
RN 234777-42-5 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



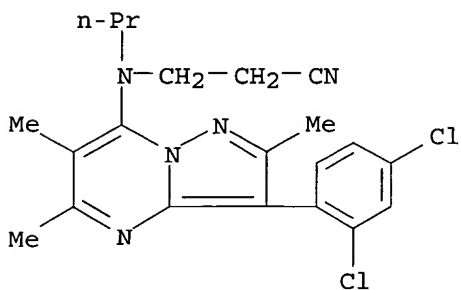
RN 234777-43-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino] - (9CI) (CA INDEX NAME)



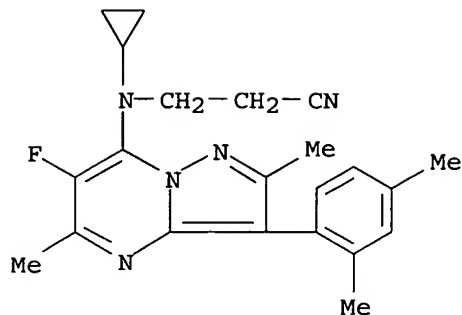
RN 234777-55-0 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino] - (9CI) (CA INDEX NAME)



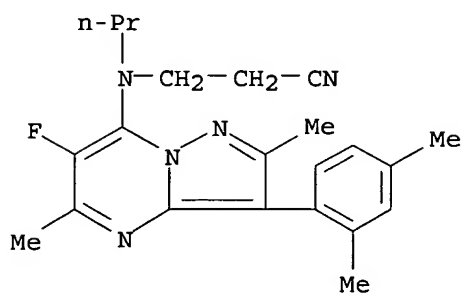
RN 234777-64-1 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino] - (9CI) (CA INDEX NAME)



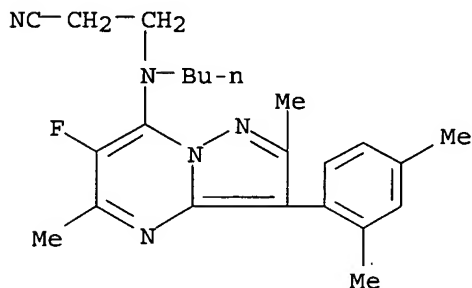
RN 234777-69-6 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino] - (9CI) (CA INDEX NAME)



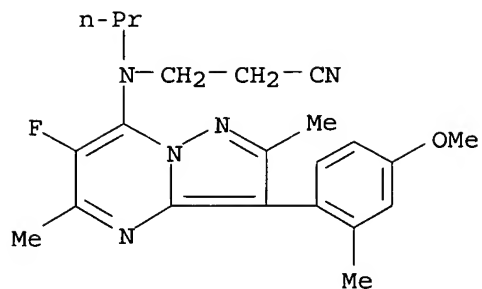
RN 234777-70-9 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino] - (9CI) (CA INDEX NAME)



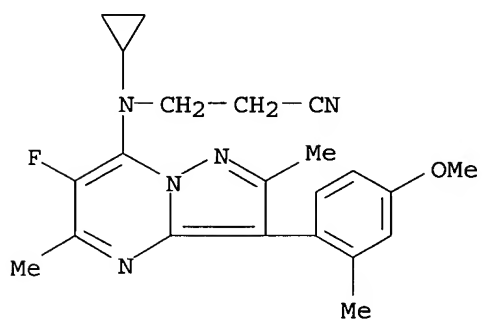
RN 234777-82-3 CAPLUS

CN Propanenitrile, 3-[[6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino] - (9CI) (CA INDEX NAME)



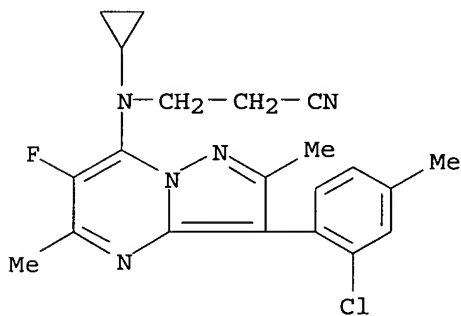
RN 234777-87-8 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



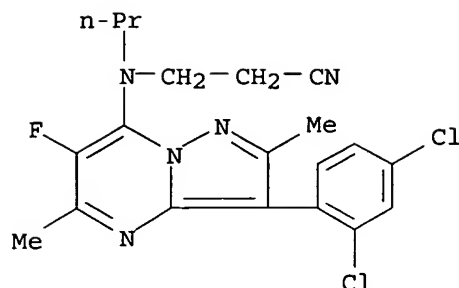
RN 234777-88-9 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

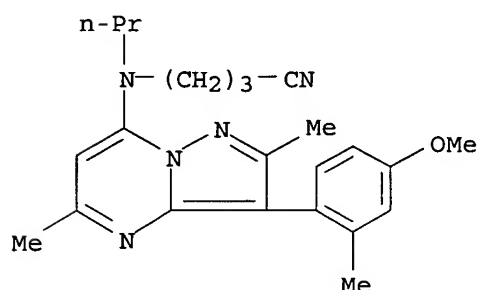


RN 234778-00-8 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



RN 234778-53-1 CAPLUS
 CN Butanenitrile, 4-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:87733 CAPLUS

DOCUMENT NUMBER: 128:154103

TITLE: Preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists

INVENTOR(S): Arvanitis, Argyrios Georgious; Chorvat, Robert John

PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA

SOURCE: PCT Int. Appl., 225 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

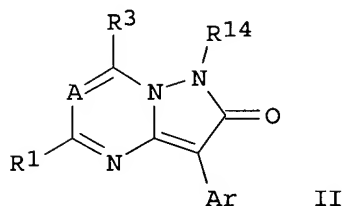
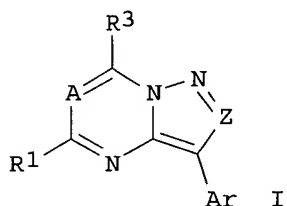
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9803510	A1	19980129	WO 1997-US13072	19970723
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2259583	AA	19980129	CA 1997-2259583	19970723
AU 9738942	A1	19980210	AU 1997-38942	19970723
AU 747708	B2	20020523		
EP 915880	A1	19990519	EP 1997-936222	19970723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1225637	A	19990811	CN 1997-196525	19970723

CN 1104432	B	20030402		
BR 9710544	A	19990817	BR 1997-10544	19970723
US 6124289	A	20000926	US 1997-899242	19970723
JP 2002513382	T2	20020508	JP 1998-507233	19970723
EE 4316	B1	20040615	EE 1999-19	19970723
ZA 9706603	A	19990125	ZA 1997-6603	19970724
TW 542827	B	20030721	TW 1997-86110640	19970725
LV 12292	B	19991120	LV 1999-13	19990120
NO 9900264	A	19990310	NO 1999-264	19990121
NO 315610	B1	20030929		
LT 4680	B	20000725	LT 1999-8	19990125
CN 1327793	A	20011226	CN 2001-120849	20010530
AU 773039	B2	20040513	AU 2002-23236	20020312
CN 1388126	A	20030101	CN 2002-118589	20020425
JP 2005097257	A2	20050414	JP 2004-216483	20040723
PRIORITY APPLN. INFO.:			US 1996-23290P	P 19960724
			US 1996-686047	A 19960724
			US 1997-899242	A 19970723
			AU 1997-38942	A3 19970723
			JP 1998-507233	A3 19970723
			WO 1997-US13072	W 19970723

OTHER SOURCE(S): MARPAT 128:154103
GI



AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, C1-4 alkyl, C2-4 alkenyl, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R2 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl) [1,5-a]pyrazolo-1,3,5-triazin-4-one with POCl3 and N,N-dimethylaniline followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dimethylphenyl) [1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxypropyl-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-Cl2C6H3].

IT 202579-61-1P 202579-67-7P 202579-71-3P
202579-72-4P 202579-85-9P 202579-89-3P
202579-90-6P 202580-50-5P 202580-60-7P

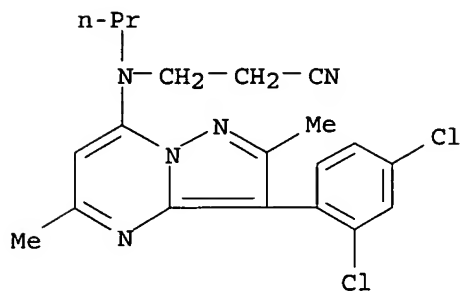
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists)

RN 202579-61-1 CAPLUS

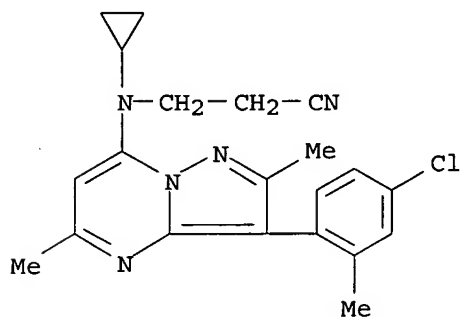
CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-

alpyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



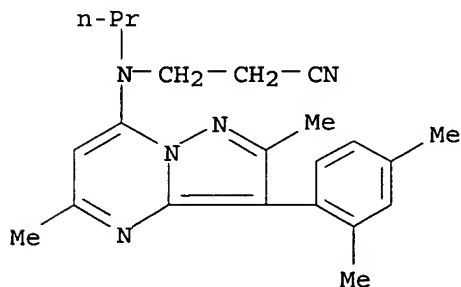
RN 202579-67-7 CAPLUS

CN Propanenitrile, 3-[[3-(4-chloro-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



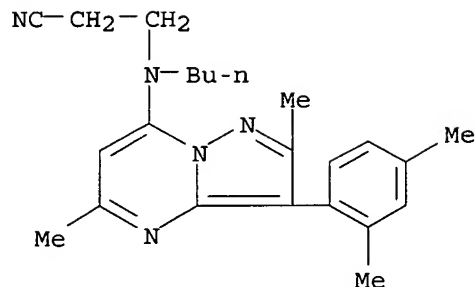
RN 202579-71-3 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



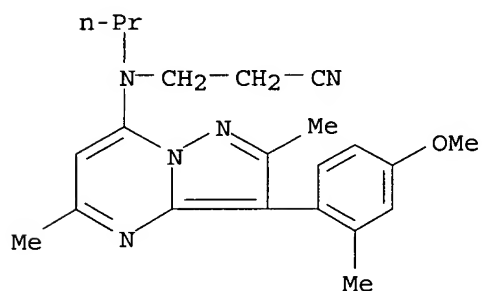
RN 202579-72-4 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



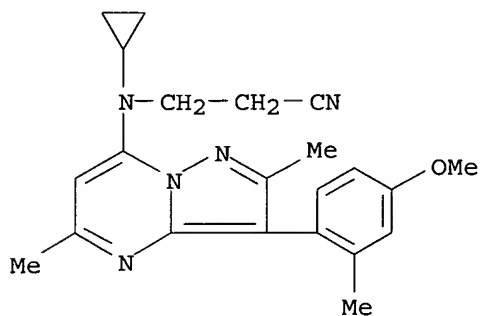
RN 202579-85-9 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



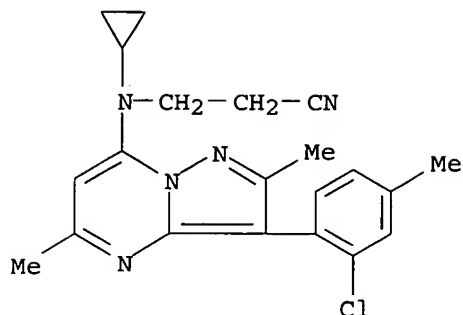
RN 202579-89-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



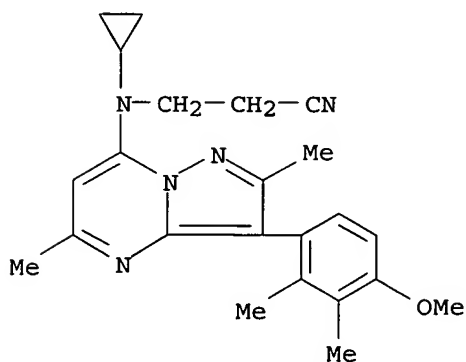
RN 202579-90-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)



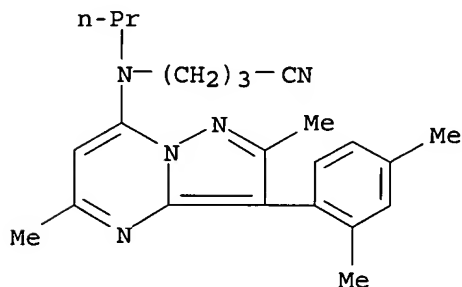
RN 202580-50-5 CAPLUS

CN Propanenitrile, 3-[(cyclopropyl[3-(4-methoxy-2,3-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



RN 202580-60-7 CAPLUS

CN Butanenitrile, 4-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1966:75800 CAPLUS

DOCUMENT NUMBER: 64:75800

ORIGINAL REFERENCE NO.: 64:14196h,14197a-b

TITLE: 7-Substituted carbonylaminopyrazolo[1,5- α]pyrimidine derivatives

INVENTOR(S): Takamizawa, Akira; Hamashima, Yoshio
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd.
 SOURCE: 3 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 41001864	B4	19660209	JP	19630907

PRIORITY APPLN. INFO.: JP 19630907

GI For diagram(s), see printed CA Issue.

AB Manufacture of I, useful as tranquilizer and antiinflammatory agents, is described. Thus, a mixture of 1 g. 2,3-dimethyl-7-aminopyrazolo[1,5-a]pyrimidine and 0.67 g. ClCO₂Et in 20 ml. Me₂CO is heated at 100° for 4 hrs. in a sealed tube, cooled, filtered, the filtrate extracted with CHCl₃, and the extract concentrated to give 0.83 g. I (R₁ = R₂ = Me, R₃ = H, R₄ = OEt), columns, m. 113° (Et₂O); hydrochloride m. 188°. Similarly prepared are the following I (R₁, R₂, R₃, R₄, and m.p. given): Me, Me, H, NMe₂, 163° (hydrochloride m. 210-13°); Me, Me, H, morpholino, -- (hydrochloride m. 208°); Me, Me, H, piperidino, 136°; Me, Ph, Me, OEt, 148-9°; Me, Ph, Me, NMe₂, 148-50°; Bz, Me, Me, OEt, 92°; Me, Ph, Me, SEt, 105°; Bz, Me, Me, SEt, 98-9°; Bz, Me, Me, NMe₂, 137-8°; Bz, Me, Me, piperidino, 118-19°.

IT 5299-74-1, Urea, 3-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]-pyrimidin-7-yl)-1,1-dimethyl- (preparation of)

RN 5299-74-1 CAPLUS

CN Urea, 3-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-1,1-dimethyl- (7CI, 8CI) (CA INDEX NAME)

